

HC 384

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 1

January, 1980



National Information System for Science & Technology
(NISSAT)

National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA

EDITORIAL BOARD

Dr. N. M. Khanna

Mr. G. K. Jain

Dr. J. P. S. Sarin

Dr. Satyavan Sharma

Dr. Satyawan Singh

Dr. A. K. Goel

Dr. Sheela Tandon

Dr. Nitya Nand

Dr. S. S. Iyer



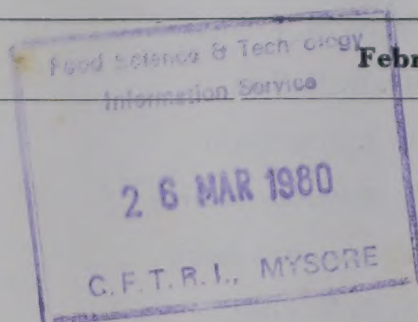
CLASSIFICATION

Main Areas

					<i>Page</i>
A. Endocrine System	1
B. Nervous System	3
C. Cardiovascular System	19
D. Respiratory System	22
E. Gastrointestinal Disorders	27
F. Metabolic and Degenerative Disorders	29
G. Infectious Diseases	32
H. Antineoplastic Agents	35
I. Biochemical Pharmacology	36
J. Molecular Biology	—
K. Pharmaceutics	37
L. Natural Products	37
M. General	38
N. New Techniques	39
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 2



February, 1980



National Information System for Science & Technology
(NISSAT)

National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA

EDITORIAL BOARD

Dr. N. M. Khanna

Mr. G. K. Jain

Dr. J. P. S. Sarin

Dr. Satyavan Sharma

Dr. Satyawar Singh

Dr. A. K. Goel

Dr. Sheela Tandon

Dr. Zaka Imam

Dr. Nitya Nand

Dr. S. S. Iyer

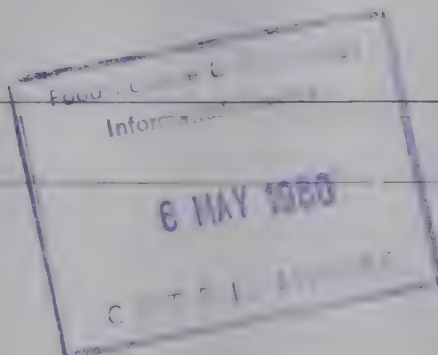
CLASSIFICATION

Main Areas						<i>Page</i>
A. Endocrine System	41
B. Nervous System	43
C. Cardiovascular System	57
D. Respiratory System	63
E. Gastrointestinal Disorders	66
F. Metabolic and Degenerative Disorders	68
G. Infectious Diseases	73
H. Antineoplastic Agents	75
I. Biochemical Pharmacology	—
J. Molecular Biology	—
K. Pharmaceutics	77
L. Natural Products	77
M. General	78
N. New Techniques	79
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 3

March, 1980



National Information System for Science & Technology
(NISSAT)

National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA

EDITORIAL BOARD

Dr. N. M. Khanna

Mr. G. K. Jain

Dr. J. P. S. Sarin

Dr. Satyavan Sharma

Dr. Satyawar Singh

Dr. A. K. Goel

Dr. Sheela Tandon

Dr. Zaka Imam

Dr. Nitya Nand

Dr. S. S. Iyer

CLASSIFICATION**Main Areas**

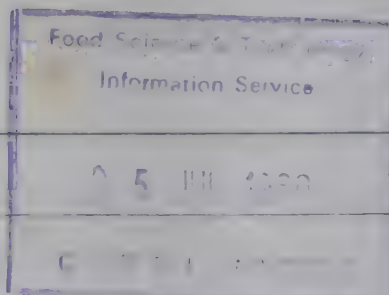
					<i>Page</i>
Information About Indian Patents	81
A. Endocrine System	82
B. Nervous System	84
C. Cardiovascular System	96
D. Respiratory System	101
E. Gastrointestinal Disorders	105
F. Metabolic and Degenerative Disorders	107
G. Infectious Diseases	109
H. Antineoplastic Agents	114
I. Biochemical Pharmacology	—
J. Molecular Biology	117
K. Pharmaceutics	117
L. Natural Products	—
M. General	118
N. New Techniques	119
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

To keep abreast of the latest developments
in Pharmaceutical Industry,
read

**DRUGS & PHARMACEUTICALS
INDUSTRY HIGHLIGHTS**

For details, contact NICDAP

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN



Vol. 3, No. 4

April, 1980



**National Information System for Science & Technology
(NISSAT)**

**National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA**

ADVISORY BOARD

Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay

Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani

Mr. I. A. Mody, Cadila Laboratories Pvt. Ltd., Ahmedabad

Dr. H. S. Rao, N. R. D. C., New Delhi

Dr. S. Bhattacharji, CDRI, Lucknow

Dr. N. M. Khanna, CDRI, Lucknow

Dr. Nitya Nand, CDRI, Lucknow

Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon

Dr. A. K. Goel

DIAGRAMS

Mr. S. C. Biswas

CLASSIFICATION

Main Areas

					<i>Page</i>
Information About Indian Patents	121
A. Endocrine System	123
B. Nervous System	124
C. Cardiovascular System	135
D. Respiratory System	144
E. Gastrointestinal Disorders	147
F. Metabolic and Degenerative Disorders	149
G. Infectious Diseases	151
H. Antineoplastic Agents	154
I. Biochemical Pharmacology	157
J. Molecular Biology	158
K. Pharmaceutics	—
L. Natural Products	159
M. General	159
N. New Techniques	—
P. New Reactions	160
Q. Polymers	—
R. Applied Microbiology	—

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of

Rs. 5/- per article.

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 5

May, 1980



National Information System for Science & Technology
(NISSAT)

National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA

ADVISORY BOARD

- Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay
Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani
Mr. I. A. Mody, Cadila Laboratories Pvt. Ltd., Ahmedabad
Dr. H. S. Rao, NRDC, New Delhi
Dr. S. Bhattacharji, CDRI, Lucknow
Dr. N. M. Khanna, CDRI, Lucknow
Dr. Nitya Nand, CDRI, Lucknow
Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon
Dr. A. K. Goel

DIAGRAMS

Mr. S. C. Biswas

CLASSIFICATION

Main Areas

					<i>Page</i>
Information About Indian Patents	163
A. Endocrine System	164
B. Nervous System	165
C. Cardiovascular System	175
D. Respiratory System	179
E. Gastrointestinal Disorders	181
F. Metabolic and Degenerative Disorders	192
G. Infectious Diseases	184
H. Antineoplastic Agents	188
I. Biochemical Pharmacology	—
J. Molecular Biology	190
K. Pharmaceutics	191
L. Natural Products	—
M. General	193
N. New Techniques	194
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of
Rs. 5/- per article.

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 6

June, 1980



**National Information System for Science & Technology
(NISSAT)**

**National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA**

ADVISORY BOARD

Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay

Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani

Mr. I. A. Mody, Cadila Laboratories Pvt. Ltd., Ahmedabad

Dr. H. S. Rao, NRDC, New Delhi

Dr. S. Bhattacharji, CDRI, Lucknow

Dr. N. M. Khanna, CDRI, Lucknow

Dr. Nitya Nand, CDRI, Lucknow

Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon

Dr. A. K. Goel

DIAGRAMS

Mr. S. C. Biswas

CLASSIFICATION

Main Areas

					<i>Page</i>
A. Endocrine System	197
B. Nervous System	198
C. Cardiovascular System	205
D. Respiratory System	211
E. Gastrointestinal Disorders	—
F. Metabolic and Degenerative Disorders	212
G. Infectious Diseases	214
H. Antineoplastic Agents	217
I. Biochemical Pharmacology	—
J. Molecular Biology	219
K. Pharmaceutics	—
L. Natural Products	220
M. General	221
N. New Techniques	222
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of

Rs. 5/- per article

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 7

July, 1980



**National Information System for Science & Technology
(NISSAT)**

**National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA**

ADVISORY BOARD

Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay

Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani

Mr. I. A. Mody, Cadila Laboratories Pvt. Ltd., Ahmedabad

Dr. H. S. Rao, NRDC, New Delhi

Dr. S. Bhattacharji, CDRI, Lucknow

Dr. N. M. Khanna, CDRI, Lucknow

Dr. Nitya Nand, CDRI, Lucknow

Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon

Dr. A. K. Goel

Diagrams

Mr. Ali Kausar

CLASSIFICATION

Main Areas

					<i>Page</i>
A. Endocrine System	225
B. Nervous System	226
C. Cardiovascular System	233
D. Respiratory System	237
E. Gastrointestinal Disorders	239
F. Metabolic and Degenerative Disorders	240
G. Infectious Diseases	242
H. Antineoplastic Agents	245
I. Biochemical Pharmacology	—
J. Molecular Biology	247
K. Pharmaceutics	248
L. Natural Products	249
M. General	250
N. New Techniques	251
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of
Rs. 5/- per article

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 8

August, 1980



**National Information System for Science & Technology
(NISSAT)**

**National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA**

ADVISORY BOARD

Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay

Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani

Mr. I. A. Modi, Cadila Laboratories Pvt. Ltd., Ahmedabad

Dr. H. S. Rao, NRDC, New Delhi

Dr. S. Bhattacharji, CDRI, Lucknow

Dr. N. M. Khanna, CDRI, Lucknow

Dr. Nitya Nand, CDRI, Lucknow

Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon

Dr. A. K. Goel

Diagrams

Mr. Ali Kausar

CLASSIFICATION

Main Areas

					<i>Page</i>
Information about Indian Patents	253
A. Endocrine System	255
B. Nervous System	257
C. Cardiovascular System	265
D. Respiratory System	271
E. Gastrointestinal Disorders	273
F. Metabolic and Degenerative Disorders	275
G. Infectious Diseases	277
H. Antineoplastic Agents	278
I. Biochemical Pharmacology	—
J. Molecular Biology	279
K. Pharmaceutics	—
L. Natural Products	279
M. General	280
N. New Techniques	281
P. New Reactions	282
Q. Polymers	—
R. Applied Microbiology	—

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of

Rs. 5/- per article

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 9

September, 1980



**National Information System for Science & Technology
(NISSAT)**

**National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA**

ADVISORY BOARD

Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay

Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani

Mr. I. A. Modi, Cadila Laboratories Pvt. Ltd., Ahmedabad

Dr. H. S. Rao, NRDC, New Delhi

Dr. S. Bhattacharji, CDRI, Lucknow

Dr. N. M. Khanna, CDRI, Lucknow

Dr. Nitya Nand, CDRI, Lucknow

Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon

Dr. A. K. Goel

Diagrams

Mr. Ali Kausar

CLASSIFICATION

Main Areas

					<i>Page</i>
Information about Indian Patents	283
A. Endocrine System	285
B. Nervous System	286
C. Cardiovascular System	295
D. Respiratory System	299
E. Gastrointestinal Disorders	301
F. Metabolic and Degenerative Disorders	303
G. Infectious Diseases	306
H. Antineoplastic Agents	308
I. Biochemical Pharmacology	—
J. Molecular Biology	—
K. Pharmaceutics	310
L. Natural Products	—
M. General	311
N. New Techniques	—
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of

Rs. 5/- per article

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 10

October, 1980



**National Information System for Science & Technology
(NISSAT)**

**National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA**

ADVISORY BOARD

- Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay
Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani
Mr. I. A. Modi, Cadila Laboratories Pvt. Ltd., Ahmedabad
Dr. H. S. Rao, NRDC, New Delhi
Dr. S. Bhattacharji, CDRI, Lucknow
Dr. N. M. Khanna, CDRI, Lucknow
Dr. Nitya Nand, CDRI, Lucknow
Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon
Dr. A. K. Goel

Diagrams

Mr. Ali Kausar

CLASSIFICATION

Main Areas

					<i>Page</i>
A. Endocrine System	313
B. Nervous System	314
C. Cardiovascular System	325
D. Respiratory System	330
E. Gastrointestinal Disorders	332
F. Metabolic and Degenerative Disorders	333
G. Infectious Diseases	335
H. Antineoplastic Agents	339
I. Biochemical Pharmacology	—
J. Molecular Biology	—
K. Pharmaceutics	—
L. Natural Products	—
M. General	340
N. New Techniques	341
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of

Rs. 5/- per article

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 11

November, 1980



**National Information System for Science & Technology
(NISSAT)**

**National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA**

ADVISORY BOARD

- Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay
Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani
Mr. I. A. Modi, Cadila Laboratories Pvt. Ltd., Ahmedabad
Dr. H. S. Rao, NRDC, New Delhi
Dr. S. Bhattacharji, CDRI, Lucknow
Dr. N. M. Khanna, CDRI, Lucknow
Dr. Nitya Nand, CDRI, Lucknow
Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon

Dr. A. K. Goel

Diagrams

Mr. Ali Kausar

CLASSIFICATION

Main Areas

					<i>Page</i>
A. Endocrine System	343
B. Nervous System	344
C. Cardiovascular System	354
D. Respiratory System	359
E. Gastrointestinal Disorders	361
F. Metabolic and Degenerative Disorders	363
G. Infectious Diseases	365
H. Antineoplastic Agents	369
I. Biochemical Pharmacology	—
J. Molecular Biology	—
K. Pharmaceutics	370
L. Natural Products	371
M. General	372
N. New Techniques	373
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	374

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of

Rs. 5/- per article

DRUGS AND PHARMACEUTICALS PATENTS AWARENESS BULLETIN

Vol. 3, No. 12

December, 1980

*Your subscription to this bulletin covers upto the December 1980 issue.
A subscription renewal form is enclosed for your convenience. Please fill up
the form and mail to us. Thanks.*

**National Information System for Science & Technology
(NISSAT)**

**National Information Centre for Drugs and Pharmaceuticals
CENTRAL DRUG RESEARCH INSTITUTE, LUCKNOW, INDIA**

ADVISORY BOARD

Dr. M. D. Nair, Ciba-Geigy Research Centre, Bombay

Mr. P. N. Devarajan, Hindustan Organic Chemicals Ltd, Rasayani

Mr. I. A. Modi, Cadila Laboratories Pvt. Ltd., Ahmedabad

Dr. H. S. Rao, NRDC, New Delhi

Dr. S. Bhattacharji, CDRI, Lucknow

Dr. N. M. Khanna, CDRI, Lucknow

Dr. Nitya Nand, CDRI, Lucknow

Dr. S. S. Iyer, NICDAP, CDRI, Lucknow

EXECUTIVE EDITOR

Dr. S. S. Iyer

EDITOR

Dr. Zaka Imam

COMPILATION

Dr. Sheela Tandon

Dr. A. K. Goel

Diagrams

Mr. Ali Kausar

CLASSIFICATION

Main Areas					Page
Information About Indian Patents	375
A. Endocrine System	376
B. Nervous System	378
C. Cardiovascular System	388
D. Respiratory System	394
E. Gastrointestinal Disorders	398
F. Metabolic and Degenerative Disorders	401
G. Infectious Diseases	403
H. Antineoplastic Agents	409
I. Biochemical Pharmacology	—
J. Molecular Biology	—
K. Pharmaceutics	412
L. Natural Products	—
M. General	413
N. New Techniques	—
P. New Reactions	—
Q. Polymers	—
R. Applied Microbiology	—

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

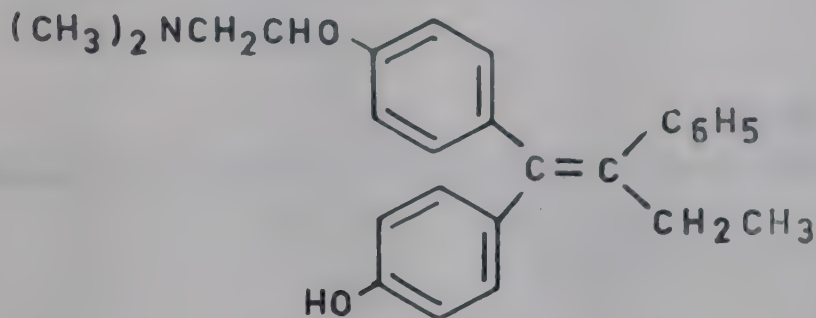
Re. 1/- per page with a minimum charge of

Rs. 5/- per article

A. ENDOCRINE SYSTEM

P80A001. 1-(4-(2-Dimethylaminoethoxy) phenyl) -1-(4-hydroxyphenyl)-2-phenyl butene-1 — antiestrogens.

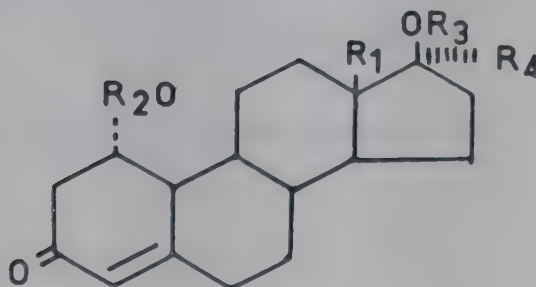
Imperial Chem. Inds. Ltd, Brit 2003-855, 21.3.79.



The title compounds were prepared by dehydrating 1-(4-(2-dimethylaminoethoxy) phenyl)-1 (4-hydroxyphenyl)-2-phenyl)-1-butanol or 1-(4-(2-dimethylamino-ethoxy) phenyl)-1-(4-terahydropyran-2-phenyl-2-phenyl)-1-butanol with an acid and isolating the desired *cis* isomer.

P80A002. 1- α -17- β -Dihydroxy estr-4-ene-3-ones—progestative agents, with low androgenic activity.

Schering AG, USA 4144-334, 13.3.79, Belg 834-623.



Where,

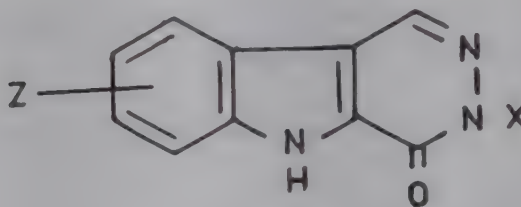
$R_1 = CH_3$,

$R_2 \& R_3 = H$ or 1-8C alkanoyl,

$R_4 = H$ or ethynyl.

P80A003. 3-Substituted-4-oxo-5H-pyridazino (4,5-b) indoles as tubal occluding agents.

CSIR, New Delhi, Ind 141294, 21.1.75.



Where,.

X=H, lower alkyl, aryl, arylalkyl (optionally mono or disubstituted by F, Cl, CH₃ or OCH₃),

Z= H, F, Cl, Br or I.

* * * *

DRUGS & PHARMACEUTICALS CURRENT HIGHLIGHTS—R&D

alerts you with recent articles of interest

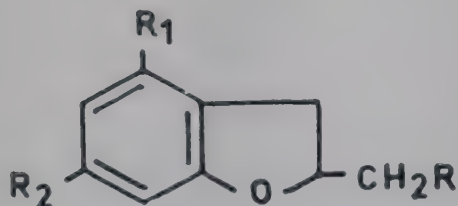
A useful tool in research

For details, contact NICDAP

B. NERVOUS SYSTEM

P80B001. 2-Alkyl-2, 3-dihydrobenzofuran derivatives—analgesics and anti-inflammatories.

Gruppo Lepetit SPA, US 4143-055, 6.3.79, Belg 865-706.



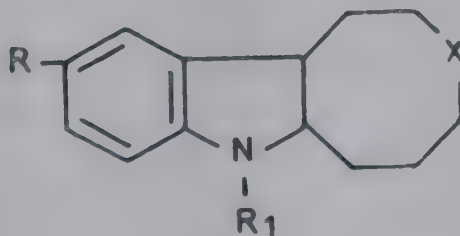
Where,

$R = H$ or methyl,

R_1 & $R_2 =$ hydroxy, 1-4C alkoxy, 2-4C alkanoyloxy etc.

P80B002. 7-Aminoalkyl-1,2,4,5,6,7 hexahydrothiocino-5,4-b indoles—antidepressants.

Warner Lambert Co, US 4144-349, 13.3.79.

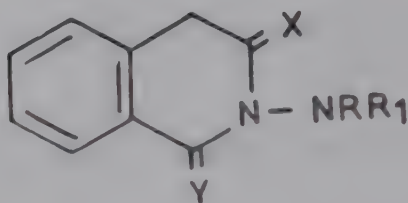


Where,

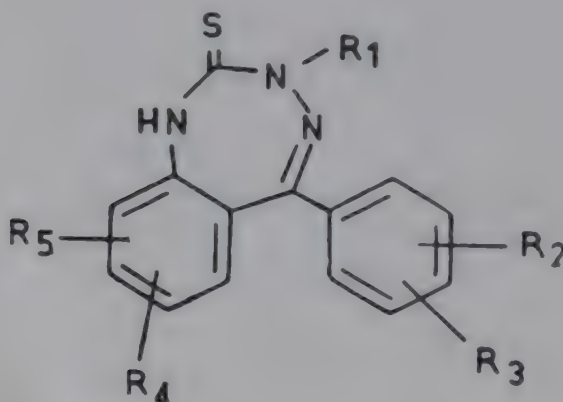
$R = H$, halogen, 1-6C alkyl,

$R_1 = H$ or 1-6C aminoalkyl,

$X = S$ or SO_2 .

P80B003. Aminoisoquinoline derivatives—anti-inflammatories.**Gruppo Lepetit, SPA, USSR 497-770, 3.11.76, Belg 780-885.**

Where,

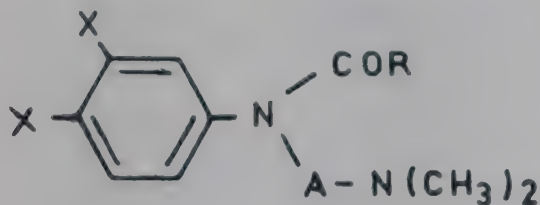
 $X \& Y = H_2$ or O , $R \& R_1 = H$, 1-4C alkyl, 2-4C hydroxyalkyl or aminoalkyl.**P80B004. 1, 3, 4-Benzotriazepine-2-thiones—antidepressants and anxiolytics.****Dow Chemical Co, US 4144-233, 13.3.79.**

Where,

 $R_1 = 1-3C$ alkyl optionally substituted by OH , NH_2 , morpholino, piperidino, pyrrolidino, $R_2, R_3, R_4 \& R_5 = 1-3C$ alkyl, alkoxy, halo or alkylthio.

P80B005. N-Dimethylaminoalkyl-3,4-dihaloanilides—antidepressants.

Upjohn Co, Brit 1542-749, 21.3.79, Belg 861-455.



Where,

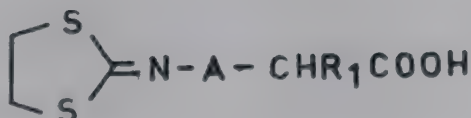
R=ethyl, cyclopropyl or vinyl,

A=(CH₂)₂ to (CH₂)₅ or CH₂.CH(CH₃)CH₂,

X=Cl or Br.

P80B006. ω-1,3-Dithiolan-2-imino acetic acid derivatives—anti-inflammatories and antibacterials.

Richardson Merrell Inc, US 4131-683, 26.12.78.



Where,

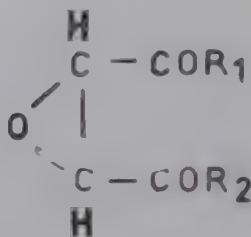
A= direct bond, phenylene or phenylenethio,

R₁=H, 1-4C alkyl, phenyl, NH₂, OH, SO₃H or COOH.,

(Patent included at P80G003—page 32).

P80B007. Epoxy-succinic acid esters and amides—anti-inflammatories.

Taisho Pharmaceut KK, Fr 2382-447, 3.11.78, Ger 2809-036.



Where,

$\text{R}_1 \& \text{R}_2 = \text{O}-\text{A}_1-\text{R}_4, \text{O}-\text{A}_2-\text{R}_5 \text{ or } \text{O}-\text{CH}_2-\text{R}_6,$

$\text{A}_1 = \text{bond, substituted methyl or 1-4C alkylene,}$

$\text{A}_2 = \text{2-3C alkylene,}$

$\text{R}_4 = \text{3-10C cycloalkyl optionally substituted by halogen or methyl,}$

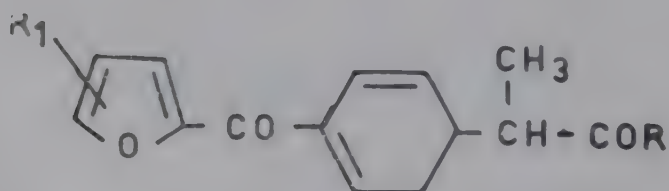
$\text{R}_5 = \text{phenyl,}$

$\text{R}_6 = \text{furyl, tetrahydrofuryl, thienyl, (optionally substituted naphthyl or phenyl substituted by halogen, Me, OMe, methylenedioxy or CF}_3\text{.}$

The compounds do not increase vascular permeability.

P80B008. Furan carbonyl phenyl acetic acid derivatives—analgesics, anti-inflammatories and antipyretics.

Wasserman A SPA, US 4131-615, 26.12.78.



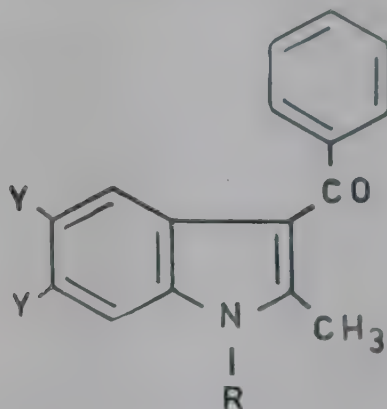
Where,

$\text{R} = \text{OH, OCH}_3 \text{ or N-methyl-N-phenyl amino,}$

$\text{R}_1 = \text{H or Br.}$

P80B009. Glyceryl esters of α -(indolyl)-alkanoic acids—analgesics and anti-inflammatories.

Roussel Uclaf, USSR 498-904, 8.4.77, Belg 769-613.



Where,

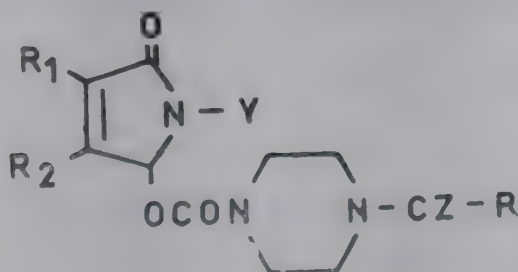
YC=H, lower alkyl, halo, NH_2 , NO_2 etc,



R_1, R_2 & $\text{R}_3 = \text{H}$, lower alkyl.

P80B010. 1-Heterocyclyl-5[1-piperazyinyl-carbonyloxy]-2(5H) pyrrolidinone derivatives—tranquillizers.

Rhone Poulenc Ind, USSR 604-496, 29.3.78, Ger 2550-111.



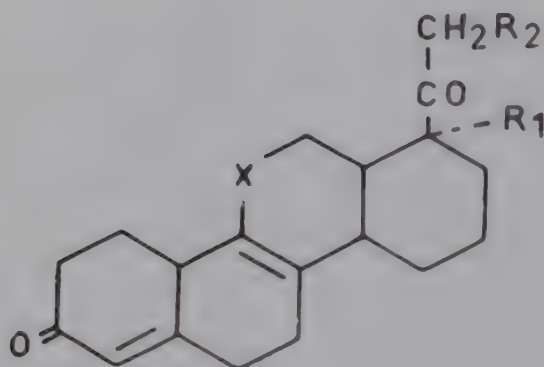
Where,

$\text{R} = \text{H}$, optionally substituted 1-4C alkyl, cycloalkyl, phenyl or pyridyl,

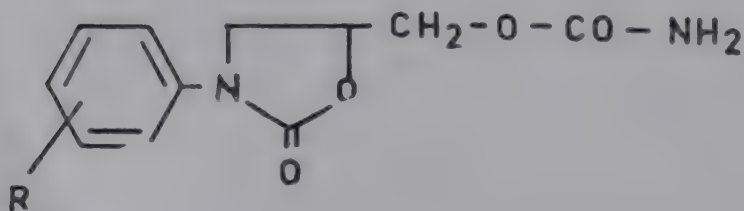
R_1 & $\text{R}_2 =$ linked to form pyrrolidine,

$\text{Y} = 2$ -pyridyl, 2-quinolyl or 1, 8-naphthyridin, etc.,

$\text{Z} = \text{O}$ or S .

P80B011. D-homosteroids—anti-inflammatories.**Hoffmann La Roche Inc**, US 4131-655, 26.12.78, Belg 866-170.

Where,

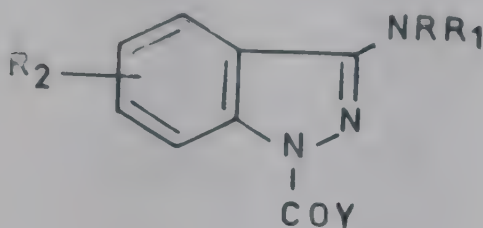
 $X = \beta$ -hydroxy methylene or carbonyl, $R_1 = \text{OH}$, lower alkyloxy or aryloxy, $R_2 = \text{H}$, Cl, F, OH, lower alkanoyloxy, aryloxy, etc.**P80B012. 5-Hydroxymethyl oxazolidine-2-one—antidepressants, antipyretics, anti-inflammatories, sedatives, analgesics and tranquillisers.****Delande SA**, US RE 29-934, 13.3.79, Belg 747-128.

Where,

 $R = \text{H}$, meta CF_3 , ortho CF_3 , meta Cl, meta CH_3 , para CH_3 , etc.

P80B013. Isomeric-3-amino imidazole carboxylic acid derivatives—analgesics, antipyretics and anti-inflammatories.

Bayer Ag, USSR 604-487, 17.3.78, Ger 2458-965.



Where,

Y =alkoxy, alkylamino or dialkylamino,

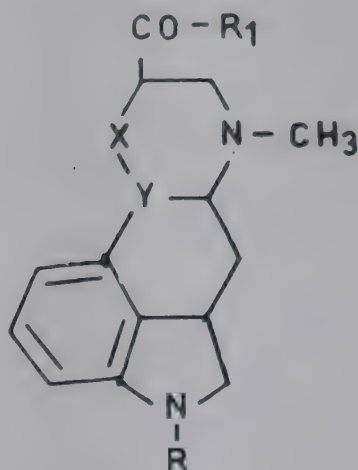
R =H or Me,

R₁=H, Me or CHO,

R₂=H, alkyl, alkoxy, NO₂, NH₂, etc.

P80B014. Lysergic acid amides—antihypertensives, CNS active and antiserotonin agents.

Richter Gedeon Vegy, USSR 604-493, 29.3.78, Belg 848-049.



Where,

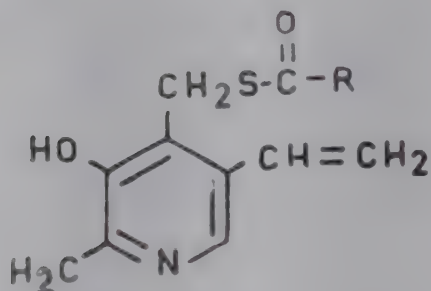
X, Y = —CH= C- or -CH₂-CH-,

R =H or CH₃,

R₁=optionally substituted amino group.

**P80B015. 2-Methyl 3-hydroxy 4-mercaptoalkyl 5-ethenyl pyridines—
anti-inflammatories.**

Merck & Co Inc, US 4144-342, 13.3.79, US 4061-759.

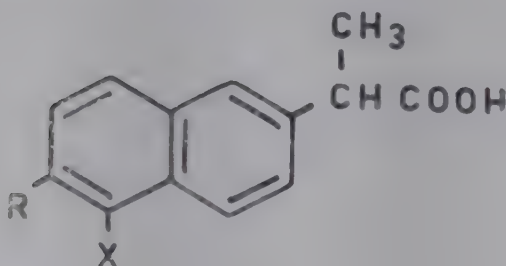


Where,

R = 1-5C alkyl.

**P80B016. α -Naphthyl-propionic acid derivatives—anti-inflammatories and
antirheumatics.**

Politechnika Lodzka (Polf), Jpn 3149-962, 27.12.78.



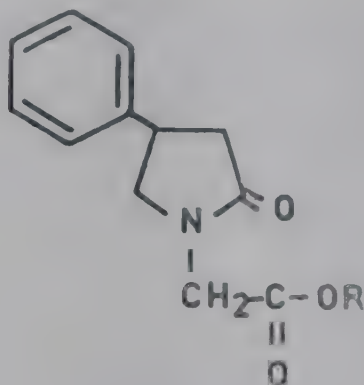
Where,

R = lower alkoxy,

X = H or Cl.

P80B017. 2-Oxo-4-phenyl pyrrolidine 1-acetic acid alkylesters – cognition activators.

Parke Davis & Co, US 4144-246, 13.3.79.

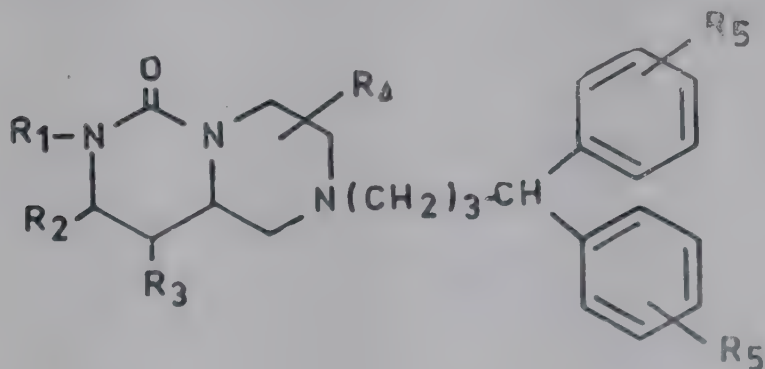


Where,

R=1-5C alkyl.

P80B018. Octahydropyrazino pyrimidinone derivatives—analgesics, anti-inflammatories and anorectics.

Ferrosan AB, Brit 2003-874, 21.3.79, Belg 870-227.



Where,

R₁=H, 1-10C alkyl, 3-8C cycloalkyl or optionally substituted phenyl,

R₂&R₃=H, 1-3C alkyl or phenyl,

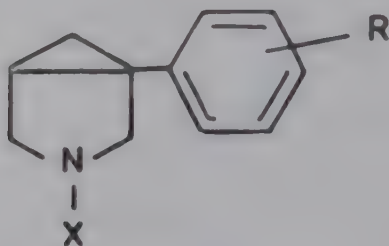
R₄=H, 1-4C alkyl or phenyl,

R₅=H, F, Cl, Br, OMe or CF₃.

(Patent included at P80C006—page 21).

P80B019. 1-Phenyl azabicyclo hexane derivatives—analgesics.

American Cynamide Co, US 4131-611, 26.12.78, Belg 858-683.



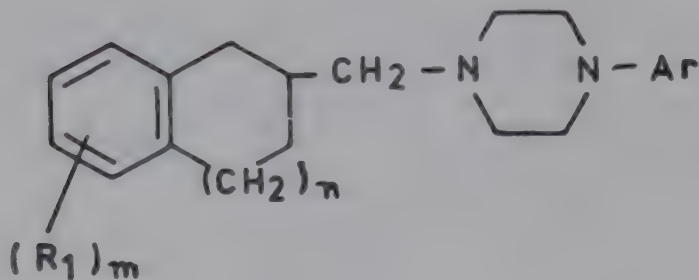
Where,

R=halogen, 1-6C alkyl, CF_3 , NO_2 , etc.,

X=H or 1-8C alkyl.

P80B020. 1-Phenyl-4-substituted piperazine derivatives—sedatives, muscle relaxants and neuroleptics.

Squibber & Sons Inc, Brit 1542-516, 21.3.79, Ger 2633-214.



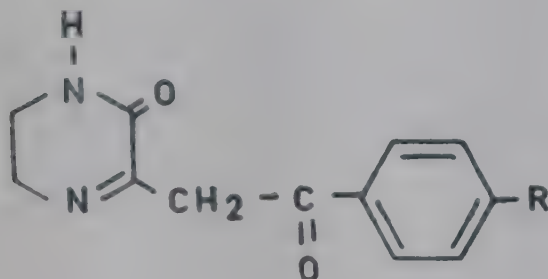
Where,

Ar=Phenyl optionally substituted by halo, alkoxy, alkylthio or CF_3 ,

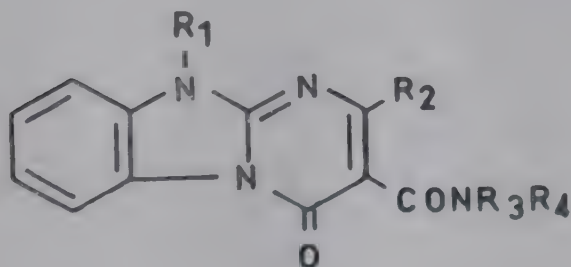
R_1 =H, halo, OH, alkoxy, etc.,

m = 1 or 2,

n = 0-2.

P80B021. Phenacyl tetrahydro pyrazinone derivatives—anti-inflammatories.**Perm Univ, USSR 523-091, 11.9.78.**

Where,

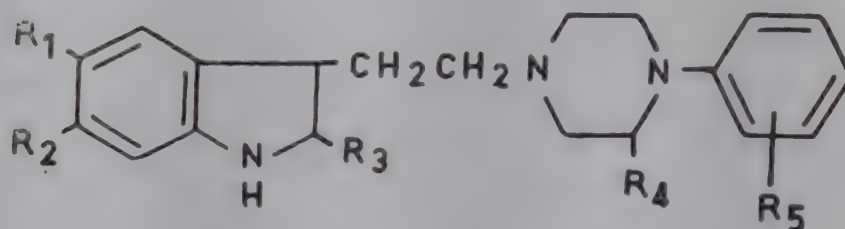
R=H, Cl, Br, CH₃ or CH₃O.**P80B022. Pyrimido benzimidazoles—CNS depressants, anti-inflammatories.****Squibber & Sons Inc, US 4109-087, 22.8.78.**

Where,

R₁=H, 1-7C alkyl, phenyl, etc.,R₂=H or 1-7C alkyl,R₃&R₄=optionally substituted pyrrolidino, piperidino, piperaziny, morpholino, thiomorpholino.

P80B023. 3-Piperazinoæthyindolines—tranquillizers.

American Cynamide Co, USSR 488-408, 16.5.77, Ger 2225-765.



Where,

$R_1 = \text{H, Cl, Br, lower alkoxy, NO}_2, \text{NH}_2 \text{ or } \text{N}(\text{CH}_3)_2,$

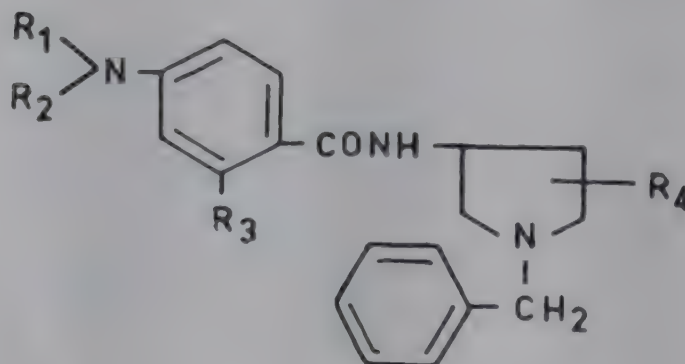
$R_2 = \text{H, lower alkoxy, NO}_2 \text{ or } R_1 \& R_2 \text{ methylenedioxy.}$

$R_3 \& R_4 = \text{H or CH}_3,$

$R_5 = \text{H, Cl, OCH}_3, \text{CH}_3 \text{ or CF}_3.$

P80B024. N-(3-Pyrrolidine) substituted benzamide derivatives-psychootropic agents.

Yamanouchi Pharm KK, Jpn 4014-965, 3.2.79.



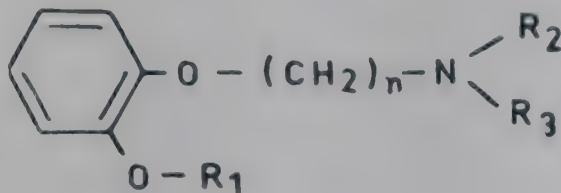
Where,

$R_1 \& R_2 = \text{H or lower alkyl,}$

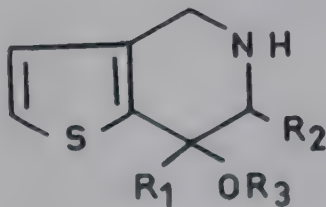
$X = \text{halogen,}$

$R_3 = \text{lower alkoxy,}$

$R_4 = \text{lower alkyl.}$

P80B025. Tertiary amino alkylphenyl ethers local anaesthetics.**Astra Lakemedel AB**, USSR 604-481, 16.3.78, Neth 7514-793.

Where,

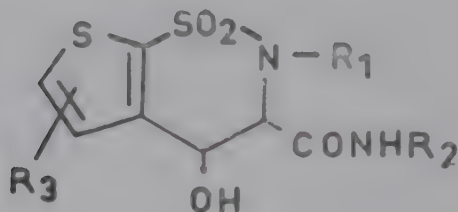
 R_1 =n-propyl, isopropyl, n-butyl, isobutyl, secbutyl, R_2 & R_3 =same or different ethyl, $n = 2$ or 3 .**P80B026. Tetrahydro thieno-3, 2-pyridines—anti-inflammatories and analgesics.****Parcor**, USSR 604-495, 23.7.78, Belg 842-249.

Where,

 R_1 =H or 1-6C alkyl, R_2 =H or 1-6C alkyl, R_3 =1-6C alkyl or benzyl.

P80B027. Thieno-1,2-thiazine-1,1-dioxide derivatives—anti-inflammatories, analgesics, antithrombotics and antirheumatics.

Hoffmann La Roche AG, Brit 2003-877, 21.3.79.



Where,

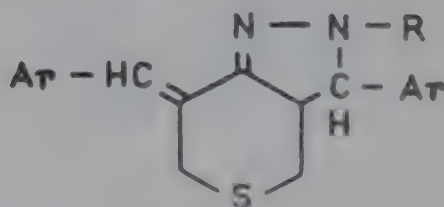
R_1 = lower alkyl,

R_2 = lower alkyl, optionally substituted heteroaromatic,

R_3 = halogen.

P80B028. Thiopyrano (4, 3) pyrazole derivatives—anti-inflammatories.

Squibber & Sons Inc, Brit 1542-125, 21.3.79, US 3979-381.



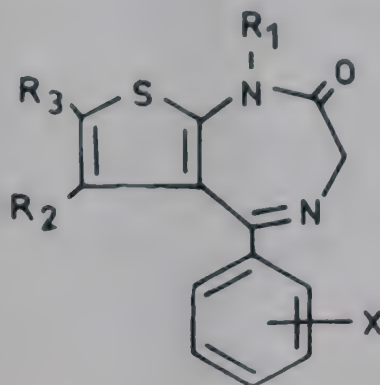
Where,

Ar = optionally substituted phenyl,

R = H, alkyl, or arylalkyl.

P80B029. Thieno diazepines – anticonvulsants and muscle relaxants.

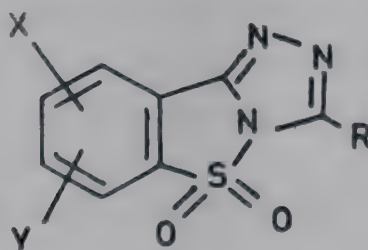
Sumitomo Chemical KK, USSR 492-038, 3.11.76, Belg 775-339.



Where,

 $R_1 = \text{H}$, 1-4C alkyl, cycloalkylmethyl, $R_2 = \text{H}$ or 1-4C alkyl, $R_3 = \text{halogen}$, $X = \text{H}$ or NO_2 .**P80B030. Triazol benzisothiazole dioxide derivatives—anti-inflammatories.**

Squibber & Sons Inc, US 4108-860, 22.8.78.

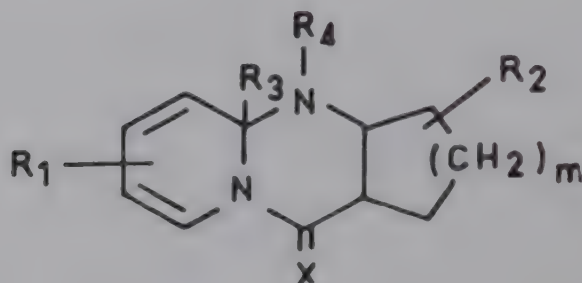


Where,

 $R = \text{H}$, lower alkyl or phenyl optionally substituted by halogen, lower alkyl, NO_2 , etc., $Y = \text{H}$, halogen or lower alkoxy, $X = Y$ (when Y is not H).

P80B031. Tricyclic pyrimidine derivatives—analgesics, CNS depressants, anti-inflammatorys, antipyretics.

Chinoïn Gogyszer, Brit 2003-870. 21.3.79, Belg 869-833.



Where,

R_1 = H, halogen, 1-4C alkyl, OH, NH_2 or $COOH$,

R_2 = H or 1-4C alkyl,

R_3 & R_4 = H or 1-4C alkyl,

X = O or NH ,

m = 1 - 4.

* * * *

Recent articles published in Indian Periodicals

All in one package

See "DRUGS & PHARMACEUTICALS—CURRENT INDIAN TITLES"

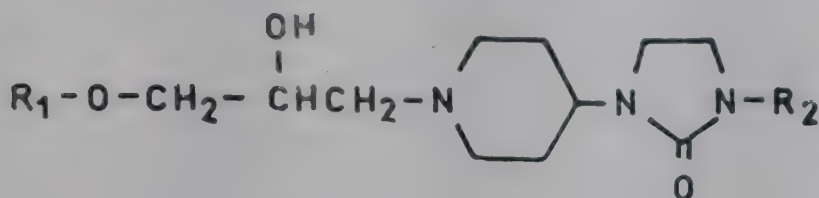
a monthly bulletin

For details, Contact NICDAP.

C. CARDIOVASCULAR SYSTEM

P80C001. 1-Hydroxypropyl-4-diazaheterocyclyl piperidines—hypotensives and sympatholytics.

Ciba Geigy Corp, US 4144-344, 13.3.79, Belg 850-556.



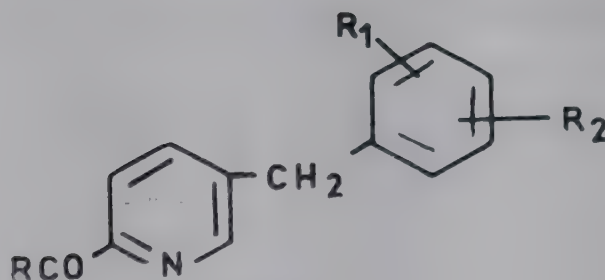
Where,

R_1 = lower alkyl, alkoxy, OH etc.,

R_2 = optionally substituted phenyl, naphthyl or pyridyl, etc.

P80C002. 5-Benzyl picolinic acid derivatives—hypotensives.

Nippon Skinyaku KK, US 4109-000, 22.8.78, Ger 2602-340.



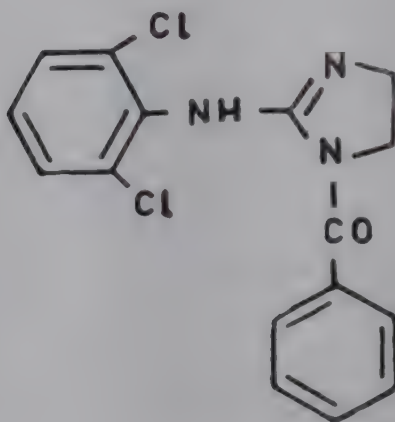
Where,

R = OH, alkoxy, etc.,

R_1 & R_2 = H, alkyl, halo, alkoxy, NO_2 , NH_2 , CONH_2 or COCH_3 .

P80C003. 1-Benzoyl-2-dichlorophenyl amino 2-imidazoline—hypotensive agent.

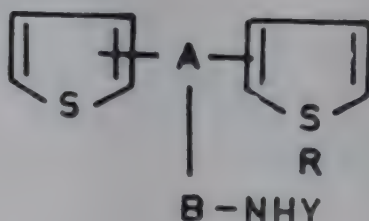
Chemie Linz AG, USSR 604-488, 17.3.78, Neth 7608-971.



These compounds have hypotensive activity but no sedative side effects.

P80C004. Bis-thiophene amine derivatives—cardioactive agents.

Deutsche Gold & Silber, Fr 2382-449, 3.11.78, Belg 862-800.

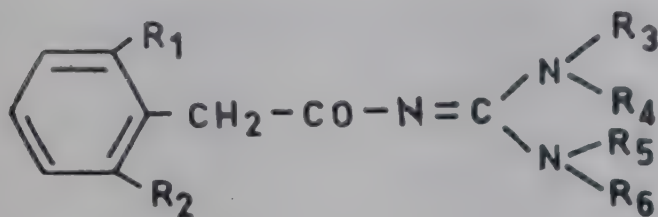


Where,

A & B = C(OH)-CH₂- or -C=CH₂-,

R = 1-5C alkylene,

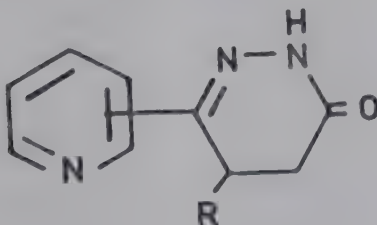
Y = 3-7C cycloalkyl, benzyl optionally substituted by 1-4C alkyl, alkoxy, etc.

P80C005. Guanidine derivatives—antihypertensive agents.**Wander AG**, USSR 508-182, 29.8.78, Belg 746-168.

Where,

 $R_1 = \text{H, Cl, or Me,}$ $R_2 = \text{Cl or Me,}$ $R_3, R_4, R_5 \text{ \& } R_6 = \text{same or different H or 1-6C alkyl, hydroxyalkyl or alkoxyalkyl.}$ **P80C006. Octahydropyrazino pyrimidinone derivatives—cardiovascular, gastric promoters anti-inflammatories, anorectic and analgesics.****Ferrosan AB**, Brit 2003-874, 21.3.79, Belg 870-227.

(For details refer patent P80B018—page 11).

P80C007. Pyridazinones—antihypertensives.**Yoshitomi Pharm Ind KK**, Jpn 4019-987, 15.2.79.

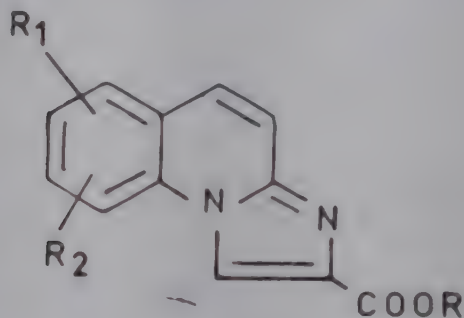
Where,

 $R = \text{H or lower alkyl.}$

D. RESPIRATORY SYSTEM

P80D001. Imidazoquinoline-2-carboxylic acid derivatives—antiallergics.

Pfizer Inc, Brit 1542-778, 28.3.79, US 4075-343.



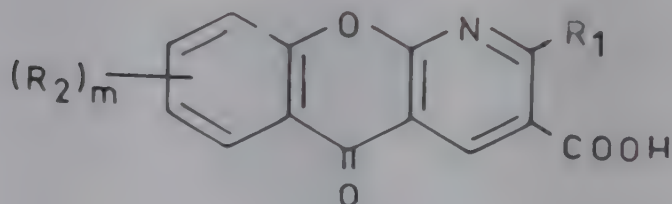
Where,

$R = \text{H}$ or 1-5C alkyl,

R_1 & $R_2 = \text{H}$, 1-5C alkyl, 1-5C alkoxy, F, Cl, Br, methylthio or methylsulphonyl

P80D002. 1-Aza-xanthone-3-carboxylic acid derivatives—antiallergics and bronchodilators.

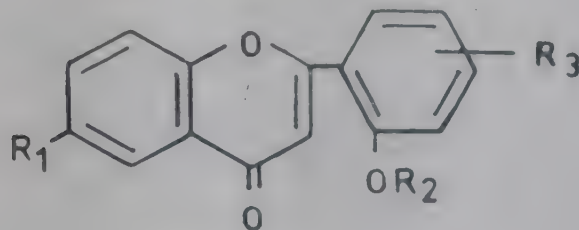
Tekada Chemical Ind Ltd, US 4143-012, 6.3.79, Belg 864-647.



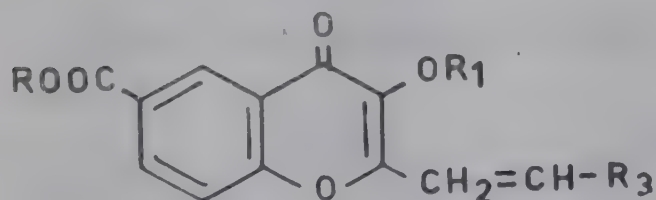
Where,

$R_1 = \text{H}$, 1-6C alkyl, phenyl, carboxy, OH, NH_2 or 1-3C alkyl amino,

$R_2 = 1-6\text{C}$ alkyl, 1-4C alkoxy, halogen, NO_2 , OH, COOH, NH_2 , etc.,
 $m = 0-2$.

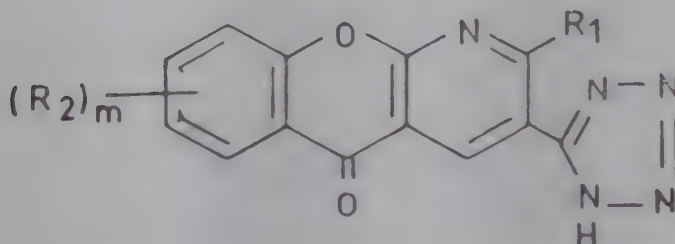
P80D003. 6-Carboxy-2-alkoxy flavone derivatives—antiallergics.**Erba C SPA**, Brit 1542-986, Belg 859-940.

Where,

 $R_1 = \text{COOH or COOR}_4$, $R_2 = \text{optionally substituted 1-6C alkyl or 3-4C alkenyl,}$ $R_3 = \text{1-4C alkyl,}$ $R_4 = \text{1-12C alkyl or 3-4C alkenyl.}$ **P80D004. 6-Carboxy-2-substituted vinyl thiochromones—oral antiallergics.****Erba C SPA**, US 4143-145, 6.3.79, Belg 855-657.

Where,

 $R = \text{H or 1-12C alkyl optionally substituted by 2-5C alkoxy or -NR}_2\text{R}_2$, $R_1 = \text{2-4C alkyl or 3-4C alkenyl,}$ $R_2 = \text{H or 1-10C alkyl,}$ $R_3 = \text{furyl, thienyl or pyridyl,}$

P80D009. 3-Tetrazolyl-1-azaxanthone derivatives—antiallergics.**Takeda Chemical Ind KK**, Belg 870-736, 26.3.79.

Where,

 $R_1 = \text{H}, \text{NH}_2 \text{ or } \text{OH},$ $R_2 = \text{alkyl, alkoxy, halo, NO}_2, \text{COOH, OH, etc.,}$ $m = 0, 1 \text{ or } 2,$

Used in the treatment of asthma, dermatitis, hayfever, etc.

* * * *

To keep abreast of the latest developments
in Pharmaceutical Industry,

read

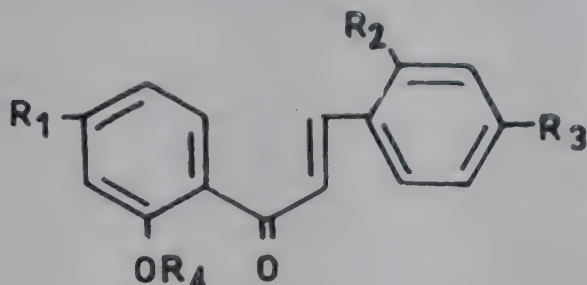
**DRUGS & PHARMACEUTICALS
INDUSTRY HIGHLIGHTS**

For details, contact NICDAP

E. GASTROINTESTINAL DISORDERS

P80E001. Chalcone derivatives—useful for treating peptic ulcer.

Taisho Pharmaceut KK, Jpn 4019-947, 15.2.79.



Where,

R_1 = 3-methyl-2-butenyloxy or carboxymethoxy,

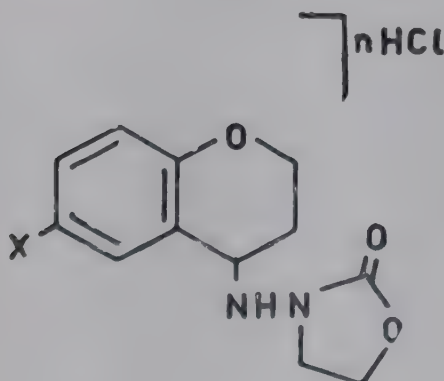
R_2 & R_3 = H, 3-methyl-2-butenyloxy or carboxymethoxy,

R_4 = H or CH_2COOH ,

3-Methyl-2-butenyl group is useful for antiulcerative properties and presence of CH_2COOH group makes their absorption by intestines more facile.

P80E002. 3-(4-Chromanil amino)-2-oxazolidinone derivatives—gastric acid inhibitors.

Morton Norwich Prod Inc, US 4108-862, 22.8.78, Belg 868-457.



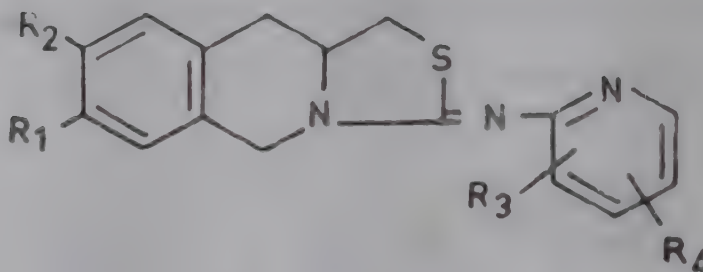
Where,

X = H or NH_2

$n = 0-1$.

**P80E003. 2-Pyridylimino thiazolo tetrahydro isoquinoline derivatives—
antiulcer agents.**

Rhone Poulenc Industries, US 4108-999, 22.8.73, Belg 851-146.



Where,

$R_1 = \text{H, halo, OCH}_3 \text{ or CN,}$

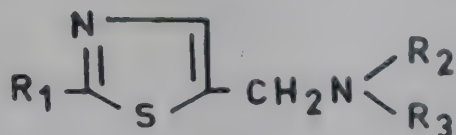
$R_2 = \text{H, halogen, OCH}_3 \text{ or } R_1 \text{ \& } R_1 \text{ together form methylenedioxy group,}$

$R_3 \text{ \& } R_4 = \text{H, halogen, 1-4C alkyl, 1-4C alkoxy, 1-4C alkylthio, etc.}$

F. METABOLIC AND DEGENERATIVE DISORDERS

P80F001. 2-Alkyl-5-thiazolemethylamines—antilipolytics and hypoglycaemics.

Roussel Uclaf, US 4108-994, 22.8.78, Belg 846-059.



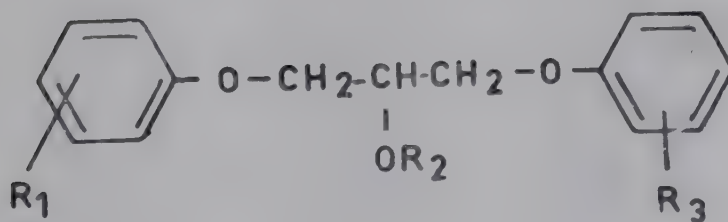
Where,

R_1 = 1-6C alkyl,

R_2 & R_3 = H, 1-6C alkyl or together form pyrrolidin, imidazolidin, etc.

P80F002. 1, 3-Disubstituted propan-2-ols—hypolipaemics.

Klinge Pharma GmbH & Co, US 4109-013, 22.8.78, Belg 836-870.

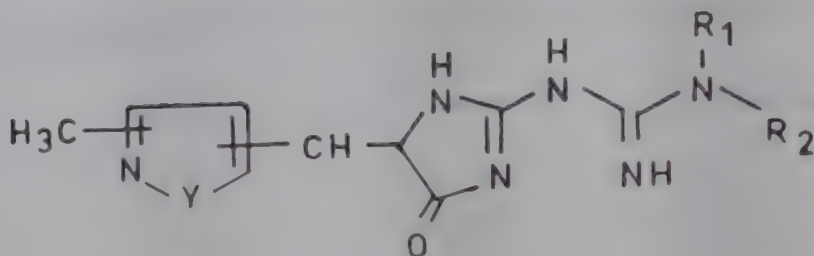


Where,

R_1 = Cl or C(CH₃)₃,

R_2 = H,

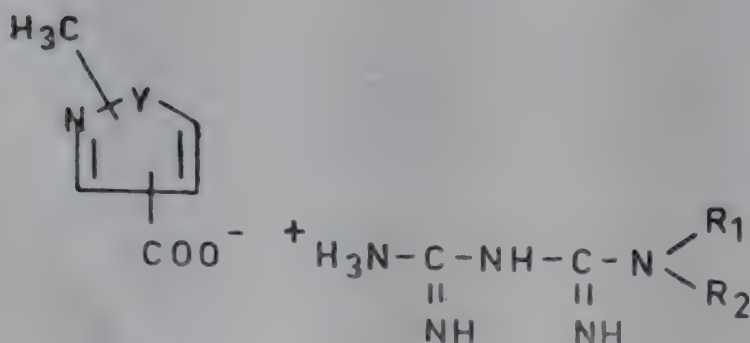
R_3 = CONHOH.

P80F003. 2-Guanidino-4(5H)-imidazolone derivatives—antidiabetics.**Taiho Pharm KK, Jpn 4019-977, 15.2.79.**

Where,

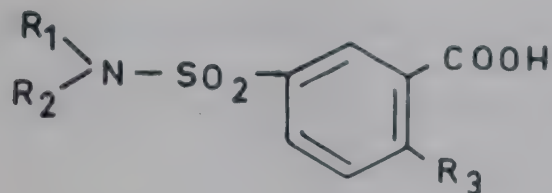
 R_1 & R_2 = H, lower alkyl, aryl and arylalkyl, $Y = O$ or NH ,

They decrease the blood sugar levels as well as the blood levels of free fatty acids.

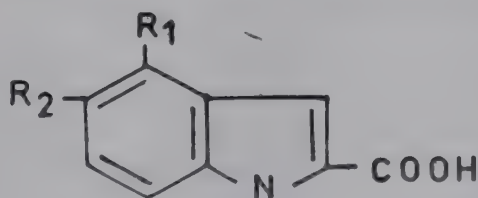
P80F004. Heterocyclic biguanide salts—blood sugar lowering and hypolipaeamic agents.**Taiho Pharm KK, Jpn 4014-968, 3.2.79.**

Where,

 R_1 & R_2 = lower alkyl, ethyl, methyl, propyl or butyl, $Y = O$ or NH .

P80F005. 2-Substituted-5-sulphamoyl benzoic acids hypolipaemic agents.**Pfizer Inc**, USSR 484-686, 9.11.76, Belg 772-381.

Where,

 $R_1 = \text{H}$, lower alkyl, 5-8C cycloalkyl, benzyl or phenyl, $R_2 = (\text{C}_6\text{H}_5-(\text{CH}_2)_n)$ ($n=0-3$, and phenyl is optionally substituted by Cl, Br, alkyl alkoxy, etc.), $R_3 = \text{amino}$, alkylamino, piperidino.**P80F006. 4, 5-Substituted indole-2-carboxylic acid derivatives—hypoglycaemics.****Boehringer Mannheim GmbH**, USSR 509-219, 29.8.78, Neth 7300-871.

Where,

 R_1 & $R_2 = 1-5\text{C}$ alkyl, 1-5C alkoxy, F, Cl, or Br or R_1 & R_2 together 3-5C alkylene.

G. INFECTIOUS DISEASES

P80G001. 3-Acylamino-4-homoisotwistane derivatives—antivirals.

Sumitomo Chemical KK (KAOS), Jpn 401-956, 3.2.79, Belg 868-689.



Where,

R=alkoxy, aryloxy, etc.

P80G002. A process for preparing new antibiotic substances.

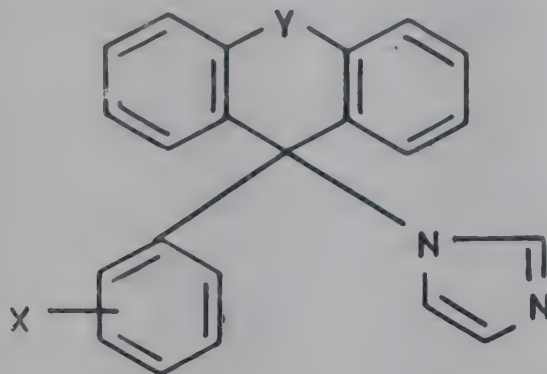
Gruppo Lepetit SPA, Ind 141371, 23.7.75.

The new antibiotics were obtained by fermentation of strains belonging to the genus *Actinoplanes*. The metabolite B is also named as gardimycin, mp=260°C, $(\alpha)_D = 44^\circ$ (DMF). Molecular weight 2005-2198, UV (MeOH) 273 (Sh), 280, 299.

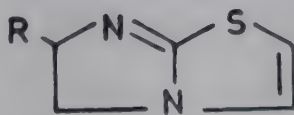
P80G003. ω -1,3-Dithiolan-2-iminoacetic acid derivatives—anti-inflammatories and antibacterials.

Richardson Merrell Inc, US 4131-683, 26.12.78.

(For details refer patent P80B006—page 5).

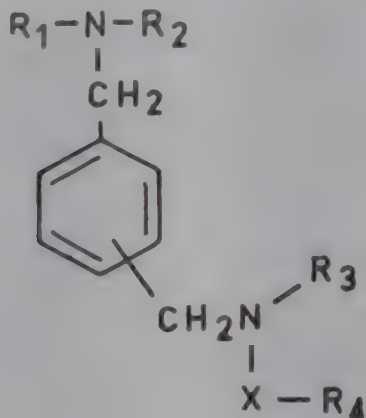
P80G004. N-Substituted imidazoles as microbiocides.**Bayer AG**, US RE29-935, 13.3.79, Belg 742-389.

Where,

 $Y = -(CH_2)_n -$ or $-CH=CH-$, $X = 1-4C$ mercaptoalkyl, halogen, nitro, cyano or CF_3 , $n = 0, 1$ or 2 .**P80G005. Imidazothiozole derivatives—antihelminthics.****Janssen Pharmaceut MV**, USSR 492-090, 3.11.76, Neth 6505-806.

Where,

 $R =$ thienyl, furyl, phenyl, halophenyl, etc.

P80G006. Substituted phenylene dimethylene diamines—antivirals.**Pfizer Inc**, US 4144-255, 13.3.79, US 4058-562.

Where,

 $R_1 \& R_2 = H$, $R_3 \& R_4 = 14\text{-}20\text{C alkyl}$, $X = CO$.

• • • •

For Photocopies of articles,

Please contact NICDAP

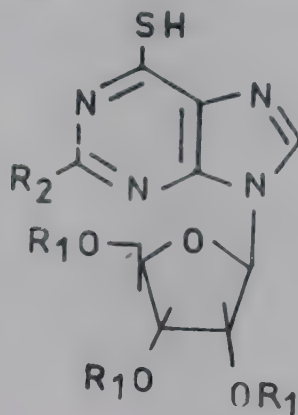
Photocopying charges:

Re. 1/- per page with a minimum charge of
Rs. 5/- per article.

H. ANTINEOPLASTIC AGENTS

**P80H001. Bis-(-nicotinoyl ribofuranosyl thiopurine) disulphide compounds—
anticancers.**

Morishita Pharm KK, Jpn 3124-292, 30.10.78.



Where,

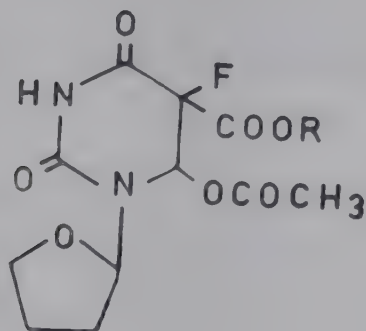
R_1 = nicotinoyl,

R_2 = H or nicotinoylamino,

These compounds are antivirals also.

**P80H002. 5-Fluorohexahydro pyrimidine carboxylic acid derivatives—
antitumors.**

Takeda Chemical Ind KK, Jpn 3124-276, 30.10.78, Belg 853-065.

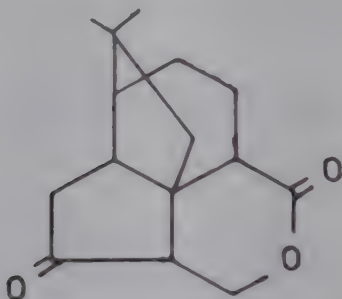


Where,

R = lower alkyl (CH_3 , C_2H_5 , C_3H_7 , etc.).

P80H003. Quadrone—antitumors.

Grace W. R. Co, Belg 869-439, 1.12.78.



The compound was obtained by culturing *Aspergillus terreus* strains. It has an ED_{50} of $1.3 \mu\text{g/ml}$ against KB cells *in vitro* and gives a 285% prolongation of life when administered at a daily dose of 12.0 mg/kg to mice with Lewis carcinoma of the lung.

I. BIOCHEMICAL PHARMACOLOGY**P80I001. Method of purifying an aqueous solution of Urokinase.**

Choay SA, Ind 141112, 17.9.73.

The method of purification comprises of adding $(\text{NH}_4)_2\text{SO}_4$ in a concentration sufficient to precipitate pyrogenic substances but insufficient to precipitate urokinase and recovering the supernatant liquid containing urokinase.

K. PHARMACEUTICS

P18K001. Tablets with a uniform elution rate.

Nippon Kayaku KK, Fr 2382-234, 3.11.78, Ger 2808-505.

The tablets having uniform elution speed contains a water soluble component and cavities on its surface. These cavities are covered by a coating which is insoluble in water but permeable to water.

The coating materials are methacrylic ester copolymers, acid, methacrylic ethylcellulose, cellulose acetate, polyvinyl acetate, etc.

These tablets have reduced side effects and maintain the required concentration of the active ingredient for prolonged period.

L. NATURAL PRODUCTS

P80L001. Oleanolic acid—pharmaceutical production.

Orchard Vines Wines, USSR 594-104, 1.2.78.

Oleanolic acid is obtained from completely digested grape waste by drying, grinding and extracting with chloroform methanol mixture.

P80L002. Purification of *Zoapatle* plant extracts.

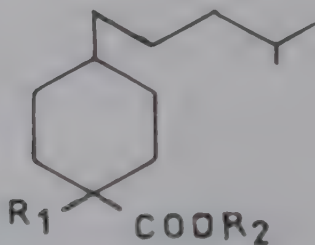
Ortho Pharm Corp, US 4112-078, 5.9.78, GB 2001-979.

Purification of residue containing biologically active materials obtained by extracting the plant residue with an acylating agent selected from aliphatic 1-10C acyl halides and acid anhydrides in the presence of base, reacting the resulting mixture first with a reducing agent and then with MnO_2 and separating the components of mixture to yield a compound having two acyl groups and a ketogroup and a compound having two acyl groups and a hydroxyl group.

M. GENERAL

P80M001. 4-Isohexyl-cyclohexane carboxylic acid derivatives—pharmaceuticals.

Hisamitsu Pharm KK, Neth 7804-192, 19.12.78.



Where,

R₁=H,

R₂=aminoacid residue.

The compounds are useful as pharmaceuticals with antiallergic, anti-inflammatory, antiulcers, antibacterial and antithrombotic activity.

P80M002. Microcrystalline cellulose produced by acid or alkali treatment of cellulosic materials.

Asashi Chemical Inds KK, (FMCC), Jpn 4020-126, 15.2.79, Belg 865-923.

The crystalline cellulose is obtained by acid hydrolysis or alkalioxidative decomposition of cellulose substance-linter, pulp or regenerated cellulose.

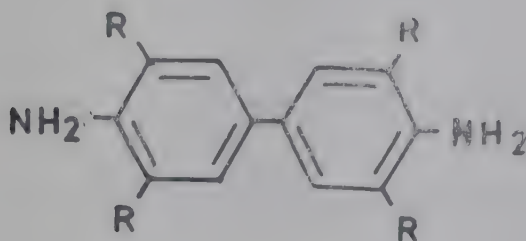
P80M003. Phthalide production.

Dynamit Nobel AG, Belg 869-519, 1.12.78.

Phthalide is prepared by chlorination of *o*-toullic acid at 33-260°C under conditions suitable for the chlorination of the side chain, cycliation to chlorophthalide and conversion to phthalide by catalytic hydrogenation.

P80M004. 3,3',5,5'-Tetra alkyl-benzidines as oxidation indicators for detection of hydrogen peroxide and peroxidases.

Boehringer Mannheim GmbH, Jpn 9003-394, 22.2.79, Ger 2460-903.



Where,

R=1-4C alkyl.

N. NEW TECHNIQUES

P80N001. Test paper for occult blood detection.

Eiken Kagaku KK, Jpn 4024-697, 24.2.79.

The test paper contains 2-aminobenzthiazole compounds as sensitiser and hydroperoxide and colouring matter as essential components.

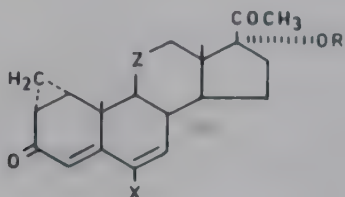
The test paper has a high sensitivity to occult blood and is useful for the qualitative analysis and semiquantitative determination of occult blood.

Note: Foreign subscription includes delivery by Air Mail.
Multiple copies subscription rate available on request.

A. ENDOCRINE SYSTEM

P80A004. 1- α ,2- α -Methylenesteroid derivatives—gestregenic agents.

Schering AG, Ger 2047-071, 29.3.79.



Where,

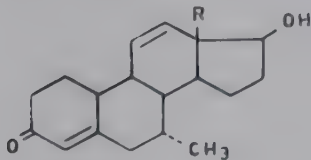
X=H, F, Cl or Me,

Z= β -CH(OH) or C=O,

R=H, alkyl or acyl.

**P80A005. 3-oxo-7- α -methyl-13- β -substituted-17 β -hydroxy gona-4,11-dienes—
anabolic and androgenic agents.**

Roussel Uclaf, Ger 2037-401, 29.3.79, Neth 7010-949.

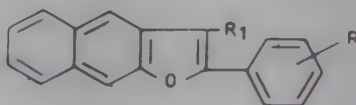


Where,

R=1-4C alkyl.

P80A006. 2-Phenyl naphthofurans—antifertility agents.

Sterling Drug Inc, US 4111-962, 5.9.78, US 118076, 23.2.71.



Where,

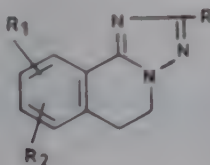
R = halogen,

R₁ = H.

The title compounds are used for preventing or reducing the incidence of pregnancy in female mammals at a daily dose of 50-200 mg/kg.

P80A007. 2-Phenyltriazolo isoquinoline derivatives—post implantation antifertility compounds.

Gruppo Lepetit SPA, Neth 159-580, 15.3.79, Belg 815-499.



Where,

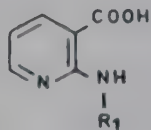
R = optionally substituted phenyl or pyridyl,

R₁ & R₂ = H or alkoxy.

B. NERVOUS SYSTEM

P80B032. 2-Amino nicotinic acid derivatives—anti-inflammatories.

Hisamitsu Pharm KK, Jpn 4024-877, 24.2.79.

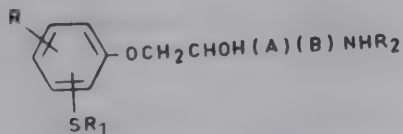


Where,

R₁=aryl or optionally substituted pyridyl.

P80B033. 1-Alkylthiophenoxy-3-amino propan-2-ol derivatives—muscle relaxants.

Bristol Myers Co, Ger 2844-353, 19.4.79, Belg 871-143.



Where,

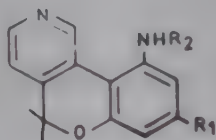
R, A & B=H or 1-4C alkyl,

R₁=1-8C alkyl,

R₂=6-12C alkyl or 5-8C cycloalkyl.

P803034. Benzopyranopyridines—analgesics, tranquillizers, sedatives and hypnotics.

Abbott Laboratories, US 4111-942, 5.9.78.



Where,

R_1 = 3-20C alkyl or halophenyl,

R_2 = H, 1-6C alkanoyl, 1-6C alkyl sulphonyl, 1-6C alkoxy carbonyl, etc.

P80B035. 2-Biphenyl N-diethylaminoalkyl propionamides—spasmolytics.

Menarini ASAS, Belg 872-403, 16.3.79.



Where,

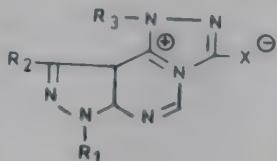
$n = 2$ or 3 .

P80B036. 2-Chlorophenyl furfuryl aminomethyl pyridine dihydrochloride—anti-inflammatory agent.

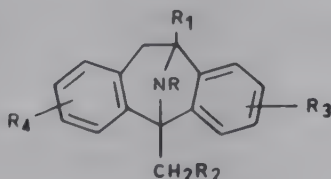
Morton Norwich Prod Inc, US 4145-348, 20.3.79.



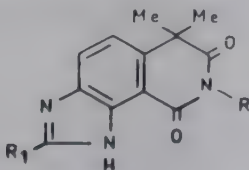
The title compound is prepared by reacting 5-chlorophenyl furfural with 2-aminomethylpyridine in solvent followed by treatment with NaBH_4 & HCl .

P80B037. 1, 7-Dehydro 1-substituted pyrazolo-1, 2, 4-triazolo pyrimidines—anti-inflammatories.**Von Heyden GmbH**, Ger 2838-029, 22.3.79, US 4124-764.

Where,

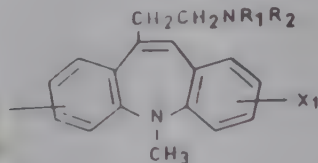
 $R_1 = \text{H}$, 1-7C alkyl, monohydroxy alkyl cycloalkyl, etc., $R_2 = \text{H}$, 1-7C alkyl, $R_3 = 1-7\text{C}$ alkyl, monohydroxy alkyl or 3-7C cycloalkyl, $X = \text{O}$ or S .**P80B038. Dibenzo cyclooctene cyclicimine derivatives—anxiolytics, muscle relaxants and antiparkinsons.****Merck & Co Inc**, Neth 7808-848, 21.3.79, Belg 870-563.

Where,

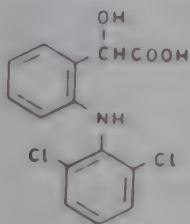
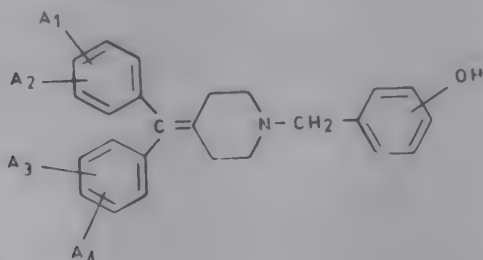
 $R = \text{H}$, alkyl, alkenyl, phenylalkyl, cycloalkyl, etc., $R_1 = \text{H}$, alkyl, alkenyl, alkoxy, phenylalkyl or cycloalkyl, etc., $R_2 = \text{H}$, alkyl, alkenyl, etc., R_3 & $R_4 = \text{H}$, halo, alkoxy, CF_2 , CN , COOH or OH , etc.**P80A039. 7, 7-Dimethyl imidazo isoquinoline-4, 6-dione derivatives—anxiolytics.****Thomaek GmbH**, Fr, 2398-069, 23.3.79, Belg 869-191, Ger 732906, 21.7.77.

Where,

 $R = \text{H}$ or $(\text{CH}_2)_n \text{NR}_2\text{R}_3$, $R_1 = \text{lower alkyl}$, phenylalkyl, 3-6C cycloalkyl etc, $R_2 = \text{H}$ or lower alkyl, $R_3 = \text{optionally substituted lower alkyl}$, piperidino, morpholino, etc. $n = 2-5$.

P80B040. Dibenzoazepines—analeptics.**Roussel Uclaf, Ger 2026-080, 22.3.79.**

Where,

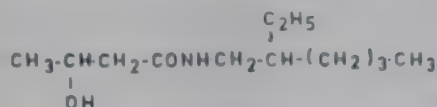
 R_1 & R_2 = 1-4C alkyl, X & X_1 = H or Cl.**P80B041. Dichloroanilino phenyl glycolic acid—analgesic, anti-inflammatory and antipyretic agent.****Asahi Kasei Kogyo, Fr 2395-251, 23.2.79, Ger 2751-224.****P80B042. 4-Diphenylmethylene-1-hydroxybenzyl piperidines—anticonvulsants and cardiovascular agents.****UCB, Brit 1542-823, 28.3.79, Belg 862-769.**

Where,

 A_1, A_2, A_3 & A_4 = H, halogen, halomethyl, haloalkyl, etc.

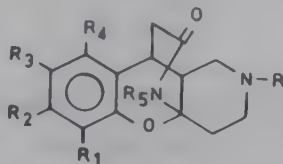
P80B043. N-(2-Ethylhexyl)- β -oxybutyramide—antianxiety and sleep inducing agents.

Sakuma A, Jpn 4024-822, 24.2.79.



P80B044. Hexahydro benzopyrano pyridine derivatives—antidepressants.

Lipha Lyonnaise Ind., Fr 2395-270, 23 2.79.



Where,

R = H or optionally unsaturated and branched lower alkyl, arylalkyl, haloalkoxy, carbonyl, etc.,

R₁ = H, halogen or lower alkyl,

R₂ = H or halogen,

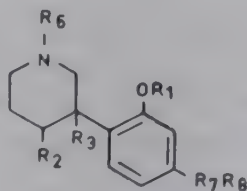
R₃ = H, halogen, lower alkyl, alkoxy, NO₂, NH₂, etc.,

R₄ = H, halogen or combines with R₃,

R₅ = H, lower alkyl or aralkyl.

P80B045. 3-Hydroxyphenyl azacycloalkanes—analgesics, tranquillisers, sedatives and anxiolytics.

Pfizer Inc, Neth 7809-272, 15.3.79, Belg 870-403.



Where,

R₁ = H, benzyl, 1-5C alkenoyl, CO(CH₂)₂COOH, CO(CH₂)_nNR₄R₅, etc.,

R₂ = OH, 1-6C alkyl or aralkylphenyl, etc.,

R₃ = H or OH,

R₄ & R₅ = H, 1-4C alkyl or together with N forms piperidino, pyrrolo, etc.,

R₆ = H, 2-6C alkenyl, etc.,

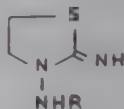
n = 1-4,

R₇ = 1-13C alkylene,

R₈ = pyridyl or substituted phenyl.

P80B046. 2-Imino-3-amino thiazolidine derivatives—for treating schizophrenia.

Merck & Co Inc, Brit 1543-124, 28,3.79, Ger 2726-793.

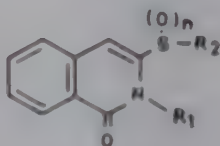


Where,

$R = H, CH_3$ or C_2H_5 .

P80B047. 3-Substituted 2-alkyl-1-oxo-1, 2-dihydroisoquinoline derivatives—analgesics and antiinflammatories.

Yamanouchi Pharm KK, Jpn 4024-882, 24.2.79, Ger 2828-528.



Where,

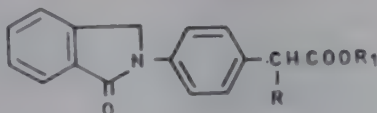
R_1 = lower alkyl or phenyl,

R_2 = lower alkyl,

$n = 0-2$.

P80B048. 1-Oxo-2-(*p*-carboxy methyl phenyl)-isoindoline derivatives—analgesics and anti-inflammatories.

Erba C SPA, USSR 607-552, 20.4.78, Neth 7115.288.



Where,

$R = H$ or 1-4C alkyl,

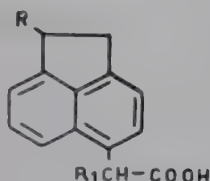
$R_1 = H, 1-4C$ alkyl or $(CH_2)_n NR_2R_3$,

$n = 1$ or 2 ,

R_2 & $R_3 = H$ or 1-4C alkyl.

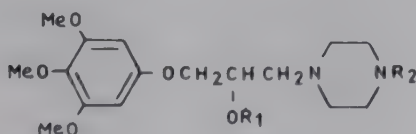
P80B049 2-Phenylglycidamides—sedatives, hypnotics and spasmolytics.**Shell Int Res Mij BV**, Ger 2001-128, 22.3.79.

The preparation of this compound comprises removal of HCl from 2, 4-dichloro-2-(dichloromethyl) mandelamide by treatment with NaOMe in MeOH.

P80B050. 1-Phenyl-5-acenaphthene acetic acid derivatives—anti-inflammatories, analgesics & antihypertensives.**Lilly Ind Ltd**, Brit 2005-673, 25.4.79.

Where,

R = optionally substituted phenyl,

R₁=H or 1-4C alkyl.**P80B051. 1-Piperazinyl-3-(trimethoxy phenoxy)-2-propanol derivatives—neuroleptics, antipyretics and antioedema agents.****Deutsche Gold & Silber**, Fr 2395-264, 23.2.79, Ger 2814-168.

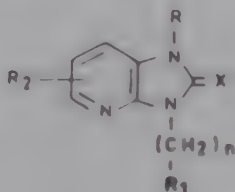
Where,

R₁=H, 2-6C alkyl, 3-6C alkenoyl, etc.,R₂=optionally substituted phenyl, naphthyl, pyridyl, etc.

P80B052. Pyrrole carboxylic acid esters—uricosuric agents.**Lab Rolland**, Belg 870-910, 29.3.79.

Where,

R = 1-6C alkyl, benzyl or phenyl,

R₁ = H or 1-4C alkyl,R₂ = optionally substituted phenyl, naphthyl or a heterocyclic ring.**P80B053. 1-Substituted dihydro imidazopyridin-2-thiones—analgesics, antipyretics and anti-inflammatories.****Merck & Co Inc.** Brit 1542-940, 28.3.79, Belg 842-255.

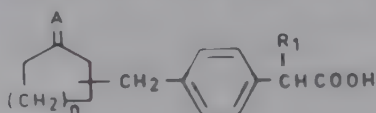
Where,

R = H, optionally substituted 1-7C alkyl, 2-6C alkenyl, 2-5C alkyneyl, etc.,

R₁ = substituted phenyl, pyridylalkyl or cycloalkyl,R₂ = 1-5C alkyl, NO₂, NH₂, halogen,

X = O or S,

n = 0-3.

P80B054. Substituted phenyl acetic acid derivatives—anti-inflammatories analgesics and antipyretics.**Sankyo KK**, Fr 2395-256, 23.2.79, Ger 2814-556, Jpn 038906, 5.4.77.

Where,

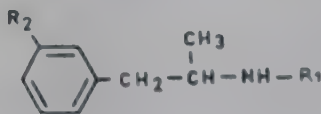
R₁ = H or lower alkyl,

A = oxo or hydroxyamino,

n = 1, 2 or 3.

P80B055. 2-(3-substituted phenyl) ethylamine derivatives—CNS active, sympathomimetic and hypotensive agents.

Boehringer Sohn CF, USSR 606-549, 19.4.78, Neth 7504-917.



Where,

R_1 = cyanomethyl or $(CH_2)_n R_3$,

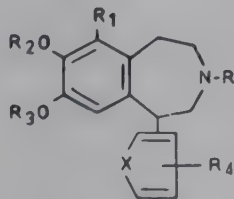
R_2 = NO_2 , $-NH-CO-CH_3$, $NHCONH_2$ or NH_2 ,

R_3 = H, benzoyl, etc.,

$n = 1$ or 2 .

P80B056. Tetrahydro benzazepines—dopaminergics, hypotensives, diuretics and antiparkinsons agents.

Smith Kline Corp, US 4111-957, 5.9.78, Ger 2804-285.



Where,

R = H, benzyl, phenylethyl, 1-5C alkanoyl, 1-5C alkyl, hydroxyethyl, etc.,

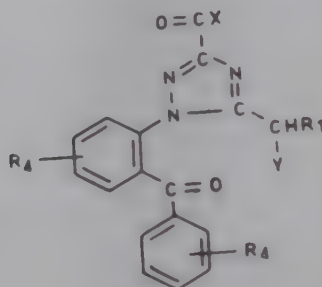
R_1 = H, halo, CF_3 , SCH_3 , SCF_3 , etc.,

R_2 & R_3 = H, 1-5C alkyl, 2-5C alkanoyl, etc.,

R_4 = H, halo, cyanomethyl, etc.

P80B057. Triazoyl benzophenones--anticonvulsants, tranquillizers, narcotics and CNS inhibitors.

Ciba Geigy Corp, US 4111-950, 5.9.78, Neth 7301-601.



Where,

R_1 = 1-3C alkyl,

Y = OH, Cl or I,

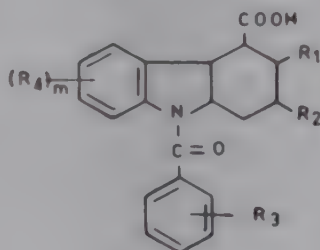
X = NR_2R_3 ,

R_2 & R_3 = H, 1-6C alkyl, 4-7C hydroxyalkyl or together with N form pyrrolinyl or piperidino,

R_4 = F, Cl, CF_3 or NO_2 .

P80B058. Tetrahydro carbazole tricarboxylic acid derivatives--anti-inflammatories.

Troponwerke Dinklag Co, Ger 2740-851, 22.3.79.



Where,

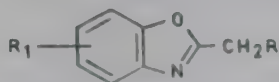
R_1 & R_2 = COOH, CO-O-CO or -CO-NR₅-CO,

R_3 = H, halogen, 1-3C alkyl or 1-2C alkoxy,

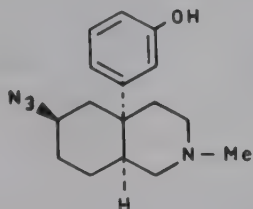
R_4 = H, halogen or 1-4C alkoxy,

R_5 = H, 1-5C alkyl, aminoalkyl, etc.,

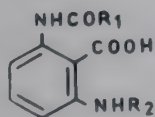
m = 1-3,

P80B059. 2-Aminomethyl benzoxazoles—antiphlogistics and analgesics.**Mitsubishi Chem Ind KK**, Jpn 4030-161, 6.3.79.

Where,

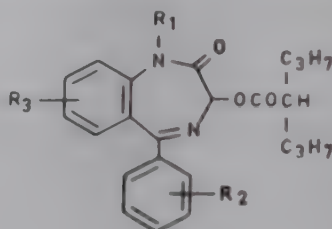
R = NH₂, 1-10C alkylamino, 2-20C₂ dialkylamino, etc.,R₁=H, halogen, 1-3C alkyl.**P80B060. 6-Azido decahydro 4a-(3-hydroxyphenyl) 2-methyl *cis* isoquinoline—analgesic and CNS depressant.****Sandoz Patent GmbH**, Ger 2839-731, 5.4.79.

This isomer also acts as a morphine antagonist.

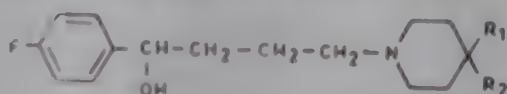
P80B061. 2, 6-Diaminobenzoic acid derivatives—anti-inflammatories.**Senwa Kagaku Kenkyusho**, Ger 2128-381, 5.4. 79, Belg 768-276.

Where,

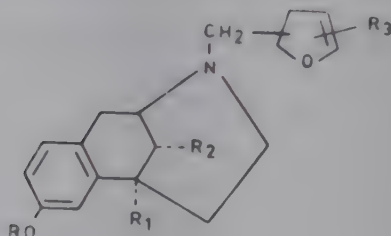
R₁=lower alkyl, alkenyl, cinnamoyl, phenoxyethyl or optionally substituted phenyl,R₂=lower alkyl, benzoyl or optionally substituted phenyl.

P80B062. Dipropyl acetoxy benzodiazepine derivatives—spasmolytics and anticonvulsants.**Gerot Pharmazeutika, Belg 872-597, 30.3.79.**

Where,

 $R_1 = \text{H}$, lower alkyl, alkoxyalkyl, acyloxy, and alkyl, etc., R_2 & $R_3 = \text{H}$, halogen, CF_3 or NO_2 .**P80B063. α -Fluorophenyl butanol derivatives—CNS depressants.****Sumitomo Chemical KK, Ger 2065-426, 5.4.79, Belg 757-994.**

Where,

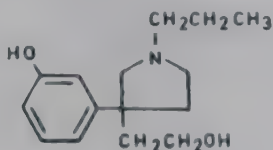
 $R_1 = \text{H}$ or OH , $R_2 = \text{optionally substituted phenyl}$.**P80B064. 2-Furylmethyl 6, 7-benzomorphans—CNS active agents.****Boehringer CH Sohn, Ger 2105-743, 5.4.79, Neth 7201-551.**

Where,

 $R = \text{H}$ or acetyl, R_1 & $R_2 = \text{methyl}$ or ethyl , $R_3 = \text{H}$ or methyl .

P80B065. 3-(*m*-Hydroxyphenyl) 1-propylpyrrolidine-2-ethanol—psychotic agent.

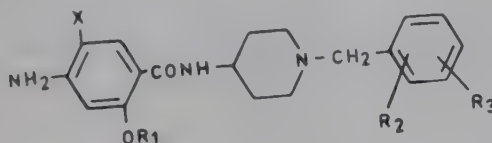
Sandoz SA, Fr 2396-752, 9.3.79, Belg 856-392.



The title compound has morphine antagonism properties and is useful in the treatment of psychotic disorders such as schizophrenia.

P80B066. N-Heterocyclyl substituted benzamides—antiemetics.

Anphar SA (Gall), Neth 159-660, 15.3.79.



Where,

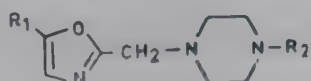
X = Cl or Br,

R₁ = methyl, ethyl, etc.,

R₂ & R₃ = H, Cl, OMe, etc.,

P80B067. 2-Piperazinyl methyl-5-phenyl oxazole derivatives—analgesics and anti-inflammatories.

Mitsubishi Chem Ind KK, Jpn 4030-191, 6.3.79.



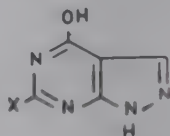
Where,

R₁ = optionally substituted phenyl,

R₂ = 1-3C alkyl, 3-4C hydroxy alkyl or 3-6C alkoxy alkyl.

P80B068. Pyrazolo (3, 4-d) pyrimidines—xanthinooxidase inhibitors.

Wellcome Foundation Ltd, Ger 1814-082, 5.4.79.



Where,

X=H or OH.

These compounds are used in the treatment of gout and other diseases where purine oxidation must be inhibited.

Recent articles published in Indian Periodicals

All in one package

See "DRUGS & PHARMACEUTICALS—CURRENT INDIAN TITLES"

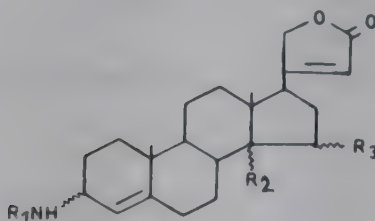
a monthly bulletin

For details, contact NICDAP.

C. CARDIOVASCULAR SYSTEM

P80C008. 3-Amino cardenolides—cardiotonic agents.

Hoechst AG, Ger 2053-117, 22.3.79, Belg 774-627.



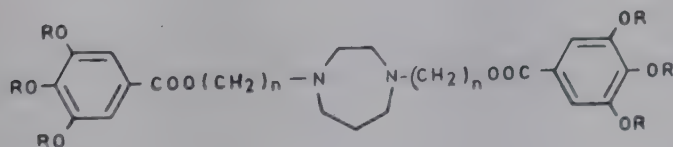
Where,

$R_1 = \text{H}$ or lower alkanoyl,

R_2 & R_3 = together form an additional C-C bond.

P80C009. Bis-(benzoyloxy propyl) homopiperazine derivatives—vasodilators and blood circulatory drugs.

Kowa KK, Jpn 4027-592, 1.3.79.



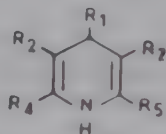
Where,

$n = 2$ or 3 ,

$R = \text{H}$ or OCH_3 .

P80C010. 1,4-Dihydro pyridine-3,5-diester—vasodilators and antihypertensives.

Fujisawa Pharm Ind KK, US 4145-432, 20.3.79, Belg 843-576.



Where,

R_1 =phenyl, nitrophenyl or halophenyl,

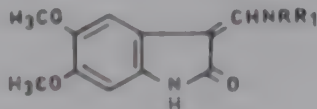
R_2 =lower alkoxy carbonyl,

R_4 =alkanoyloxy alkyl, carboxy, alkanoyloxy alkyl, etc.,

R_5 =lower alkyl.

P80C011. 5,6-Dimethoxy-3-aminomethylene indole-2-one compounds—antihypertensive agents.

Abbott Laboratories, US 4145-422, 20.3.79.



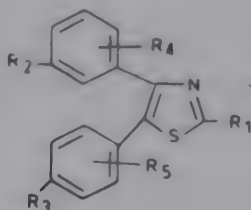
Where,

R & R_1 =together are $-CH_2CH_2NR_2$, CH_2CH_2- ,

R_2 =alkoxycarbonyl.

P80C012. 4,5-Diphenyl thiazole derivatives—blood platelet agglutination inhibitors.

Up John Co, Belg 870-851, 28.3.79.



Where,

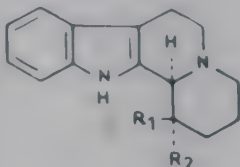
R_1 =H, CF_3 , 1-4C alkyl, etc.,

R_2 & R_3 =H, F, Cl, Br, CF_3 , 1-4C alkoxy or 1-4C alkylthio,

R_4 & R_5 =H or 1-4C alkoxy.

P80C013. 1-Ethyl-indolo-(2, 3-a) quinolizidine derivatives—cerebral blood flow regulators.

Scras Soc Civile RE, Belg 872-134, 16.3.79.

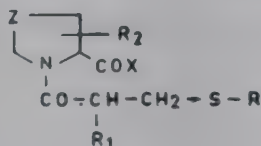


Where,

R_1 & R_2 = ethoxycarbonyl, COOH, CN, primary or secondary methylene-amino, methyleneamido, etc.,

P80C014. 3-Mercapto-2-methyl propionyl thiazolidinyl-4-carboxylic acids—antihypertensives.

Science Union & Cie, Belg 870-801, 27.3.79, Fr 029109, 28.9.77.



Where,

R = H, lower alkyl, lower aralkyl, alkenyl, etc.,

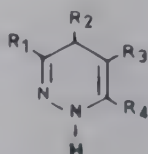
$R_1 = R_2$ = H or lower alkyl,

Z = S, SO or SO_2 ,

X = hydroxy, lower alkoxy, amino, etc.

P80C015. Polysubstituted dihydropyrazine derivatives—vasodilators and antihypertensives.

Bayer AG, Ger 2741-260, 22.3.79.



Where,

R_1 = optionally substituted alkyl, phenyl, pyridyl, NH_2 , etc.,

R_2 = aryl, thienyl, furyl, pyrrol, pyrazolyl, etc.,

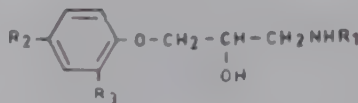
R_3 = H or COR_5 ,

R_4 = H, optionally branched alkyl, perfluoroalkyl, etc.,

R_5 = alkyl, aralkyl or together with R_4 forms a heterocyclic ring.

P80C016. 1-Phenoxy-3-amino-2-propanol derivatives— β -adrenergic blocking agents.

Hassle AB, US 4145-442, 20.3.79, Belg 797-414.



Where,

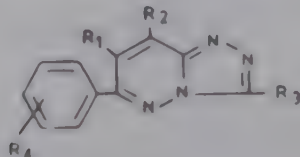
R_1 = hydroxyalkyl,

R_2 = alkoxy,

R_3 = halogen, alkenyl or alkoxymethyl.

P80C017. 6-Substituted phenyl-1, 2, 4-triazolo (4, 3-b) pyridazines—antihypertensives.

American Cynamide Co, US 4112-095, 5.9.78, US 730395, 7.10.76.



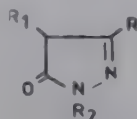
Where,

R_1 , R_2 & R_3 = H or 1-3C alkyl,

R_4 = F, Cl, Br, CN, CF_3 , NO_2 , NH_2 , $MeCONH$, $CONH_2$, etc.

P80C018. 1-Substituted pyrazol-5-ones—diuretics, saluretics and antihypertensives.

Bayer AG, US 4112-227, 5.9.78, Belg 813-746.

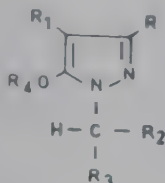


Where,

$R = NH_2$,

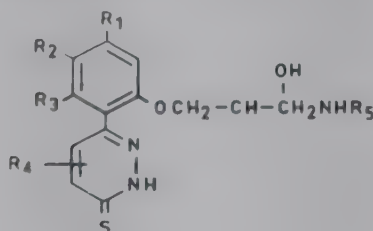
R_1 = H or lower alkenyl,

R_2 = optionally substituted propenyl.

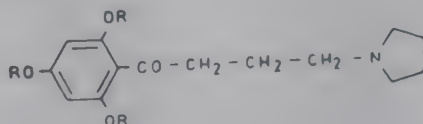
P80C019. Substituted pyrazoles—diuretics and antithrombotics.**Bayer AG, US 4112-109, 5.9.78, Belg 842-841.**

Where,

R = H or lower alkyl,

R₁ = 1-4C halo, alkylthio, etc.,R₂ = lower alkyl,R₃ = optionally substituted 6-10C aryl or phenyl, etc.,R₄ = R₅CO,R₅ = lower alkyl, thioalkyl, haloalkoxy, thiohaloalkoxy, etc.**P80C020. 3-(Substituted phenyl)-6-thione pyridazines—beta adrenergic blocking agents and vasodilators.****Smith Kline & Fr LA, US 4111-936, 5.9.78.**

Where,

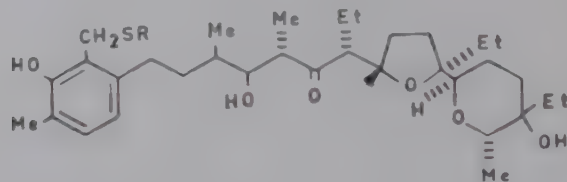
R₁, R₂ & R₃ = H, lower alkyl, F, Cl, Br, CF₃, OH, lower alkoxy, etc.,R₄ = H or CH₃,R₅ = isopropyl, *tert*-butyl or phenylethyl.**P80C021. 2, 4, 6-Trihydroxyphenyl-(3-pyrrolidino propyl)-ketone derivatives—vasodilators.****Lab Lajon I, Belg 870-717, 26.3.79.**

Where,

R = H or Me.

P80C022. Lasalocide derivatives—antihypertensive and cardiovascular agents.

Hoffmann La Roche AG, Ger 2841-840, 5.4.79.

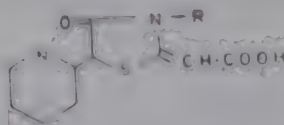


Where,

R = optionally substituted aryl, heteroaryl, arylalkyl, cycloalkyl, etc.

P80C023. 5-Piperidino 4-oxo-3-alkyl thiazolidine-2-acetic acid derivatives—diuretics.

Godecke AG, Ger 2414-345, 5.4.79, Belg 826-697.

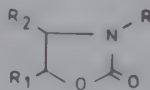


Where,

R = lower alkyl.

P80C024. 4, 5-Substituted 3-alkyl oxazolidone derivatives β -adrenolytics and vasodilating agents.

Menarini A SAS, Ger 2839-907, 5.4.79, Belg 870-675.



Where,

R = isopropyl, n-octyl or isobutyl,

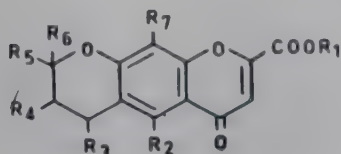
R₁ = naphthyloxymethyl, allylphenoxyethyl, etc.,

R₂ = H or CH₃.

D. RESPIRATORY SYSTEM

P80D010. 5, 7-Dihydro pyranochromone-2-carboxylic acid derivatives—antiallergics.

Eisai KK, Jpn 4024-895, 24.2.79, Belg 869-320.



Where,

R_1 =H, alkali metal or 1-4C alkyl,

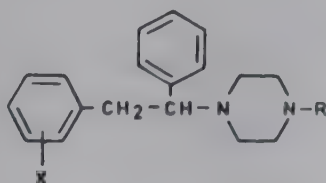
R_2 , R_3 & R_4 =H or 1-4C alkyl,

R_5 and R_6 =1-4C alkyl,

R_7 =H, OH, 1-4C alkyl or 1-4C alkoxy.

P80D011. 4-(1, 2-Diphenylethyl)-piperazine derivatives—antitussive agents.

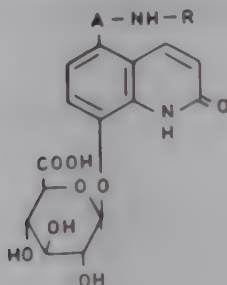
Dainippon Pharm KK, Brit 1542-959, 28.3.79, Ger 2610-433.



Where,

X =hydroxy, 2-methoxy, alkanoyloxy, etc.,

R =H, alkyl, hydroxyisoamyl, propyl, substituted phenyl, cycloalkyl, etc.,

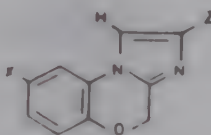
P80D012. Glucoronyl carbostyryl derivatives—antiasthmatics.**Otsuka Pharm KK, Jpn 4022-372, 20.2.79.**

Where,

R = lower alkyl,

A = $-\text{OCH}_2\text{-CHOH-CH}_2\text{-}$ or $-\text{CHOH-CH(C}_2\text{H}_5\text{)-}$

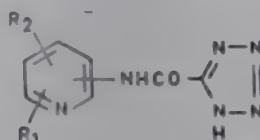
(Patent included at P79E005—page 66).

P80D013. Imidazo benzoxazine carboxylic acid derivatives - antiallergics, bronchodilators and antiasthmatics**Roussel Ucluf, US 4145-419, 20.3.79, Belg 854-850.**

Where,

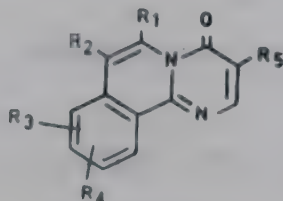
X = H, NO_2 , NH_2 , etc.,Z = COOR ,R = H, 1-5C alkyl, $(\text{CH}_2)_n\text{-NR}_1\text{R}_2$,

n = 1, 2 or 3,

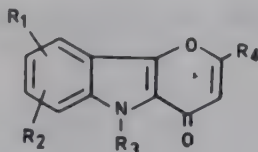
 R_1 & R_2 = H or 1-5C alkyl or together with N form pyrrolidino, piperidino, morpholino, etc.**P80D014. N-Pyridyl tetrazole-5-carboxamides—antiallergics.****American Home Prod Corp, US 4112-101, 5.9.78, US 31466, 23.3.73.**

Where,

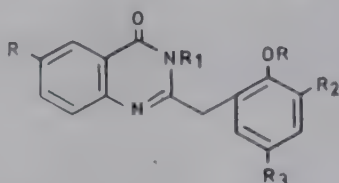
 R_1 = H, or 1-6C alkyl, R_2 = H, CN, halogen, alkylamino, 1-6C alkoxy or polyhaloalkyl.

P80D015. Substituted 4-oxo pyrimido isoquinoline derivatives—antiallergic agents.**Bristol Myers Co**, Neth 7809-626, 23.3.79, US 4127-720.

Where,

 $R_1 = \text{H}$, lower alkyl or phenyl, $R_2 = \text{H}$, lower alkyl, OH, lower alkoxy, etc., R_3 & $R_4 = \text{H}$, lower alkyl, alkoxy, etc., $R_5 = \text{H}$, lower alkyl, pivaloyloxymethyl, etc.**P80D016. Indolopyrone derivatives—antiallergics and antiasthmatics.****Warner Lambert Co**, Ger 2837-236, 5.4.79.

Where,

 R_1 & $R_2 = \text{H}$, halogen, OH, 1-6C alkyl, 1-6C alkoxy, CF_3 , NO_2 or together form methylenedioxy, $R_3 = \text{H}$, 1-6C alkyl or optionally substituted aryl, $R_4 = \text{carboxamido}$, CN or tetrazolyl.**P80D017. 2-Benzyl-3, 4-dihydro-4-oxo quinazoline derivatives—antiallergics.****Erba C SPA**, Brit 1543-874, 11.4.79, Belg 862-201.

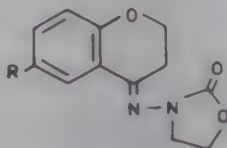
Where,

 $R = \text{COOH}$ or COOCH_3 , $R_1 = 3\text{-}4\text{C alkylenyl}$, 1-4C alkyl, 1-2C alkoxy, etc., $R_2 = \text{H}$, methyl or 1-2C alkoxy, $R_3 = \text{H}$, 2-4C alkyl or 1-6C alkoxy.

E. GASTROINTESTINAL DISORDERS

P80E004. Chromanylideneamino oxazolidinones—gastric antisecretory agents.

Morton Norwich Prod Inc, Fr 2396-003, 2.3.79, US 4093-627.



Where,

R=H, CH₃O or NO₂.

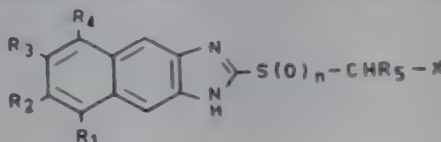
P80E005. Glucoronyl carbostyryl derivatives—antiulcers and antiasthmatics.

Otsuka Pharm KK, Jpn 4022-372, 20.2.79.

(For details refer patent P79D012—page 64).

P80E006. 2-Heterocyclyl alkylthio naphthoimidazole derivatives—gastric secretion inhibitors.

Hoffmann La Roche AG, Brit 2004-281, 28.3.79.



Where,

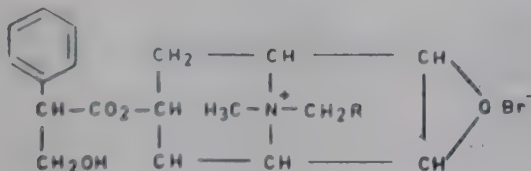
R₁ to R₄=each H or R₁ R₂ & R₃ R₄ are additional double bonds,
n =0-1,

R₅=H or lower alkyl,

X =optionally substituted pyridyl, thiazolyl, thizoliny, etc.

P80E007. Quaternary scopolamine derivatives—spasmolytics and antiulcer agents.

1st DE Angeli SPA, Neth 159-667, 15.3. 79, Neth 7304-874.



Where,

R=cycloalkyl.

To keep abreast of the latest developments
in Pharmaceutical Industry,

read

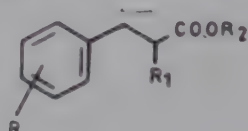
**DRUGS & PHARMACEUTICALS
INDUSTRY HIGHLIGHTS**

For details, contact NICDAP

F. METABOLIC AND DEGENERATIVE DISORDERS

P80F007. Amino and guanidino phenyl propionic acid esters—antiproteolytic and antithrombotic agents.

Torii & Co Ltd., Fr 2395-250, 23.2.79, Belg 868-414.



Where,

R = NH₂ or guanidino,

R₁ = H or lower alkyl,

R₂ = phenyl optionally substituted by alkyl, alkoxy, etc.

P80F008. Cycloalkyl thioethanol derivatives—hypolipidaemics and blood platelet aggregation inhibitors.

Lab Lafoni, Fr 2395-260, 23.2.79.

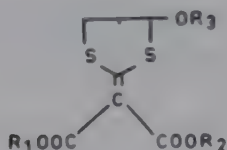


Where,

A = cyclopentyl or cyclohexyl.

P80F009. 1, 3-Dithiolan-2-ylidene malonic esters—hepatotropics.

Nihon Nohyaku KK, Ger 2625-221, 29.3.79, Ger 2625-221.



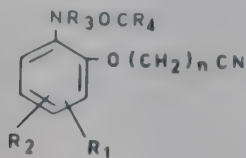
Where,

R₁ & R₂ = each 2-4C alkyl,

R₃ = H, Ac or EtCO.

P80F010. Ortho cyano alkoxy-N-alkanoyl aniline derivatives—hypolipidaemic agents.

Sandoz Inc, US 4112-117, 5.9.78.



Where,

R_1 =H, F, Cl, CF_3 , NO_2 , 1-4C alkyl or alkoxy,

R_2 =H, F, Cl, 1-4C alkyl, or alkoxy,

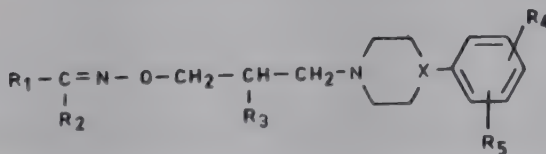
R_3 =H or 1-3C alkyl

R_4 =1-6C alkyl,

$n=0-1$.

P80F011. O-alkylated oximes—hypolipidaemics.

Hoechst AG, Brit 1542-793, 28.3.79, Belg 862-302.



Where,

R_1 =optionally substituted 6-10C mono or binuclear aryl or heteroaromatic group,

R_2 = $-CH_2-$ or $-CH_2CH_2-$,

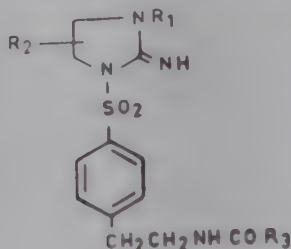
R_3 =H, OH or acyloxy,

R_4 & R_5 =H, halogen, 1-3C haloalkyl, NO_2 , etc.,

X =N or methine

P80F012. 1-Phenylsulphonyl-2-imino 3-substituted imidazolidine derivatives—hypoglycaemics.

Ciba Geigy AG, Ger 2043-809, 22.3.79, Belg 755-684.



Where,

R_1 = optionally branched 1-6C alkyl, allyl, 5-7C cycloalkyl, PhCH_2 , or PhCH_2CH_2 ,

R_2 = H, Me or Et,

R_3 = furyl or thiophene.

P80F013. Sulphonamido phenyl alkanolic acid amides—hypoglycaemic agents.

Schering AG, Neth 159-581, 15.3.79.



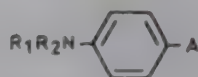
Where,

R = 2-methoxy anilino or 5-chloro-2-methoxy anilino,

Z = optionally substituted mono or di pyrimidinyl.

P80F014. 4-Substituted N-alkyl aniline derivatives—antiatherosclerotic agents.

American Cyanamide Co, Belg 870-687, 22.3.79, US 836946, 27.9.77.

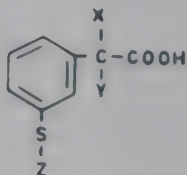


Where,

R_1 = 8-19C alkyl,

R_2 = H, Me, Et, CH_2COOH , COMe, COF_3 , succinyl, etc.,

A = one of the widely defined set of groups.

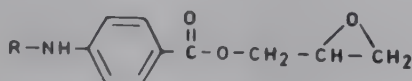
P80F015. 3-Thiozolyl thiophenyl acetic acid derivatives—hypolipaemics.**Merck & Co Inc**, Brit 1543-276, 28.3.79, Ger 2731-292.

Where,

X=H, 1-5C alkyl or 2-5C alkenyl,

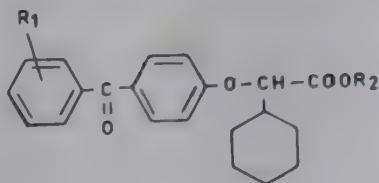
Y=H or CH₃,

Z=2-thiozolyl or 2-thiazolynyl.

P80F016. 4-Alkyl aminobenzoates—hypolipemic agents.**American Cynamide Co**, US 4146-546, 27.3.79.

Where,

R=8-19C unbranched alkyl.

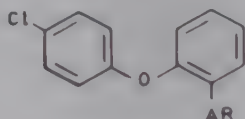
P80F017. 2-(4-Benzoylphenoxy) phenyl-2-cyclohexyl acetic acid derivatives—antihyperlipaemic agents.**Kaken Chem KK**, Jpn 4030-141, 6.3.79, Ger 2757-459.

Where,

R₁=Cl, Br or I,R₂=lower alkyl.

P80F018. 4-Chlorophenoxy benzene derivatives—hypolipidaemics, hypocholestromaemics and platelet aggregation inhibitors.

Lab Lafnol L, Belg 865-975, 9.3.79.



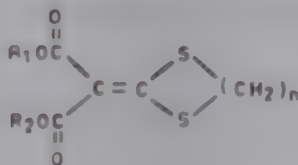
Where,

A=O or a bond,

R=COOH, CH₂COOH, CH₂CH₂COOH, CH₂OH, CH₂NH, etc.

P80F019. 1, 3-Dithia cycloalkylidene malonate—hypoglycaemics.

Nihon Nohyaku Co, Ger 2625-220, 5.4.79, Ger 2625-220.



Where,

R₁ & R₂=1-5C alkyl,

n=1, 3 or 4.

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

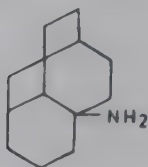
Re. 1/- per page with a minimum charge of

Rs. 5/- per article.

G. INFECTIOUS DISEASES

P80G007. Amino homoisotwistane—antiviral agents.

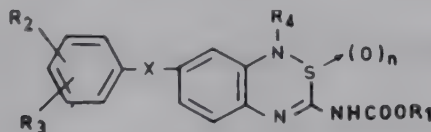
Kao Soap KK, Ger 2628-042, 29.3.79, Ger 2628-042.



The compound has improved antiviral activity with low toxicity.

P80G008. Benzothiadiazine carbamates—antihelminthics.

Hoechst AG, Fr 2395-992, 2.3.79, Ger 2541-742.



Where,

R_1 = 1-4C alkyl,

R_2 & R_3 = H, 1-4C alkoxy, halogen, CF_3 , 1-4C alkyl, etc.,

R_4 = H, 2-4C acyl or benzoyl,

n = 0 or 1,

X = O or SO_2 .

P80G009. Heterocyclic adamantane carboxamides—antiviral agents.

Paul H, Ger 133-799, 24.1.79.

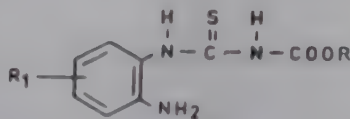


Where,

R = 5-7 membered heterocyclic ring which contains 1-3 heteroatoms (N or S).

P80G010. Thio ureidobenzenes—antihelminthics, antiparasitics and antifungals.

Syntex (USA) Inc, USSR 607-549, 20.4.78, Neth 7401-797.



Where,

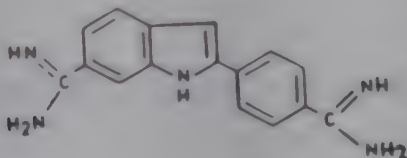
R =methyl group,

R₁=SOR₂,

R₂=phenyl group.

P80G011. 2-(4-Amidinophenyl)-6-amidino indole—trypanocide.

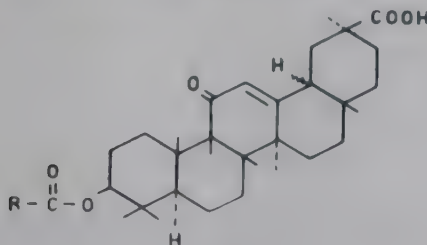
Dann O, Ger 1618-288, 29.3.79.



H. ANTINEOPLASTIC AGENTS

P80H004. Formulation for the treatment of cancer.

Biorex Lab Ltd, Ger 2840-259, 29.3.79.



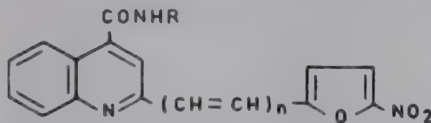
Where,

R = aliphatic or cycloaliphatic groups.

Formulation for the treatment of cancer contains an esterified glycyrrhetic acid (I) and one of its pharmaceutically acceptable salt together with pharmaceutical diluent.

P80H005. Nitrofuryl vinyl substituted quinoline-4-carboxamide derivatives—antineoplastic agents.

AS Latv Org Synth, Ger 2839-224, 22.3.79.



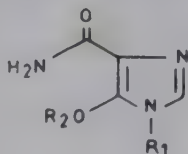
Where,

R = $\text{CH}_2\text{CH}_2\text{OH}$ or $\text{CH}(\text{CH}_3)\text{CH}_2\text{-NEt}_2$,

n = 1 or 2.

P80H006. N-substituted imidazole-4-carboxamide derivatives—anticancers.

Sumitomo Chemical KK, Jpn 4027-560, 1.3.79, Ger 2749-988.



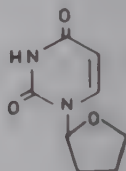
Where,

R₁ = lower alkyl or arylalkyl,

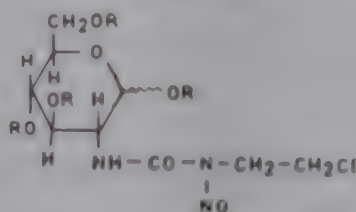
R₂ = H, lower alkyl or arylalkyl.

P80H007. Tetrahydrofuryl uracil derivatives—antitumor and antileukemiaagent.

Toshin Chem Ind KK, Ger 2834-698, 22.3.79, Jpn 112311, 22.3.79.

**P80H008. Acylated 2-(3-[2-chloroethyl]-3-nitrosoureido]-2-desoxy-D-glucopyranose derivatives—antitumors.**

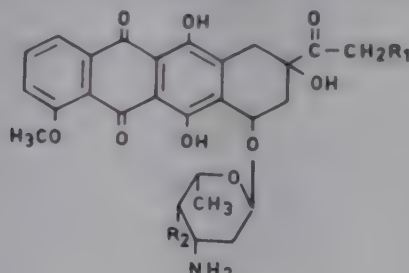
Takara Shuzo Co Ltd, Ger 2843-136, 5.4.79.



Where,

 $R = H \text{ or } CO(CH_2)_nCH_3$ $n = 8-16.$ **P80H009. Anthracycline glycosides—antitumors.**

ZH Biseibutsu Kagaku Ken, Jpn 4030-146, 6.3.79, Belg 869-395.



Where,

 $R_1 = H, OH \text{ or tetrahydropyranoloxo},$ $R_2 = OH \text{ or tetrahydropyranoloxo}.$

P80H010. *Tritonia rhizome* **extract—anticancer.**

Kagaku Ryoho Kenkyu, Jpn 4028-806, 3.3.79.

Anticancer agent comprises an aqueous extract obtained by extracting the plant rhizomes in aqueous medium.

K. PHARMACEUTICS

P80K002. **Controlled release oral pharmaceutical compositions.**

Searo B.D., US 4145-410, 20.3.79, US 731132, 12.10.76.

The composition is prepared by first forming an aqueous emulsion of a synthetic fatty acid phosphatidyl alkyl-N-trialkyl quarternary ammonium hydroxide as encapsulating agent. This emulsion is mixed with active ingredient and composition is recovered in the usual way. The preparations are resistant to enzymatic hydrolysis.

L. NATURAL PRODUCTS

P80L003. **Chrysanthellum plant extract—analgesic, anti-inflammatory, anti-ulcer, muscle relaxant and hypotensive action.**

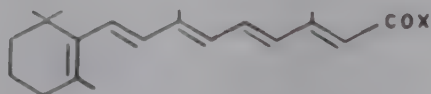
Sarget Ambrine Lab, US 4146-615, 27.3.79, Belg 862-852.

The extracts are obtained by treating plants with polar organic solvents. The extracts are dissolved in organic solvents and concentrated. Pure substance is reprecipitated with a less polar organic solvent.

M. GENERAL

P80M005. Trans retinoic acid derivatives—for treating acne.

Johnson & Johnson, Brit 1543-825, 11.4.79, Belg 847-943.



Where,

X = $-\text{OCH}_2\text{CONH}_2$, $-\text{OCH}_2\text{CH}_2\text{ON}$, $-\text{OCH}_2$, $p\text{-C}_6\text{H}_4\text{NO}_2$, etc.

DRUGS & PHARMACEUTICALS CURRENT HIGHLIGHTS—R&D

alerts you with recent articles of interest

A useful tool in research

For details, contact NICDAP

N. NEW TECHNIQUES

P80N002. Materials for affinity chromatography of biological molecules comprise support coated with polysaccharide coupled to amine.

Inst Merieux, Neth 7809-486, 21.3.79, Belg 870-565.

Chromatographic materials capable of reversibly fixing biologically active macromolecules comprise a porous mineral support coated with an optionally cross linked polysaccharide to which a chromatographically reactive amine is coupled. Coupling is effected by oxidative cleavage of the polysaccharide followed by reaction with the amine followed by reduction of the imine linkage to an amine linkage.

The materials can be used for isolating and purifying enzymes, hormones, proteins, viruses, bacteria, antigens or antibodies.

P80N003. Purification of Liposome suspensions from free drug by treatment with ion exchange resin in salt form.

Farmitalia, Belg 870-882, 29.3.79.

The lipid components are dissolved in CHCl_3 and the solution is evaporated to give a thin layer of lipid. The drug is added in the solution and the mixture is agitated ultrasonically for 30s to 12h. The liposome suspension contains free drug. The suspension is stirred with ion exchange resin for 1-120 minutes and resin is filtered off to give liposome suspension.

P80N004. Process for the preparation of (-) vincamine.

Boehringer Mannheim GmbH, Belg 870-978, 3.4.79.

(-) Vincamine was prepared by oxidational transposition of (-) vincadifformine acid addition salt using H_2O_2 in the presence of soluble metal salts of hydrated oxide of vanadium, chromium, etc. Process gives an improved yield (60-70%) with reduced quantities of 16-epivincamine.

INFORMATION ABOUT INDIAN PATENTS

(Source: *The Gazette of India, Part III—Section 2; July 14, 21 and 28, 1979*).

A. APPLICATIONS FOR PATENTS FILED

At the Head Office (Calcutta).

13 June, 1979

- 612/Cal/79 Ammonia Casale S. A. Treatment of urea solutions.
613/Cal/79 Lonza Ltd. Process for the preparation of N-alkylarylamines.

At the Delhi Branch.

21 May, 1979

- 352/Del/79 Expansia. New improved process for the preparation of 2-isopropylamino pyrimidine.
357/Del/79 Uniroval Inc. N-substituted triorganostannyl hydrocarbylcarboxylic acid.

5 June, 1979

- 405/Del/79 Bayer Aktiengesellschaft. Process for the preparation of quinizarin.

6 June, 1979

- 410/Del/79 J. L. Gupta. Process for the preparation of an antibacterial cream for topical application in the treatment of burns.

B. COMPLETE SPECIFICATIONS ACCEPTED

1. Process for the production of stable neutral solution of theophylline in water.

Applicant: Dr. Adolf A. G., Hofwiesenstrasse 3, Zurich/Switzerland.

Application No. 1248/Cal/78 filed November 20, 1978.

2. Method of preparing an antiarrhythmic quinuclidine carboxylic acid xylidide.

Applicant: Mundipharma AG, St. Albanvorstadt 94, Postfach, CH-4006, Basel, Switzerland.

Inventors: Edna Oppenheimer, Eliezer Kaplinsky and Sasson Cohen.

Application No. 1734/Cal/77 filed December 15, 1977.

3. A process for the preparation of 5-m-tolyloxyuracil, antiulcer agent.

Applicant: Pfizer Inc., 235, East 42nd Street, New York, USA.

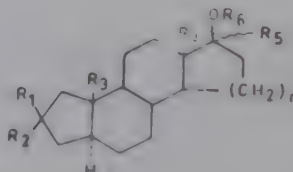
Inventor: C. A. Lipinski.

Application No. 981/Cal/77 filed June 30, 1977.

A. ENDOCRINE SYSTEM

P80A008. Nor oestrone, androstane and gonanes—contraceptives.

Crabbe P, Fr 2398-756, 30.3.79, Fr 036906, 2.12.76.



Where,

$R_1 = \text{H, halo, alkyl, methyl cyclopropyl or aryl,}$

$R_2 = \text{H, allene, mono or disubstituted haloallene,}$

$R_3 = \text{H or CH}_3,$

$R_4 = \text{aliphatic group,}$

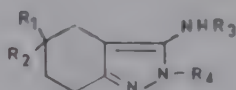
$R_5 = \text{H, (haloallene, lower alkane, alkene or alkyne,}$

$R_6 = \text{H, ether or ester group,}$

$n = 0-3.$

P80A009. 3-Amino-4, 5, 6, 7-tetrahydroindazoles –antifertility agents.

Science Union & Cie, Ger 2519-077, 26.7.79.



Where,

$R_1 = \text{H, butyl or cyclohexyl,}$

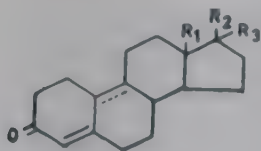
$R_2 = \text{H or CN,}$

$R_3 = \text{CH}_3, \text{C}_2\text{H}_5, \text{C}_6\text{H}_5 \text{ or } \text{CH}_2=\text{CH.CH}_2,$

$R_4 = \text{H, lower alkyl or phenyl.}$

P80A010. 17-Aryl-3-oxo oestra-3-ene derivatives—progestomimetics and contraceptives.

Roussel Uclaf, Ger 2848-463, 10.5.79.



Where,

R_1 = 1-3C alkyl,

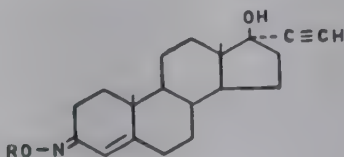
R_2 = 1-12C alkyl, 1-18C acyl or aryl,

R_3 = 6-12C aryl optionally substituted OH, halogen alkyl.

Dotted line indicates single or double bond.

P80A011. Norethindrone O-alkyl oximes—contraceptives.

Warner Lambert Co, Jpn 9007-790, 10.4.79, Ger 2348-197.

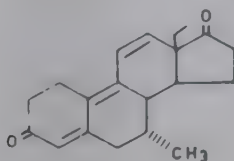


Where,

R = saturated or unsaturated hydrocarbon residue.

P80A012. 3-oxo-7- α -methyl-13- β -ethyl-17-keto gona 9,11-triene—anabolising and androgenic agent.

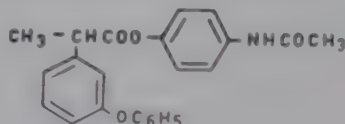
Roussel Uclaf, Ger 2037-402, 9.8.79.



B. NERVOUS SYSTEM

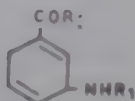
P80B069. 4-Acetamidophenyl-2-(3-phenoxy phenyl) propionate—analgesic and anti-inflammatory.

Sterwin AG, Ger 2847-063, 10.5.79, Belg 871-757.



P80B070. 3-Amino-1,4-cyclohexadiene carboxylic acid derivatives—CNS active agents.

Merrell Toraude, Belg 873-411, 2.5.79, US 868859, 12.1.78.



Where,

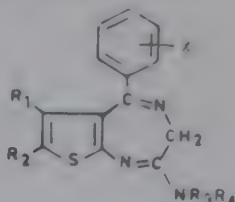
$R_1 = \text{H}$, optionally branched 2-5C alkyl carbonyl, 2-5C alkoxy or $\text{COCHR}_2 \text{NH}_2$,

$R_2 = \text{OH}$, optionally branched 1-8C alkoxy, etc.,

$R_3 = \text{H}$, optionally branched 1-4C alkyl, benzyl or *p*-hydroxy benzyl.

P80B071. 2-Aminothiemo (2, 3-e) (1, 4) diazepines—psychotropic agents.

Yoshitomi Pharm Ind KK, Jpn 9007-796, 10.4.79, Belg 782-041.



Where,

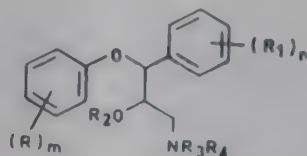
$X = \text{H}$, halogen, Me, OMe or CF_3 ,

R_1 & $R_2 = \text{H}$, 1-4C alkyl or together form $(\text{CH}_2)_4$,

R_3 & $R_4 = \text{H}$ or alkyl.

P80B072. 1-Amino-2-hydroxy-3-phenoxy-3-phenyl propane derivatives—anti-depressants.

Farmitalia Erba, Belg 873-596, 19.7.79.



Where,

R & R_1 = H, halogen 1-6C haloalkyl, OH, 1-6C alkoxy, etc.,

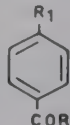
R_2 = H, 1-12C alkyl or aryl (1-6C) alkyl,

R_3 & R_4 = H, 1-6C optionally substituted alkyl, alkenyl or together form a heterocyclic ring.

m & n = 1-3.

P80B073. α -Alkylphenyl alkanoic acids—anti-inflammatories antipyretics and analgesics.

Ricorvi SA, Fr 2403-325, 18.5.79.



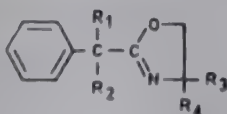
Where,

R = H or Me,

R_1 = 1-5C alkyl.

P80B074. Aromatic alkyl oxazoline derivatives—anti-inflammatories, analgesics and antipyretics.

Boots Co Ltd, Jpn 9020-494, 23.7.79, Brit 039939, 25.8.71.



Where,

R_1 = H,

R_2 = H, alkyl or together with R_1 form methylene radical,

R_3 & R_4 = forms a carboxylic ring.

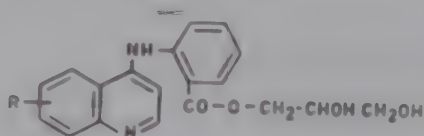
- P80B075. 3-(4-Biphenyl carbonyl) propionic acid—analgesic and anti-inflammatory.**

American Cynamid Co, Ger 2147-111, 10.5.79, Belg 772-804, Ger 147111, 21.9.71.



- P80B076. 4-(2-Carboxyphenylamino) quinoline monoglyceride derivatives—analgesics.**

Fabre P SA, Fr 2393-734, 30.3.79, Fr 022901, 26.7.77.

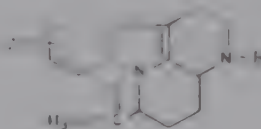


Where,

R = CF₃, CF₃O or CF₃S.

- P80B077. Chano-desethyl apovincamine derivatives—antianoxic and psychotropic agents.**

Omnium Prod Chim, Ger 2342-413, 26.4.79, Belg 870-337, Fr 029574, 30.9.77.



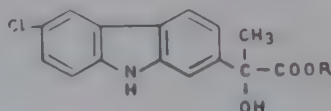
Where,

R = H, 1-5C optionally branched and substituted alkyl or benzyl,

Z = H, alkyl, hydroxy, acyloxy or carbamoyloxy.

- P80B078. 6-Chloro- α -methyl carbazole-2-acetic acids—analgesics and anti-inflammatories.**

Hoffmann LA Roche Inc, US 4150-031, 17.4.79, US 919010, 26.6.78.

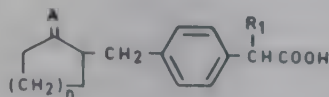


Where,

R = H or lower alkyl.

P80B079. 4-Cycloalkyl methyl phenyl acetic acid derivatives -anti-inflammatory, analgesics and antipyretics.

Sankyo KK, US 4161-538, 17.7.79, Ger 2814-556, Jpn 038906, 5.4.77.



Where,

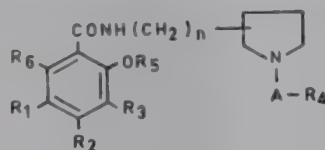
R_1 = H or lower alkyl,

A = oxo or hydroxyamino,

n = 1-3.

P80B080. Cycloalkyl pyrrolidino benzamide derivatives -CNS active agents.

Soc Etud ILE DE France, Belg 873 522, 20.1.78, Fr 001633.



Where,

R_1, R_2, R_3 & R_6 = H, halo, alkyl, alkoxy, amino, etc.,

R_4 = mono, bi or tri cycloalkyl,

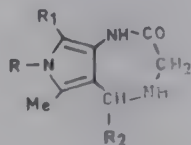
A = bond or 1-3C optionally unsaturated hydrocarbon chain,

n = 0-3,

R_5 = H, 1-3C alkyl or alkenyl.

F80B081. 3, 7-Dihydro-6-methyl pyrrolo (3, 4-e) (1, 4) diazepin-2-(1H)-ones—CNS depressants, anticonvulsants and anti-inflammatories.

Gruppo Lepetit SPA, Ger 2511-599, 9.8.79, Belg 826-925.



Where,

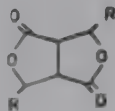
R = Me,

R_1 = H or Et,

R_2 = phenyl.

P80B082. 2,6 Diphenyl-4,8-dioxo 3,7-dioxabicyclooctene derivatives—anti-depressants and antitumors.

Zaidan Hojin Biseib (KANF), Belg 871-994, 14.5.79, Jpn 137420, 15.11.77.



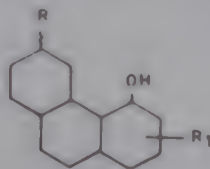
Where,

R = tri or tetra substituted phenyl with substituents being H, halo, OH, 1-6C alkyl, alkoxy, 2-7C alkylthiomethyl, etc.)

(Patent included at P80H014—page 115).

P80B083. 1,9-Dihydroxy octahydrophenantherene derivatives - analgesics, antihypertensives, tranquillisers and anxiolytics.

Pfizer Inc, Belg 871-907, 10.5.79, US 851503, 14.11.77.



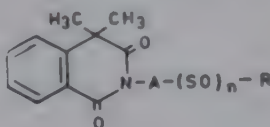
Where,

R = OH or C O,

R₁ = H, benzyl, benzoyl, 1-5C alkanoyl, etc.

P80B084. 4,4-Dimethyl-2-alkyl mercapto-2H,4H-isoquinolines—hypolipae-mics and anticonvulsants.

Thomaek GmbH, Fr 2398-735, 30.3.79, Belg 869-366, Ger 734222, 29.7.77.



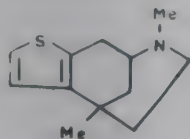
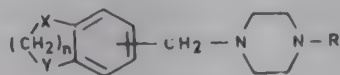
Where,

R = lower alkyl,

n = 0, 1 or 2,

A = lower alkylene,

(Patent included at P80F022—page 107).

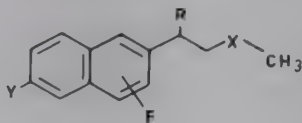
P80B085. 2, 5-Dimethyl thieno-3,2-morphinan—analgesic.**Lab Made SA**, USSR 604-494, 27.3.78, Belg 845-756.**P80B086. Disubstituted piperazine derivatives—antiparkinsons.****Science Union & Cie**, Belg 871-857, 8.5.79, Ger 2848-139, Brit 046646, 9.11.77.

Where,

R = 5-6 membered heterocycle with 1-3 N or S,

X = O, S, or SO₂,Y = S or SO₂,

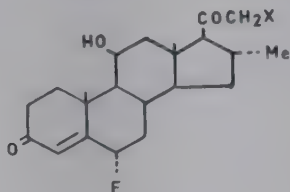
n = 1 or 2.

P80B087. Fluoronaphthyl derivatives—anti-inflammatories and analgesics.**Beecham Group Ltd**, Ger 2847-644, 10.5.79, Brit 045712, 3.11.77.

Where,

R = H or CH₃,

X = CO or CHO, H,

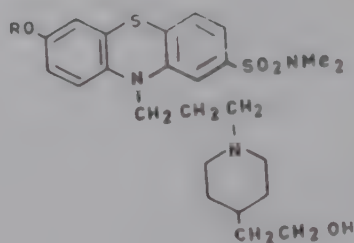
Y = Cl, Br, 1-4C alkyl, CH₃O or CH₃S.**P80B088. 21-Halo pregn-4-ene-3, 20-diones - anti-inflammatories.****Shering AG**, Ger 2010-458, 26.4.79, Ger 010458, 28.2.70.

Where,

X = halogen.

P80B089. 10-(4-Hydroxyethyl piperidinoalkyl) phenothiazines—antiemetics.

Rhone Poulenc Industries, Ger 2502-504, 10.5.79, Fr 002101, 22.1.74.

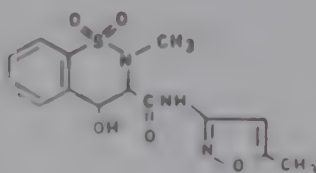


Where,

R = H or Me.

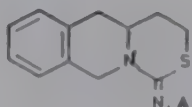
P80B090. 4-Hydroxy 3-(5-methyl-3-isoxazolyl carbamoyl)-2-methyl-2H-1,2-benzothiazine-1,1-dioxide—anti-inflammatory.

Warner Lambert Co, Jpn 9020-495, 23.7.79, US 577563, 21.5.75.



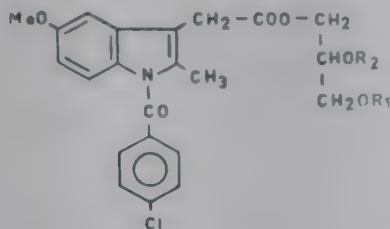
P80B091. 4-Imino thiazino isoquinoline derivatives—anti-inflammatories, analgesics and antipyretics.

Rhone Poulenc Industries, Belg 871-890, Fr 023469, 9.8.78, Fr 033890, 10.11.77.

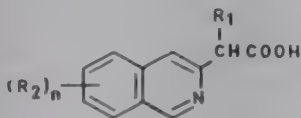


Where,

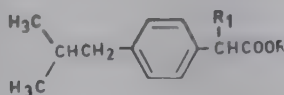
A = pyridyl, 3-isoquinonyl, or 3-alkylisoquinonyl, etc.

P80B092. Indomethacin glycerides—antiphlogistics, analgesics and anti-pyretics.**Sumitomo Chemical KK**, Jpn 4076-578, 19.6.79.

Where,

 R_1 = alkanoyl, R_2 = H or alkanoyl.**P80B093. Isoquinoline-3-acetic acid derivatives—anti-inflammatories.****Teijin KK**, Jpn 4039-080, 24.3.79.

Where,

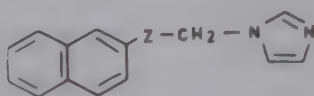
 R_1 = H, 1-4C alkyl, R_2 = halogen, lower alkoxy, lower alkyl, OH, NH_2 , benzyloxy, allyloxy, CF_3 , CH_3 or CH_3S , n = 0-2.**P80B094. 4-Isobutyl phenyl acetic acid derivatives—anti-inflammatories.****Hisamitsu Pharm KK**, US 4150-137, 17.4.79, Ger 2658-610, Jpn 156799, 24.12.75.

Where,

 R = optionally substituted 1-6C alkyl, R_1 = H or methyl.

P80B095. 1-Naphthyl methyl imidazole derivatives—anticonvulsants and gastric secretion inhibitors.

Syntex (USA) Inc, US 4150-153, 17.4.79, US 666388, 17.3.76.



Where,

Z = CH₂OH (optionally esterified by 1-8C alkoic acid, benzoic acid etc.).

(Patent included at P80E012—page 106).

P80B096. N-2-(alkoxybenzoyl) amino acids—analgesics and antipyretics.

SS Pharmaceuticals KK, Ger 2407-016, Jpn 017483, 14.2.73.



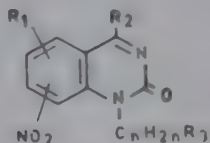
Where,

R = 5-12C alkyl,

Y = CH₂ or CH₂-CH₂-CH₂-.

P80B097. Nitroquinazolinone derivatives—anti-inflammatories, analgesics and antivirals.

Sumitomo Chemical KK, USSR 612-627, 2.6.78, Neth 7211-867, Jpn 020356, 28.2.72.



Where,

R₁ = H, halogen, lower alkyl, lower alkoxy, lower alkylthio, alkylsulphonyl, etc.,

R₂ = optionally substituted phenyl,

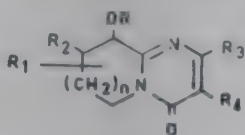
R₃ = 5-6 membered heterocyclic ring,

n = 1, 2 or 3.

(Patent included at P79G018—page 110).

P80B098. 4-Oxo-6,7-dihydro-5H-pyrido-(1, 2-a)pyrimidines—anti-inflammatory, analgesics, antipyretics, antiallergics and antibacterials.

Chinoïn Gyogyszer, Belg 873-140, 17.4.79.



Where,

R = H, 1-6C alkanoyl, benzoyl or heteroaryl,

R₁ = H, 1-6C alkyl, 2-7C alkoxy carbonyl or carboxy,

R₂ = H or 1-6C alkyl,

R₃ = H, halogen, 1-6C alkyl, etc.,

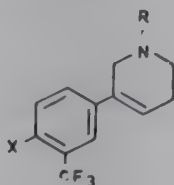
R₄ = H, OH, 1-6C alkyl, COOH, CN, etc.,

n = 0 or 1.

(Patent included at P80D024—page 103 and P80G020—page 111).

P80B099. 3-Phenyl 1, 2, 5, 6-tetrahydropyridine derivatives—anorectics and antidepressants.

Roussel Uclaf, Ger 2904-826, 9.8.79, Fr 003526, 8.2.78.



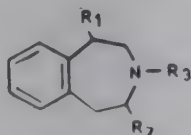
Where,

X = H or Cl,

R = H, optionally branched 1-5C alkyl, 3-5C alkenylphenyl, etc.

P80B100. Phenyltetrahydroxybenzazepine derivatives—antidepressants, analgesics, hypotensives and antibacterials.

Scherico Ltd, Ger 1695-844, 10.5.79, Ger 695844, 21.2.67.



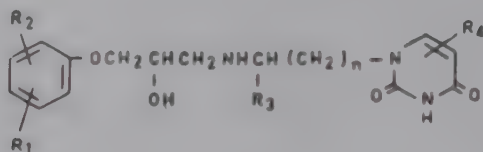
Where,

R₁ = phenyl optionally substituted with halogen, alkoxy, etc.

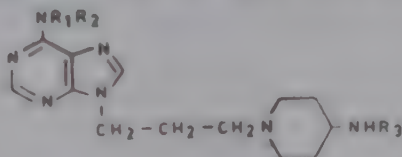
R₂ = H or 1-4C alkyl,

R₃ = 1-4C alkyl or 2-4C hydroxyalkyl, etc.,

(Patent included at P80G022—page 112).

P80B101. 1-Phenoxy propan-2-olamine derivatives— β -adrenergic blockers.**Pfizer Inc**, Ger 2238-504, 19.7.79.

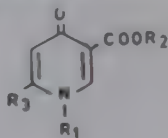
Where,

 $R_1 = \text{H}$ or acetamino, $R_2 = \text{H}$, CH_3 or OCH_3 , $R_3 = \text{H}$ or CH_3 , $R_4 = \text{H}$ or lower alkyl, $n = 1$ or 2 .**P80B102. 9-Piperidino propyl adenine derivatives—anti-inflammatories and antiallergics.****Boehringer Mannheim GmbH**, Ger 2804-416, 9.8.79.

Where,

 $R_1 = \text{H}$ or lower alkyl, $R_2 = \text{H}$, optionally substituted aryl or lower alkyl, $R_3 = \text{acyl}$ or H .

(Patent included at P79D026—page 103).

P80B103. Pyridone-3-carboxylic acid derivatives—CNS stimulants and antibacterials.**Hoffmann La Roche SA**, Belg 873-527, 17.7.79, Ger 2901-868.

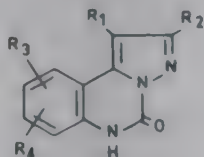
Where,

 $R_1 = 1\text{-}8\text{C}$ alkyl, $3\text{-}10\text{C}$ cycloalkyl, $1\text{-}6\text{C}$ alkoxy, etc., $R_2 = \text{H}$ or $1\text{-}6\text{C}$ alkyl, $R_3 = \text{phenyl}$, phenylethyl, styryl or 6-membered aromatic heterocyclic ring.

(Patent included at P80G025—page 113).

P80B104. Pyrazolo (1, 5-c) quinazoline-5-(6H)-derivatives—anxiolytics, anti-inflammatory and antiallergics.

Squibber & Sons Inc, Fr 3298-749, 30 3.79, Ger 2833-212, US 820289, 29.7.77.



Where,

$R_1 = \text{H}$ or 1-3C alkyl,

$R_2 = \text{amine}$ or alkoxy carbonyl,

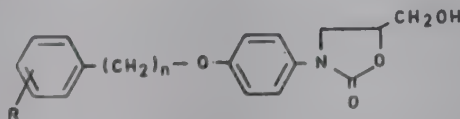
$R_3 = \text{phenyl}$, H, 1-4C alkyl or CN,

$R_4 = \text{H}$, lower alkyl, lower alkoxy, halogen, etc.,

(Patent included at P80D027—page 103).

P80B105. 3-Substituted phenyl-5-hydroxymethyl oxazolidinones—antidepressants.

Delalonde SA, US 4150-029, 17.4.79, Belg 851-893, Fr 019578, 28.6.76.



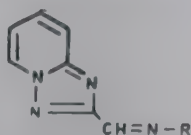
Where,

$R = \text{F}$, CH_3 , Cl, CF_3 , Br, CN, NO_2 , etc.

$n = 1$ or 2.

P80B106. 2-Substituted hydrozonomethyl-3-triazolo (1, 5-a) pyridine derivatives—CNS depressants.

Kyorin Seiyaka KK, Jpn 4039-092, 24.3.79, Jpn 103832, 30.8.77.



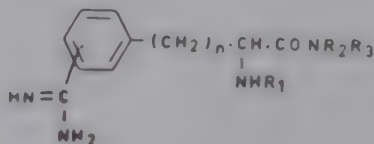
Where,

$R = \text{dimethylamino}$, isopropylamino or pyrrolidino .

C. CARDIOVASCULAR SYSTEM

P80C025. Amidino phenylamino acid derivatives—anticoagulants with antithrombin activity.

Veb Arzneimittel Dresden, Ger 2845-941, 10.5.79, Ger 201898, 7.11.77.



Where,

R_1 = 1-6C alkylsulphonyl,

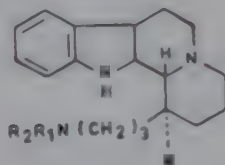
R_2 = H, 1-8C alkyl or 6-8C aryl

R_3 = 5-7 membered heterocyclic ring

n = 1-3.

P80C026. 1-Aminopropyl octahydro indolo (2, 3-a) quinolizine compounds—vasodilators.

Richter Gedeon Vegy, Belg 874-096, 13.8.79.



Where,

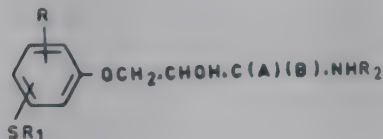
R = 1-6C alkyl,

R_1 = 1-6C alkyl or 7-16C arylalkyl,

R_2 = H or together with R_1 forms 2-8C optionally substituted alkylidene.

P80C027. 1-Alkylthiophenoxy-3-aminopropan-2-ol derivatives—cardiovascular agents.

Bristol Myers Co, Neth 7810-156, 17.4.79, US 841168, 11.10.77.



Where,

R = H or 1-4C alkyl,

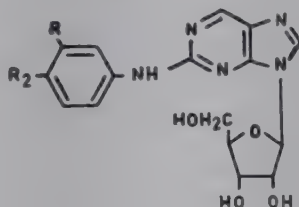
R₁ = 1-8C alkyl,

R₂ = 6-12C alkyl or 5-8C cycloalkyl,

A & B = H or 1-4C alkyl.

P80C028. N-Aryl-2, 6-diamino nebularin derivatives—coronary dilators.

Takeda Chemical Ind KK, Ger 2845-496, 26.4.79, Jpn 127148, 21.10.77.

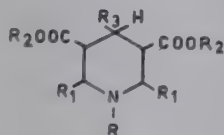


Where,

R₁ & R₂ = halogen, lower alkyl or lower alkoxy.

P80C029. 4-Azidophenyl-1, 4-dihydropyridines—cardioactive agents and antihypertensives.

Bayer AG, Ger 2013-431, 26.4.79, Belg 764-556, Ger 01343, 20.3.70.



Where,

R = H, Me or PhCH₂,

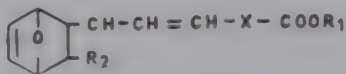
R₁ = 1-4C alkyl,

R₂ = 1-6C alkyl or alkoxy alkyl,

R₃ = N₃C₆H₄.

P80C030. 2-Carboxy alkenyl-3-substituted-7-oxa bicycloheptene derivatives—cardiovascular agents and platelet aggregation inhibitors.

Squibber & Sons Inc, Ger 2847-832, 10.5.79, US 4143-054.



Where,

$R_1 = \text{H}$ or 1-7C alkyl,

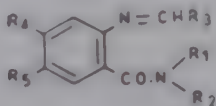
$R_2 = \text{CHO}$, CH_2OH or $\text{CH}=\text{CHR}_3\text{XMc}$,

$R_3 = \text{CO}$ or CH_2OH ,

$X = 1-7\text{C alkylene}$.

P80C031. Benzamide compounds—diuretics and antihypertensives.

Applifarme SA, Belg 873-392, 2.5.79.



Where,

R_1 & $R_2 = \text{H}$ or alkyl,

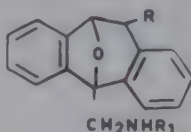
$R_3 = \text{phenyl}$, alkyl or alkenyl,

$R_4 = \text{halo}$, CF_3 or NO_2 .

$R_5 = \text{SO}_2\text{NH}_2$, COOH or alkoxy carbonyl.

P80C032. 10, 11-Dihydro-5, 10-epoxy-5H-dibenzo (a, d) cycloheptene derivatives—antiarrhythmics.

Merck & Co Inc, Ger 1941-933, 26.7.79, Neth 6912-069.



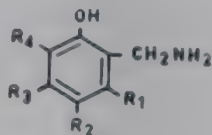
Where,

$R = \text{H}$ or OH

$R_1 = 1-4\text{C alkyl}$.

P80C033. 3, 5-Disubstituted-4-alkyl-2-aminomethyl-6-halophenols—diuretics.

Merck & Co Inc, Jpn 9007-780, 10.4.79, Neth 7116-915, US 101178, 23.12.70.



Where,

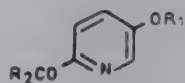
R_1 & R_3 = H, halogen, alkyloxy or alkyl,

R_2 = alkyl,

R_4 = halogen.

P80C034. Etherified-5-hydroxy picolinic acid derivatives—antihypertensives.

Meija Seika Kaisha, Belg 875-070, 16.7.79, Jpn 032966, 24.3.78.



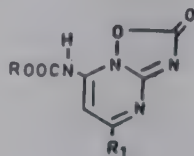
Where,

R_1 = H, halogen, lower alkyl, lower alkoxy, NO_2 , NH_2 , alkylamino, acylamino, etc.,

R_2 = OH or lower alkyl or phenyl.

P80C035. Oxadiazolo pyrimidine-7-carbamate derivatives—vasodilators and antihypertensives.

Hoffmann La Roche Inc, US 4150-131, 17.4.79, Belg 863-609.



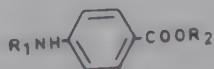
Where,

R = alkyl or alkoxy alkyl,

R_1 = dialkylamino, 1, 2, 5, 6-tetrahydropyridine-1-yl or piperidino, azabicyclooctyl, etc.

P80C036. *p*-Amino benzoic acid glycosides—antitumors, hyperglycaemics, hyperlipidaemics and antihypertensives.

Kureka Kagaku Kogyo, Belg 875-451, 31.7.79, Jpn 042567, 11.4.78.



Where,

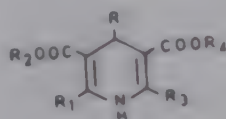
R_1 = radical left after removing 1- α or 1- β OH from arabinose, glucose, galactose and mannose.

R_2 = H, Na, K, or an equivalent of Mg, Ca or Al.

(Patent included at P80F024—page 103 and P30H019—page 116).

P80C037. N-substituted 1,4 dihydro pyridine-3,5-dicarboxylic acid esters—antihypertensive agents.

Bayer AG, Ger 2210-672, 12.7.79, Belg 796-274.



Where,

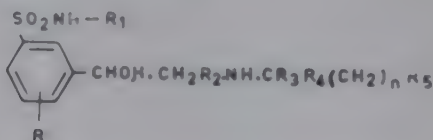
R = NO_2 , CN, azido or substituted phenyl,

R_1 & R_3 = CH_3 or C_2H_5 .

R_2 & R_4 = 4-10C alkyl.

P80C038. Sulphonamido phenyl ethanolamine derivatives—vasodilators.

Yamanouchi Pharm KK Ger 2393-016, 26.4.79. Belg 871-138.



Where,

R = H, halo, OH, alkyl, alkoxy, alkylthio, alkylamino, etc..

R_1 , R_2 , R_3 & R_4 = H or alkyl,

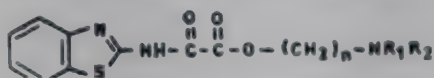
R_5 = aryl, benzodioxaryl, aryloxy or arylthio.

n = 0-3.

D. RESPIRATORY SYSTEM

P80D018. 2-Benzothiazolyl oxamic acid derivatives—antiallergics.

UP John Co, US 4150-140, 17.4.79, Belg 862-278.



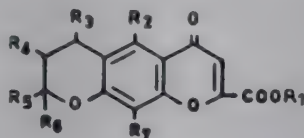
Where,

R_1 & R_2 = 1-3C alkyl,

n = 1 or 2.

P80D019. Dihydropyrane chromone-2-carboxylic acid derivatives—antiallergics.

Eisai KK, Fr 2398-753, 30.3.79, Belg 869-320, Jpn 089765, 28.7.77.



Where,

R_1 = H, alkali metal or 1-4C alkyl,

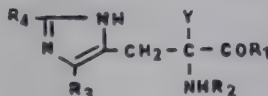
R_3 , R_5 & R_6 = H or 1-4C alkyl,

R_4 & R_7 = 1-4C alkyl,

R_2 = H, 1-4C hydroxyalkyl or 1-4C alkoxy.

P80D020. Halomethyl-2-amino-3-imidazolyl propionic acid derivatives—bronchodilators. antiulcers, gastric secretion inhibitors and antitumor agents.

Merrell Toraude, Fr 2398-736, 30.3.79, Belg 869-322.



Where,

R_1 = OH, optionally branched 1-8C alkoxy,

R_2 = H, optionally, 2-5C alkyl carbonyl,

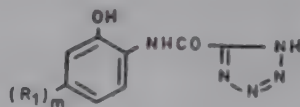
R_3 & R_4 = H, halo, or 1-4C alkyl, etc.,

Y = FCH_2 , F_2CH , F_3C , $ClCH_2$ or Cl_2CH ,

(Patent included at P80E010—page 106 and P80H016 page 115).

**P80D021. N-Hydroxy phenyl tetrazolyl carboxamide derivatives—
antiallergics.**

May & Baker Ltd, Belg 871-623, 27.4.79.



Where,

R_1 = halo, alkyl, alkoxy, alkylthio, alkylsulphanyl, OH, CHO, NO_2 , CF_3 , CF_3CO , aryl, benzyloxy, etc.,
 $m = 0-3$.

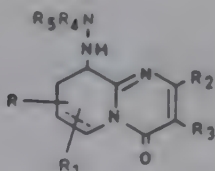
P80D022. Acetazolamides—for combating bronchospasm.

Barnivol W. K. R., Ger 2754-629, 13.6.79, Ger 754629, 8 12.77.

Inhalation of the aqueous solution causes relaxation of the bronchial musculature in extent similar to that brought about by known broncho-lytics such as fenoterol.

**P80D023. Hydrazone pyridopyrimidine derivatives—antiallergics and
antiasthmatics.**

Chinoia Gyogyszer, Belg 873-194, 17.4.79.



Where,

$R = \text{H}$ or lower alkyl

$R_1 = \text{H}$, lower alkyl, styryl COOH , or COOH derivative,

$R_2 = \text{H}$, lower alkyl or OH,

$R_3 = \text{H}$, lower alkyl, aryl, etc.,

R_4 & $R_5 = \text{H}$, lower alkyl, lower alkanoyl or together with N forms a heterocyclic ring.

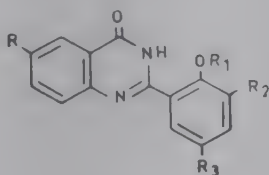
P80D024. 4-Oxo-6,7-dihydro-5H-pyrido-(1,2-a)-pyrimidines—antiallergics, antibacterials, anti-inflammatories and analgesics.

Chinoin Gyogyszer, Belg 873-140, 17.4.79.

(For details refer patent P80B098—page 93).

P80D025. 2-Phenyl-3, 4-dihydro-4-oxo quinazoline derivatives—antiallergics.

Erba C SPA, Brit 1543-874, 11.4.79, Belg 862-201.



Where,

R = COOH or COOCH₃,

R₁ = 3-4C alkylenyl, 1-4C alkyl, 1-2C alkoxy, etc.,

R₂ = H, methyl or 1-2C alkoxy,

R₃ = H, 2-4C alkyl or 1-6C alkoxy.

P80D026. 9-Piperidino propyl adenine derivatives—antiallergics and anti-inflammatories.

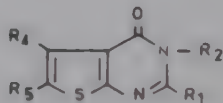
Boehringer Mannheim GmbH, Ger 2804-416, 9.8.79.

(For details refer patent P80B102—page 94).

P80D027. Pyrazolo (1,5-c) quinazoline-5-(6H)-derivatives—antiallergics, anxiolytics and anti-inflammatories.

Squibber & Sons Inc, Fr 3298-749, 30.3.79, Ger 2833-212, US 820289, 29.7.77.

(For details refer patent P80B104—page 95).

P80D028. Thieno pyrimidine derivativss—antiallergics.**Bristol Myers Co**, Neth 7711-368, 19.4.79, Belg 859-818.

Where,

 $R_1 = \text{COOR}_2, \text{CH}=\text{CHCOOR}_3, \text{CH}_2\text{OH}, \text{CH}_2\text{OCOH}, \text{CH}_2\text{OCOR},$ $R_2 = \text{H}, 1\text{-}8\text{C alkyl or } R_3,$ $R_3 = \text{cation},$ $R_4 \text{ \& } R_5 = \text{H}, \text{halogen}, 1\text{-}8\text{C alkyl}, 3\text{-}6\text{C alkenyl}, 1\text{-}6\text{C alkoxy}, \text{etc.}$

**DRUGS & PHARMACEUTICALS
CURRENT HIGHLIGHTS—R&D**

alerts you with recent articles of interest

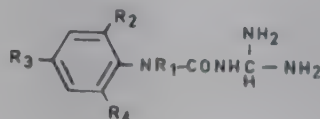
A useful tool in research

For details, contact NICDAP

E. GASTROINTESTINAL DISORDERS

P80E008. 1-Amidino-3-substituted phenyl urea derivatives—used for gastrointestinal spasm and hyperacidity.

Rorer WH Inc, US 4150-154, 17.4.79.



Where,

R_1 = H or lower alkyl,

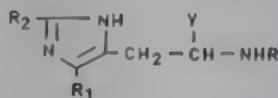
R_2 = lower alkyl,

R_3 = lower alkyl, halo or alkoxy,

R_4 = H, halo, lower alkyl, halolower alkyl, NO_2 , etc.

P80E009. 2-Fluoromethyl histamine derivatives—antitumors and gastric secretion inhibitors.

Merrell Toraude Cie, Ger 2844-104, 26.4.79, Belg 871-356, US 843659, 19.10.77.



Where,

$Y = \text{FCH}_2-$, F_2CH or F_3C ,

$R = \text{H}$, alkoxy or carbonyl,

R_1 & $R_2 = \text{H}$ or optionally branched 1-4G alkyl, benzyl or *p*-hydroxybenzyl.
(Patent included at P80H015—page 115).

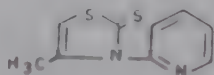
P80E010. Halomethyl-2-amino-3-imidazolyl propionic acid derivatives—gastric secretion inhibitors, antiulcers, bronchodilators and antitumors.

Merrell Toraude, Fr 2398-736, 30.3.79, Belg 869-322.

(For details refer patent P80D020—page 101).

P80E011. 4-Methyl-3-(2-pyridyl) thiazoline-2-thione—antiulcer.

Rhone Poulenc Industries, Ger 2845-597, 26.4.79, Belg 871-361, Fr 031430, 19.10.77.



P80E012. 1-Naphthylmethyl imidazole derivatives—gastric secretion inhibitors and anticonvulsants.

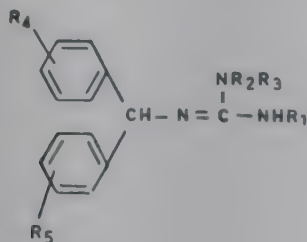
Syntex (USA) Inc, US 4150-153, 17.4.79, US 666388, 17.3.76.

(For details refer patent P80B095—page 92).

F. METABOLIC AND DEGENERATIVE DISORDERS

P80F020. Substituted guanidine derivatives—hypoglycaemics.

Mcneil Labs Inc, US 4161-541, 17.7.79, US 828894, 29.8.77.



Where,

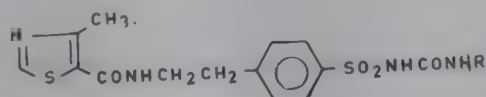
$R_1 \& R_2 = \text{H or lower alkyl}$,

$R_3 = \text{H, lower alkyl, cyclopentyl, cyclohexyl, etc.,}$

$R_4 \& R_5 = \text{H, halo, lower alkyl or alkoxy.}$

P80F021. Benzenesulphonyl urea derivatives—hypoglycaemics and antidiabetes.

Pfizer Inc, Jpn 9018-258, 6.7.79, Belg 811-866.



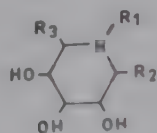
Where,

$R = \text{bicyclo (2,3,1) hept-5-en-2-ylendomethyl or 5-7C cycloalkyl.}$

P80F022. 4,4-Dimethyl-2-alkyl mercapto-2H,4H-isoquinolines—hypolipaemics and anticonvulsants.

Thomaek GmbH, Fr 2398-735, 30.3.79, Belg 869-366, Ger 734222, 29.7.77.

(For details refer patent P80B084—page 88).

P80F023. 2,3,4-Trihydroxy piperidines—antidiabetics.**Bayer AG**, Ger 2758-025, 12.7.79, Ger 758025, 24.12.77.

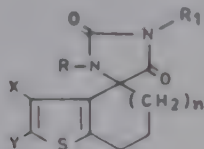
Where,

 $R_1 = \text{H}$, hydrocarbyl, aryl or heterocyclyl, $R_2 = \text{H}$, OH, OR, SR, etc., $R_3 = \text{CH}_2\text{NH}_2$, CH_2OH , etc.,

R = lower alkyl.

P80F024. *p*-Amino benzoic acid glycosides—hyperglycaemics, hyperlipidaemics, antihypertensives and antitumors.**Kureka Kagaku Kogyo**, Belg 875-451, 31.7.79, Jpn 012567, 11.4.78.

(For details refer patent P80C036—page 100).

P80F025. Spirothieno hydantoin derivatives—antidiabetics.**Pfizer Inc**, Belg 873-500, 16.7.79, US 4127-665.

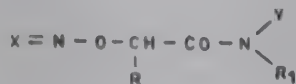
Where,

 $R \& R_1 = \text{H}$, 1-4C alkyl, optionally substituted benzyl, etc. $n = 1$ or 2 , $X = Y = \text{H}$, 1-4C alkyl, Cl, Br, F or substituted phenyl.

G. INFECTIOUS DISEASES

P80G012. α -(Alkylidene aminoxy) acetamides—tuberculostatic agents.

Richter Gedeon Vegy, USSR 612-624, 1.6.78, Belg 822-766.



Where,

X = 1-7C alkylidene isoalkylidene,

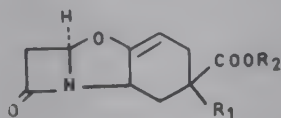
Y = optionally substituted cycloalkyl or phenyl,

R = H, benzyl or 1-5C alkyl,

R₁ = H or 1-5C alkyl.

P80G013. 10-Carboxy-3-oxo-6-oxa-1-aza tricycloundec-7, 8-ene derivatives—antibacterials.

Betcham Group Ltd, Bri 203-676, 15.8.79, Brit 004152, 2.2.78.



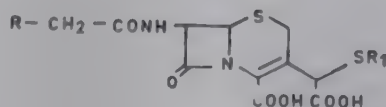
Where,

R₁ = H or 1-4C alkyl,

R₂ = H, cation or an ester forming group.

P80G014. Cephalosporin derivatives—antibacterials.

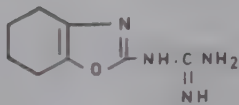
Fujisawa Pharm Ind KK, Ger 1795-838, 26.7.79, Neth 6805-179, Jpn 023971, 15.4.67.



Where,

R = aminothiazolyl, methyl or aminotetrazolyl,

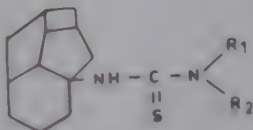
R₁ = triazolyl, thiadiazolyl or tetrazolyl.

P80G015. 2-Guanidino-4, 5-tetramethylene oxazole—antiviral.**Akad Wissenschaft DDR**, Ger 135-906, 6.6.79.

The hydrochloride of the title compound has significant antiviral activity.

P80G016. Homoisotwistane thiourea derivatives—antivirals.

Sumitomo Chemical KK, Jpn 4039-061, 24.3.79, Ger 2833-073, Jpn 1033920, 29.8.77.

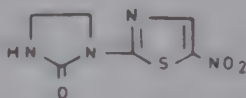


Where,

R_1 & R_2 = H, lower alkyl, methyl, *n*-propyl, isopropyl, butyl, etc.

P80C017. 1-(5-Nitrothiazolyl) 2-oxo tetrahydroimidazole—antiprotozoic and antihelmintic agent.

Egyt Gyogyszer Vegyeszeti, Ger 2117-050, 12.7.79, Neth 7104-748.

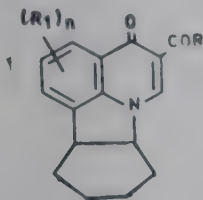
**P80G018. Nitroquinazolinone derivatives—antivirals, anti-inflammatories and analgesic.**

Sumitomo Chemical KK, USSR 612-627, 2.6.78, Neth 7211-867, Jpn 020356, 28.2.72.

(For details refer patent P80B097—page 92).

P80G019. 4-Oxo-4H-pyrido (3,2,1-j k) carbazole 5-carboxylic acid derivatives — antivirals, antimicrobial, antibiotic and anticancer agents.

Otsuka Pharm KK, Belg 872-000, 14.5.79, Jpn 137418, 15.11.79.



Where,

R = OH, alkoxy, hydrazino,

R₁ = alkoxy, halo, nitro, amino, alkanoylamino or alkyl,

n = 0, 1 or 2.

(Patent included at P80H018—page 115).

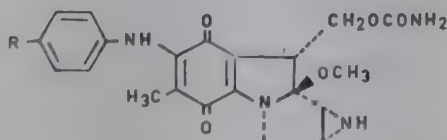
P80G020. 4-Oxo-6,7-dihydro-5H-pyrido-(1,2-a)-pyrimidines—antibacterials, anti-inflammatories, analgesics, and antiallergics.

Chinoin Gyogyszer, Belg 873-140, 17.4.79.

(For details refer patent P80B098—page 93).

P80G021. N-Phenyl mitomycin-C derivatives—antibacterials and antitumors.

Kyowa Fermentation KK, Jpn 4039-098, 24.3.79, Ger 2837-383, Jpn 103542, 31.8.77.



Where,

R = OH or amino.

(Patent included at P80H021—page 116).

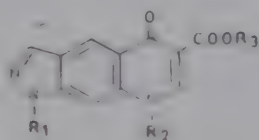
P80G022. Phenyltetrahydroxybenzazepine derivatives—antibacterials, antidepressants, analgesics and hypotensives.

Scherico Ltd, Ger 1695-844, 10.5.79, Ger 695844, 21.2.67.

(For details refer patent P80B100—page 93).

P80G023. Pyrazolo (4,3-g)quinoline derivatives—antimicrobials.

Grelan Pharmaceutical, KK, Jpn 4084-596, 5.7.79, Jpn 149377, 14.12 77.



Where,

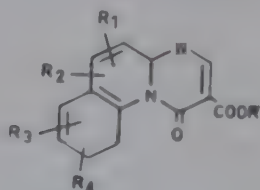
$R_1 = \text{H}$ or lower fatty acid residue,

$R_2 = \text{H}$, lower alkyl, lower alkenyl, etc.,

$R_3 = \text{H}$ or lower alkyl.

P80G024. Pyrimido 1,2-a quinoline derivatives—antifungals and antibacterials.

Chinoin Gyogyszer, Ger 136-137, 20.6.79.



Where,

$R = 1-10\text{C}$ alkyl, hydroxy alkyl, arylalkyl, etc.,

$R_1 = \text{H}$, halogen or 1-6C alkyl,

$R_2 = \text{H}$, halogen or 1-6C alkyl,

$R_3 \& R_4 = \text{H}$, halogen, 1-6C alkyl or alkyloxy.

P80G025. Pyridone-3-carboxylic acid derivatives—antibacterials and CNS stimulants.

Hoffmann La Roche SA, Belg 873-527, 17.7.79, Ger 2901-868.

For details refer patent P79B103—page 94).

Recent articles published in Indian Periodicals
All in one package

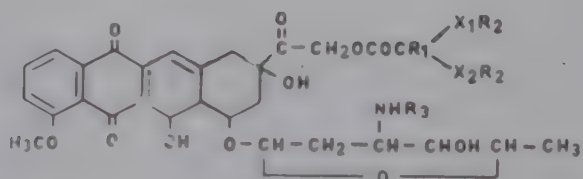
See “DRUGS & PHARMACEUTICALS—CURRENT INDIAN TITLES”
a monthly bulletin

For details, contact NICDAP.

H. ANTINEOPLASTIC AGENTS

P80H011. 14-Acyloxy daunorubicin derivatives—antitumors.

Rhone Poulenc Industries, Ger 2651-773, 13.6.79, Belg 848-219, Fr 034450, 12.11.75.



Where,

$R_1 = H$, methyl or phenyl

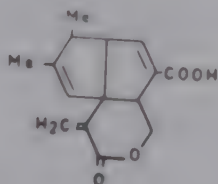
$R_2 = 1-C$ alkyl, phenyl, 4-methyl phenyl, 4-methoxy phenyl, etc.,

$R_3 = H$ or trifluoroacetyl,

X_1 & $X_2 = O$ or S.

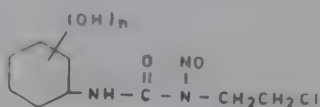
P80H012. Antibiotic U36699—antileukaemia agents.

UP John Co, US 4150-036, 17.4.79, US 915615, 15.6.78.



P80H013. 1-(2-Chloroethyl)-1-nitroso-3-(hydroxy cyclohexyl)-ureas—antileukemias and antitumors.

Suamit, Ger 2560-038, 12.7.79, Belg 832-227.



Where,

$n = 1-5$.

P80H014. 2,6-Diphenyl-4,8-dioxo-3,7-dioxabicyclooctene derivatives—antitumors and antidepressants.

Zaidan Hojin Biseib (KANF), Belg 871-994, 14.5.79, Jpn 137420, 15.11.77.

(For details refer patent P80B082—page 88).

P80H015. 2-Fluoromethyl histamine derivatives—gastric secretion inhibitors and antitumors.

Merrell Toraude Cie, Ger 2844-104, 26.4.79, Belg 871-356, US 843659, 19.10.77.

(For details refer patent P80E009—page 105).

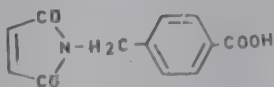
P80H016. Halomethyl-2-amino-3-imidazolyl propionic acid derivatives—antitumors, bronchodilators, antiulcers and gastric secretion inhibitors.

Merrell Toraude, Fr 2398-736, 30.3.79, Belg 869-322.

(For details refer patent P80D020—page 101).

P80H017. 4-(N-Maleyl imino methyl benzoic acid—anticancer.

Takatori Y, Jpn 9020-490, 23.7.79, Jpn 54009-266.

**P80H018. 4-Oxo-4H-pyrido (3, 2, 1-j k) carbazole 5-carboxylic acid derivatives—anticancers, antivirals, antimicrobials and antibiotics.**

Otsuka Pharm KK, Belg 872-000, 14.5.79, Jpn 137418, 15.11.79.

(For details refer patent P80G019—page 111).

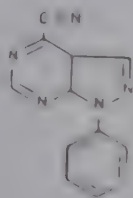
P80H019. *p*-Amino benzoic acid glycosides —antitumors, hyperglycaemics, hyperlipidaemics and antihypertensives.

Kureka Kagaku Kogyo, Belg 875-451, 31.7.79, Jpn 042567, 11.4.78.

(For details refer patent P80C036—page 100).

P80H020. 1-Phenyl-1H-pyrazolo (3, 4-d) pyrimidine-4-carbonitrile—carcinostatic drug.

Lion Dentiprice KK, Jpn 4039-096, 24.3.79, Jpn 103022, 27.8.77.



P80H021. N-Phenyl mitomycin-C derivatives —antitumors and antibacterials.

Kyowa Fermentation KK, Jpn 4039-098, 24.3.79, Ger 2837-383, Jpn 103542, 31.8.77.

(For details refer patent P80G021—page 111).

J. MOLECULAR BIOLOGY

- P80J001. Combined antipertussis and antipolyribosyl ribitol phosphate vaccine contains polyribosyl ribitol phosphate purified from *Haemophilus influenzae* type B capsular polysaccharides.**

American Cynamid Co, Ger 2845-745, 10.5.79, Belg 871-586, US 846466, 28.10.77.

Combined vaccine which causes formation of antipertussis antibodies in children and young warm blooded animals comprises polyribosyl ribitol phosphate isolated and purified from *Haemophilus influenzae* type B capsular polysaccharide and *Bordetella pertussis* antigens, or kaolin. The antibody is preferentially an antibody to cholera toxin, but can also be an antibody to diphtheria toxin, tetanustoxin, virus HB, influenza virus, herpesvirus etc.

- P80J002. Affinity chromatographic substrates—with antibody crosslinked directly on porous mineral support.**

Inst Merieux, Fr 2403-556, 18.5.79, Fr 028161, 19.9.77.

Solid porous materials for use in chromatographic columns comprise an porous mineral support coated directly with an adsorbed antibody layer stabilised by cross linking. The support can be a refractory oxide (SiO_2 , Al_2O_3 , MgO or TiO_2) or a glass, silicate, borosilicate.

- P80J003. Polynucleotide preparation.**

Genentech Inc, Ger 2848-054, 10.5.79, Belg 871-781.

Preparation of polynucleotide comprises coupling of a nucleotide having a masked 3'-phosphate diester group with a nucleotide having an available 5'-hydroxy group.

K. PHARMACEUTICS

- P80K003. Microencapsulation of pharmaceutical particles.**

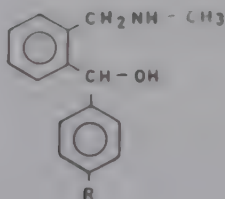
Tanabe Seiyaku Co, Fr 2399-835, 13.4.79, Jpn 096746, 11.8.77.

Microcapsules containing pharmaceutically active substances are prepared by liquid phase separation using ethyl cellulose as wall forming substance and polyisobutylene as phase separating agent.

M. GENERAL

P80M006. Substituted 2-aminomethyl benzyl alcohols—appetite inhibitors.

Boehringer CH Sohn, Neth 160-804, 16.7.79, Neth 6818-378.

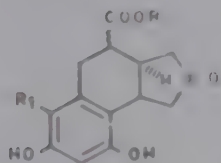


Where,

$R = CF_3$ or halogen.

P80M007. Tetrahydro cyclopentano naphthalene derivatives—steroid intermediates.

US Sec of Health (USDC), US 4150-236, 17.4.79, Ger 2720-350.



Where,

$R = CH_3$

$R_1 = H$ or carbomethoxy.

P80M008. Preparation of water insoluble immunological diagnostic reagent.

Hoffmann La Roche AG, Fr 2403-386, 18.5.79, Ger 2840-767.

Preparation comprises (a) mixing an immunologically inert carboxylated polymer which is free from amino compound impurities with a water soluble activating agent and (b) adding a known immunologically active material to this mixture.

N. NEW TECHNIQUES

P80N005. Immobilising enzymes on amorphous silica carrier—pretreated with amino alkoxy silane.

Dynamit Nobel AG, Ger 2749-317, 10.5.79, Ger 749317, 4.11.77.

Immobilisation of enzyme involves modifying in a single stage the moulded particles of amorphous silica by treatment with alkoxy silanes containing primary or secondary amino group and then treatment with a solution of enzyme, denatured lymphocytes.

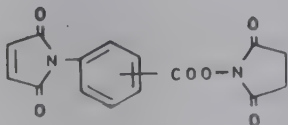
P80N006. In vitro diagnosis of malignant tumors by electrophoresis of lymphocytes treated with strongly basic peptides.

Behring Werke AG, Belg 871-977, 14.5.79, Ger 750521, 11.11.77.

Diagnosis of malignant tumors comprises incubating lymphocytes with strongly basic synthetic peptides, then measuring their speed of migration in an electric field. Preferential peptides are poly-L-lysine, poly-L-arginine, poly-L-ornithine and poly L-lysine. Indicators include lymphocytes themselves but preferentially.

P80N007. Maleimido benzoic acid (N) hydroxy succinimide esters—used in enzyme immunological determinations.

Dainippon Pharm KK, US 4150-033, 17.4.79, Ger 2656-155, Jpn 148787, 12.12.75.



The title compound is useful as a binding agent for binding an enzyme and an antigen to form an enzyme labelled antigen for use in enzyme immunological determinations.

P80N008. Stabilising activity of urokinase.

Kanebo KK, Jpn 4037-882, 20.3.79.

Activity of urokinase is stabilised by adding to a substance containing disulphide or mercapto group. The process stabilises the activity of high specific activity of urokinase for a prolonged period preventing the loss of activity during dialysis of concentration with membranes or hollow fibers based on cellulose.

P80N009. Test method for cancer diagnosis—based on inhibition of leucocyte migration.

R & Z Vermogensverw, Ger 2755-363, 13.6.79, Belg 872-651.

Test method for diagnosis of malignant tumor comprises subjecting (a) lymphocyte containing leucocyte suspension to a known migration inhibition test, during which lymphocytes are contacted with an antigen capable of inducing the formation of migration inhibiting factor (Mif).

(b) Incubating the system for a predetermined time and then stopping the migration of leucocytes and measuring the extent of migration.

For Photocopies of articles,

Please contact NICDAP

Photocopying charges:

Re. 1/- per page with a minimum charge of

Rs. 5/- per article.

INFORMATION ABOUT INDIAN PATENTS

(Source: *The Gazette of India, Part III—Section 2, December 29, 1979; January 5 & 12, 1980*)

A. APPLICATIONS FOR PATENTS FILED

At the Head Office (Calcutta)

Nov. 24, 1979

1229/Cal/79, Laboratories Guidotti & C. SPA.

A process for the preparation of the basic esters of substituted hydroxycyclohexanecarboxylic acids.

Nov. 26, 1979.

1236/Cal/79. Toyo J020 Kabushiki Kaisha.

Process for production of 6-aminopenicillanic acid by using immobilized enzyme.

Dec. 7, 1979.

1277/Cal/79. Indian Oxygen Limited.

Process for the production of alpha cellulose.

At the Delhi Branch

Nov. 2, 1979.

767/Del/79. Council of Scientific and Industrial Research.

A process for the synthesis of 1, 2) (diethyl-1-carboxyphenyl)-3-(alkoxyphenyl)-1-propenes as anti-fertility agents.

Nov. 7, 1979.

794/Del/79. Pfizer, Inc, Preparation of 2-Ketogulonic acid.

No. 9, 1979.

798/Del/79. Council of Scientific and Industrial Research.

Process for the preparation of Cyano-3-phenoxybenzyl, 1R Cis 2, 2-dimethyl-3 (2-chloro prop-1-enyl) cyclopropane carboxylate a new insecticide belonging to the "Synthetic Pyrethroids" group.

Nov. 13, 1979.

809/Del/79. Pfizer Inc. Preparation of Pharmaceutical compositions. AB Lactams Antibiotics and Pennicilanic acid 1, 1 Dioxide derivatives.

B. COMPLETE SPECIFICATIONS ACCEPTED

1. Process for preparation of 4-hydroxy-3-(5-methyl-3-isooxazolyl carbamyl)-2-methyl-2H-1,2-benzothiazine 1, 1 dioxide.

Applicant: Warner Lambert, 201, Tabor Road, Morris Plains, New Jersey 07950, USA.

Application No.: 1201/Cal/77 filed Aug. 4, 1977.

2. Process for preparing lysine-2(6-methoxy-2-naphthyl) propionate.

Applicant: Prodes SA, Trabazo Street, San Justo Desvern (Barecelona) Spain.

Inventors: S. M. Quilez.

Application No.: 538/Del/78 filed July 21, 1978.

3. A process for the manufacture of 1,2,3-thiazolinide derivatives.

Applicant: Schering Aktiengesellschaft, Berlin.

Inventors : Dr. H. R. Kruger & Dr. R. Rusch.

Application No. : 205/Del/78 filed March 17, 1978.

4. Process for the manufacture of 5-substituted 2, 4-diamino pyrimidines.

Applicant : Ciba Geigy of India Ltd., Bombay 400063, India.

Inventor : K. G. Dave.

Application No. : 76/Bom/77 filed Feb. 28, 1977.

**DRUGS & PHARMACEUTICALS
CURRENT HIGHLIGHTS—R&D**

alerts you with recent articles of interest

A useful tool in research

For details, contact NICDAP

A. ENDOCRINE SYSTEM

**P80A013. D-Homosteroids—andro-
genic, anabolic, gestagenic & antiovu-
latory agents.**

Hoffmann La Roche Inc, US 4155-918,
22.5.79, Ger 2651-364, US 736282,
28.10.76.

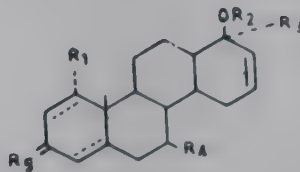
$R_1 = \text{H or Me}$

$R_2 = \text{H, 1-10C alkyl, benzyl etc.}$

$R_3 = \text{H, lower alkyl or ethinyl}$

$R_4 = \text{H or Me}$

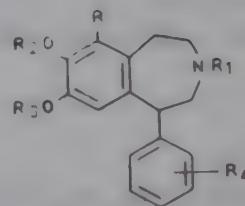
$R_5 = \text{oxo or when A ring is unsaturated}$
 $\alpha\text{-H } \beta\text{-OH.}$



B. NERVOUS SYSTEM

P803107. 6-Alkyl-7,8-dihydroxy-3-benzazepine derivatives—antiparkinson agents.

Smith Kline Corp, Ger 2849-766, 23.5.79,
US 852404, 17.11.77.



R = 1-6C alkyl,

R₁=H, benzyl, phenethyl, 1-5C
alkanoyl, 1-5C alkyl, etc.

R₂&R₃=H, 1-5C alkyl, 2-5C alkanoyl,
benzyl, etc.

R₄=H, halo, CF₃, CH₃, CH₃O, etc.

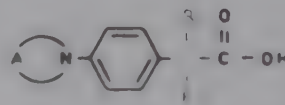
P80B108. α-Aminophenyl aliphatic carboxylic acids—anti-inflammatories & analgesics.

Ciba Geigy AG, USSR 460-618, 26.4.76,
Belg 753-600, US 383169, 18.7.60.

A=forms with nitrogen a bicyclic
alkenyl aminog roup.

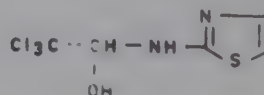
R₁=H or lower alkyl

R₂=H, lower alkyl, lower alkenyl,
cycloalkyl etc.



P80B109. 2,2,2-Trichloro-1,2-thiazolyl amino-ethanol—anti-inflammatory, analgesic & antipyretic.

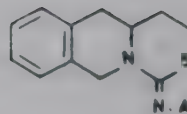
Kharch Chem Phys, Fr 2400-361, 20.4.79,
Ger 2734-160.



P80B110. 4-Iminothiazinoisoquinoline derivatives —anti-inflammatories & analgesics.

Rhone Poulenc Industries, Ger 2848-926,
17.5.79, Belg 871-890.

A=8-pyridyl, 3-isoquinolyl or 3-alkylisoquinolyl.



P80B111. Benzomorphan derivatives —analgesics.

Sumitomo Chemical KK, Neth 160-252,
15.5.79, Belg 743-733, Jpn 096270,
26.12.68.

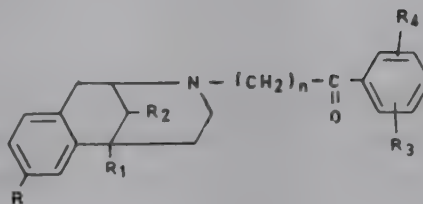
R = OH, 1-3C alkoxy, etc.

R₁ & R₂ = methyl or ethyl

R₃ = H, halogen, NH₂ OH, NO₂, alkyl,
etc.

R₄ = H or OMe

n = 3 or 4.



P80B112. Benzophenone dipeptides —anxiolytics, sedatives, anticonvulsants, hypnotics & muscle relaxants.

Shionogi KK, Ger 2535-171, 23.5.79,
Belg 832-190.

R = H, 1-3C alkyl, 2-N diethylaminoethyl, etc.

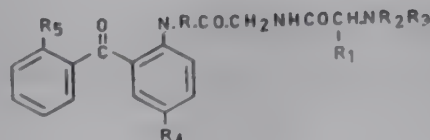
R₁ = H, 1-6C alkyl or benzyl,

R₂ = H, 1-3C alkyl or glycyl,

R₃ = H or 1-3 C alkyl

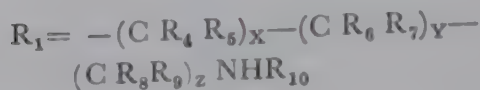
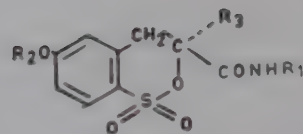
R₄ = halogen or NO₂

R₅ = H or halogen.



**P80B113. 3-Carbamoyl-1-thiaisocho-
man-1, 1-dioxides—psychotropics.**

Veb Arzneimittel Dresden, USSR 559-923,
3.3.78, Belg 814-031, Ger 170664, 2.5.73.



$R_2 = 1-4C$ alkyl

$R_3 = H, CH_3$ or CH_5

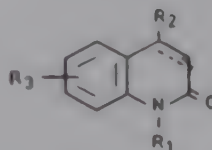
R_4 to $R_9 = H, CH_3$ or C_2H_5

$R_{10} = 1-6 C$ alkyl, or 7-10C arylalkyl

$X, Y, \text{ \& } Z = 2-4.$

**P80B114. Carbostyryl derivatives—
anti-inflammatories, hypotensives—
antiulcer and antiasthmatic agents.**

Otsuka Pharm KK, Jpn 4030-079, 6.3.79,
Jpn 096462, 10.8.77.



$R_1 = H$ or lower alkanoyl

$R_2 = H$, methyl or $O(CH_2)_3 COOR_4$

$R_3 = H$ or $O(CH_2)_3 COOR_4$

$R_4 = \text{lower alkyl}.$

**P80B115. Cyclodextrin & indome-
thacin complex—anti-inflammatories**

Chinoïn Gyogyszer, Belg 873-725, 16.5.79.

New inclusion complex comprises a mixture of cyclodextrin and indomethacin and may be prepared by heating the required amounts of both in solvent at 60-80°C.

**P8B116. Dibenzocycloheptapyrroles
& pyridines—CNS depressants.**

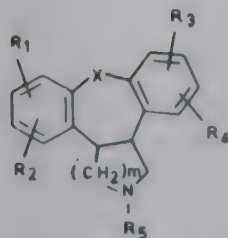
Akzo NV, US 4154-836, 15.5.79, Belg
854-915.

R_1, R_2, R_3 & R_4 = H, OH, halogen,
alkyl, alkyloxy, alkylthio, etc.

R_5 = H, alkyl or arylalkyl

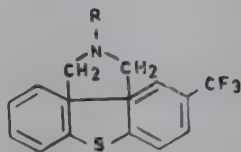
$X = O$

$m = 2$.

**P80B117. Dibenzothienopyrroles—
for treating excitement & psychosis.**

Ciba Geigy AG, Jpn 9011-320, 14.5.79,
Belg 767-702.

R = H, 1-6 C unbranched isopropyl or
allyl.

**P80B118. 2, 2 Diphenyl-2-propargyl
acetamide derivatives—analgesics &
neurosedatives.**

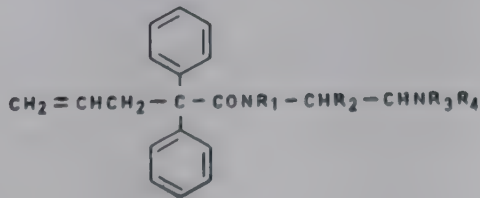
Roussel Uclaf, Jpn 9011-303, 14.5.79,
Belg 775-257, Fr 040966. 16.11.70.

R_1 = H or 1-4C alkyl

R_2 = H

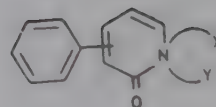
R_3 = 1-4C alkyl

R_4 = 1-4C alkyl, aryl or arylalkyl.



**P80B119. Heterocyclic compounds—
analgesics, antiphlogistics & anti-
pyretics.**

Yamannuchi Pharm KK, Jpn 4005-997,
17.1.79, Jpn 071366, 15.6.77.



$X = -O-, -S(O)_n-, -N(R_1)-$ etc,

$Y =$ ethylene or trimethylene group

$R_1 =$ H or lower alkyl

$n = 0, 1$ or 2 .

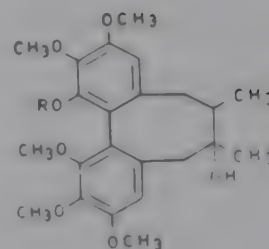
**P80B120. Gomisin H derivatives—
antipyretics.**

Tsumura Juntendo KK, Jpn 4052-066,
24.4.79, Jpn 115556, 28.9.77.

$R =$ angelic or benzoic acid.

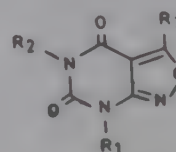
The compounds are extracted from
dried seeds of *Chizandra chinensis*
Baill with a lower hydrocarbon
such as hexane, benzene etc.

(Patent included at P80L004—page
159).



**P80B121. Isoxazoles (3,4-d)-pyrimi-
dines—analgesics & anti-inflamma-
tories.**

Takeda Yakuhin Kogy, Brit 1547-053,
6.6.79, Belg 853-215, Jpn 038419, 5.4.76.

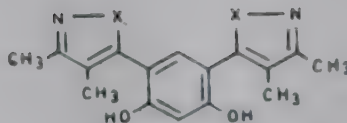


R_1, R_2 & $R_3 =$ H, 1-6C alkyl, cycloalkyl
or aryl optionally substi-
tuted by halogen.

P80B122. Isooxazole or pyrazole derivatives of resorcinol—psychopharmacological & antiphlogistic agents.

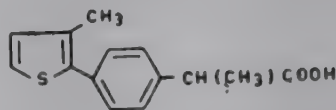
Thiemann H GmbH, Neth 160-167,
15.5.79, Ger 250343, 13.10.72.

X=O or NH.



P80B123. 4-(3-Methyl-2-thienyl)-phenyl-propionic acid—analgesic and anti-inflammatory.

Toyama Chem Ind KK, Ger 2850-485,
23.5.79, Jpn 138943, 21.11.77.



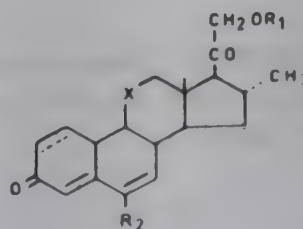
P80B124. 16-Methyl-11-oxygenated pregnadiene or triene derivatives—anti-inflammatories.

Schering AG, Ger 2753-839, 31.5.79, Ger
753839, 30.11.77.

R_1 =H or physiologically tolerable acid group

R_2 =F, Cl or CH_3

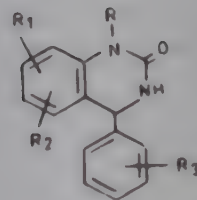
X =hydroxymethylene or CO.



Dotted line indicates a double or single bond.

P80B125. 2-Oxo-1-(propylhaloalkyl)-4-phenyl-1,2-dihydroquinazolines—anti-inflammatories.

Sumitomo Chemical KK, Neth 160-168, 15.5.79, Belg 768-778, Neth 008780, 25.6.78.



R = 1-4C poly haloalkyl

R₁, R₂ & R₃ = H, halo, NO₂, alkyl, alkoxy, etc.

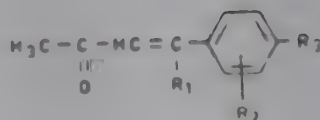
P80B126. Phenylbutenones—anti-inflammatories.

Sandoz S. A., Belg 872-383, 29.5.79.

R₁ = 1-3C alkyl

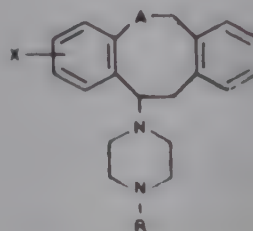
R₂ = H, F, Cl or Br

R₃ = isobutyl, tertiary butyl, cyclohexyl, cyclohexenyl, phenyl, etc.



P80B127. Piperidinyl dibenzothiepine derivatives—CNS depressants & antinausea agents.

Fujisawa Pharm Ind KK, Jpn 9011-318, 14.5.79, Jpn 127570, 28.12.70.



X = H, halogen or alkoxy

A = S or O

R = alkyl.

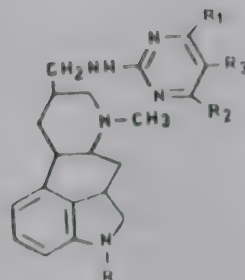
P80B128. 8-Pyrimidyl aminomethyl-ergoline derivatives—adrenolytic agents.

Soc Farmaceutica Italia, USSR 617-014,
30.6.78, Ger 2259-012.

$R = H \text{ or } CH_3$

$R_1 \& R_2 = H, 1\text{-}3C \text{ alkyl or phenyl}$

$R_3 = H \text{ or halogen.}$



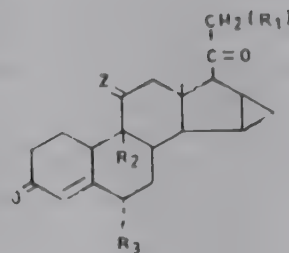
P80B129. Pregnene diones—anti-inflammatories.

Hoffmann La Roche AG, Ger 2061-183,
23.5.79.

$Z = O \text{ or } \beta\text{-CHOH.}$

$R_1 = OH \text{ or acyloxy}$

$R_2 \& R_3 = H, F \text{ or Br.}$



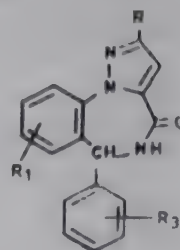
P80B130. 6-Phenyl-4H-pyrazolo(1,5-a)(1,4)-benzodiazepines—anxiolytics, sedatives & anticonvulsants.

Hoffmann La Roche Inc, US 4130-716.
5.6.79,

$R = \text{lower alkyl, } CH_2O\text{-acetyl, } CH_2OH,$
 $CHO \text{ or } CH_3$

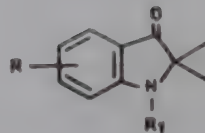
$R_1 = H \text{ or halogen}$

$R_2 = H \text{ or halogen.}$



P80B131. Spiro-cyclopropane-(1,2) indolinones - anti-inflammatories, analgesics & gastric secretion inhibitors.

Takeda Cemical Ind KK, US 4154-737, 15.5.79, Belg 848-766, Jpn 141748, 26.11.75.

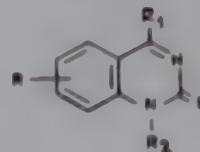


R = optionally substituted 1-4C alkyl, 1-4C alkoxy, 1-4C alkylendioxy, etc.

R₁ = optionally substituted 1-4C alkyl. (Patent included at P80E013 page 148).

P80B132. 1-Substituted-4-phenyl quinazoline-2-one derivatives—anti-inflammatories, antipyretics & analgesics.

Sandoz AG, Ger 1909-110, 30.5.79, Neth 6902-968, Neth 002968, 26.2.69.



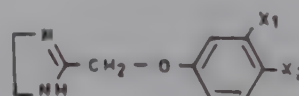
R = 1-5C alkyl, 1-4C alkoxy, NO₂, CN, NH₂, NHAc or CF₃.

R₁ = phenyl group

R₂ = 1-5C alkyl, allyl, propargyl, etc.

P80B133. Substituted 2-(phenoxy-methyl)-2-imidazolines—analgesics, antidepressants and diuretics.

Dow Chemical Co, Neth 160-255, 15.5.79, Neth 6804-672, US 628301, 4.4.67.

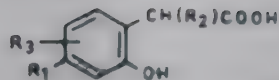


X₁ & X₂ = Cl or Br.

(Patent included at P80C063—page 143).

P80B134. Hydroxyphenyl acetic-acids – anti-inflammatories.

Sandoz Ltd, Brit 1546-703, 31-5.79.



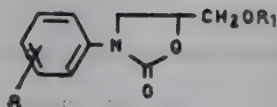
R_1 = 1-10C alkyl, 3-8C cycloalkyl or phenyl

R_2 = H or 1-4C alkyl

R_3 = halogen, NO_2 , 1-4C alkyl, methylthio, OH, 1-4C alkoxy, etc.

P80B135. 3-Substituted phenyl-5-hydroxy-methyl-oxazolidinones – anti-depressants.

Delalande SA, Brit 1546-741, 31.5.79.

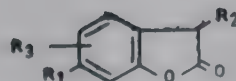


R = NO_2 , CN, CHO, CH_3 , C_2H_5 , NO_2 , etc.

R_1 = H, 1-4C alkyl or cyclohexyl, etc.

P80B136. Substituted dihydro benzo-furan-2-ones – anti-inflammatories.

Sandoz Ltd., Brit 1546-701, 31.5.79, Ger 2608-697.



R_1 = 1-10C alkyl, 3-8C cycloalkyl

R_2 = H or 1-4C alkyl

R_3 = halogen, NO_2 , 1-4C alkyl, methylthio, etc.

P80B137. Zn salts of N-acetyl-6-aminohexanoic acids—anti-inflammatory, antirheumatics and antiulcers.

Labs Vinas SA, Belg 873-688, 16-5-79.

The Zn Salt of N-acetyl-6-aminohexanoic acid of the formula $(\text{CH}_3\text{CONH}(\text{CH}_2)_5\text{COO})_2\text{Zn}$ are new.

(Patent included at P80E019—page 148).

Recent articles published in Indian Periodicals
All in one package

See "DRUGS & PHARMACEUTICALS—CURRENT INDIAN TITLES"
a monthly bulletin

For details, contact NICDAP.

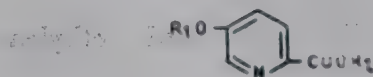
C. CARDIOVASCULAR SYSTEM

P80C039. 5-Alkoxy picolinic acid esters—antihypertensives.

Meiji KK, Jpn 4048-770, 17.4.79, Jpn 115013, 24.9.77.

R_1 =1-6C alkyl

R_2 =substituted phenyl, phthalyl, alkoxyalkyl, etc.



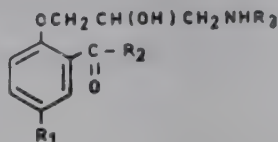
P80C040. 3-Amino-2-hydroxypropoxy benzoketone derivatives—cardioactive agents.

May & Baker Ltd, Ger 1815-808, 31.5.79, Brit 058516, 22.12.67.

R_1 =linear or branched 1-10C alkylamino

R_2 =1-6C alkyl

R_3 =linear or branched 1-6C alkyl optionally substituted with phenyl.



P80C041. N-(3-Amino-2-hydroxypropyl) benzamides—antiarrhythmics, cardiodepressants, vasodilators and antihypertensives.

Science Union & Cie, USSR 618-039, 5.9.78, Belg 802-627, Brit 034213, 21.7.72.

R_1 =H, halo, lower alkyl, alkenyloxy, etc.

R_2 & R_3 =H, OH or lower alkoxy

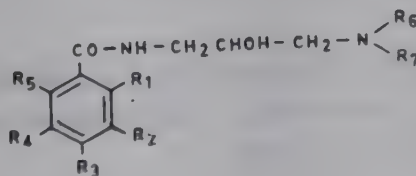
R_4 =H, halo, hydroxyl or lower alkoxy

R_5 =H, halo or lower alkyl

R_6 =H, lower alkyl or lower arylalkyl

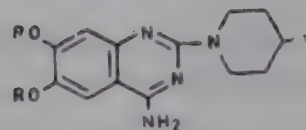
R_7 =lower alkyl, lower arylalkyl or

R_6 & R_7 =together with the N form a heterocyclic ring.



P80C042. 4-Amino 6,7-dialkoxy-2
[-4 hydroxy alkoxy piperidino] quin-
azolines—antihypertensives.

Pfizer Inc, Neth 7811-236, 18.5.79, Belg
 872-023, Brit 047583, 16.11.77.



R = lower alkyl

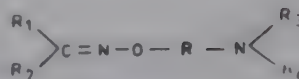
X = O-R₁-OR₂ group

R₁=optionally substituted ethylene
 group

R₂=H, lower alkyl, 3-6C cycloalkyl,
 etc.

P80C043. O-Aminoalkyl thienyl
ketone oxime derivatives—analgesics
and increase the cerebral blood flow.

Deutsche Gold & Silber, Ger 2851-387,
 7.6.79.



R = alkyl residue

R₁=thienyl optionally substituted
 halogen, 1-4C alkyl

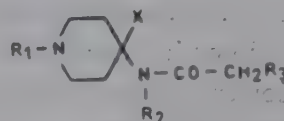
R₂=1-6C alkyl, 3-7C cycloalkyl

R₃=H or 1-6 C alkyl

R₄=H or 1-3 C cycloalkyl.

P80C044. N-aryl-N-alkyl piperidinyl-
aryl acetamide derivatives—anti-
arrythmic agents.

Janssen Pharmaceut NV, US 4157-393,
 5.6.79, US 4126-689.



R₁=3-6C cycloalkyl

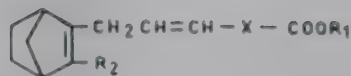
R₂=pyridinyl or pyrimidyl

R₃=phenyl optionally substituted by
 halogen lower alkyl, etc.

X = halogen.

P80C045. 2-Carboxyalkenyl-3-substituted-7-oxa bicycloheptane derivatives—platelet aggregation inhibitors.

Squibber & Sons Inc, Brit 2008-107,
31.5.79, US 848543, 4.11.77.



$R_1 = \text{H or 1-7C alkyl}$

$R_2 = \text{CHO, CH}_2\text{OH, etc.}$

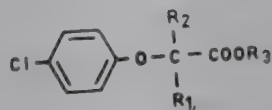
$X = \text{1-7C alkylene.}$

P80C046. Cinnarizine together with clofibrate analogues—antihypertensives.

Merckle L KG, US 4156-003, 22.5.79,
Belg 870-314, US 936513, 24.8.78.

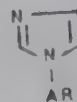
$R_1 \text{ \& } R_2 = \text{H or 1-4C alkyl}$

$R_3 = \text{H, 1-4C alkyl or acceptable metal atom of valency 1-3.}$



P80C047. 1-Cycloalkenylhydrocarbyl imidazoles—blood platelet aggregation inhibitors and antihypertensives.

Wellcome Foundation Ltd, Brit 2008-089,
31.5.79

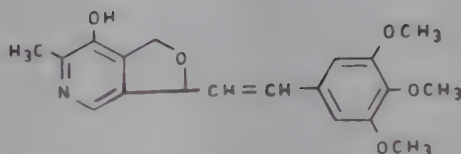


$A = \text{1-3C acyclic hydrocarbyl}$

$R = \text{4-9C cycloalkyl or cycloalkenyl.}$

P80C048. 1,3-Dehydro-3-(3',4',5'-trimethoxy styryl)-6-methyl-7-hydroxy-furo (3,4c) pyridine—diuretic.

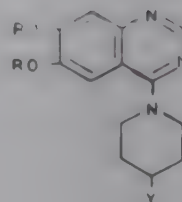
Soc Civ Rech Appl. Science, Brit 2008-582, 6.6.79, Belg 872-004, Brit 044282, 13.11.78.



The title compound is used to protect the kidneys by administration at a daily dose of 0.02-0.5 g.

P80C049. 6, 7-Dialkoxy-4-piperidino-quinazoline derivatives—phosphodiesterase inhibitors and cardiac stimulants.

Pfizer Corp, Ger 2847-622, 31.5.79, Brit 045669, 3.11.77.



$Y = -(CHR_1)_n-Z$

$R = \text{lower alkyl}$

$R_1 = H \text{ or lower alkyl}$

$Z = OOCNR_2 R_3, NR_4COR_5, \text{ etc.}$

$R_2 \text{ \& } R_3 = H \text{ or an } R_5 \text{ group}$

$R_4 = H \text{ or lower alkyl}$

$R_5 = \text{lower alkyl, benzyl or phenyl.}$

P80C050. 1,4-Dihydro-3-nitro-pyridine derivatives—antihypertensives and antiarrhythmics.

Bayer AG, Ger 2752-820, 31.5.79.

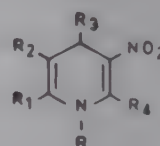
$R = H, \text{ alkyl, arylalkyl, etc.}$

$R_1 = R_4 = H, \text{ alkyl, aryl, arylalkyl, heteroaryl, etc.}$

$R_2 = H, NO_2 \text{ or } COR_5$

$R_3 = \text{aryl, thienyl, furyl, pyrrolyl, pyrazolyl, etc.}$

$R_5 = \text{alkyl, alkyl, arylalkyl, etc.}$

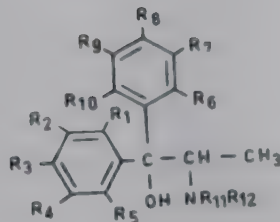


P80C051. 1, 1-Diphenyl-2-aminopropan-1 ol—diuretics & saluretics.

Temmler AG, USSR 618-035, 1.9.78,
Belg 826-235, Ger 508203, 26.2.75.

R_1 to R_{10} =H, 1-6C alkyl, 1-4C alkoxy,
etc.

R_{11} & R_{12} =1-6C optionally branched
alkyl.

**P80C052. 8-Halo-3-aminoalkyl-4-substituted-7-alkoxy coumarin derivatives—vasodilators.**

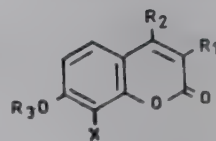
Fidia SPA, Neth 7810-946, 21.5.79,
Belg 871-315.

R_1 =alkyl with basic substituents—
piperidinoethyl, morpholino, etc.

R_2 =H or alkyl

R_3 =alkyl substituted by a basic group

X =halo.

**P80C053. Heterocyclic substituted alkylamines—vasodilators.**

Boehringer Ingelheim, US 4154-829,
15.5.79, Belg 852-223, Ger 609645, 9.3.76.

R_1 =H, halogen, alkyl, alkoxy, CF_3 ,
 NH_2 , etc.

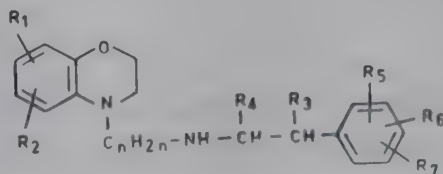
R_2 =H, halogen, alkyl, alkoxy or CF_3

R_3 =OH or H

R_4 =H, methyl or ethyl

R_5 , R_6 & R_7 =H, halogen or hydroxy-
methyl

n=2-6.

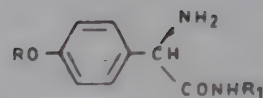


P80C054. 4-Hydroxy phenylglycine-amide derivatives—cardioactive agents.

Pfizer Inc, Belg 872-347, 28.5.79, Brit 049975, 30 11.77.

R = H or methyl

R₁ = lower alkyl, hydroxy or lower alkoxy.

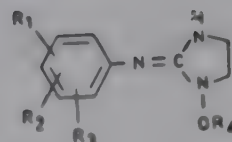


P80C055. 2-Iminoimidazoline derivatives—antihypertensives and cardiovascular agents.

Hoffmann La Roche AG, Brit 2008-579, 6.6.79, Ger 2847-766.

R₁, R₂ & R₃ = H, alkyl, alkoxy, alkylthio, halogen, CF₃, CN or OH

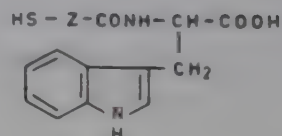
R₄ = H, alkyl, cycloalkyl, cycloalkenyl, alkynyl, etc.



P80C056. N-2-(Mercaptoacyl) tryptophan derivatives—hypotensives.

Santen Seiyaku KK, Jpn 4052-073, 24.4.79, Jpn 117725, 29.9.77.

Z = 1-3C optionally branched alkylene.

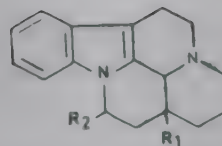


P80C057. 14-Methoxycarbonyl-14, 15-dihydro-3- β -16- α -eburnamenine—vasodilators.

Richter Gedeon Vegy, USSR 554-816, 26.12.77, Belg 833-674.

R_1 = alkyl

R_2 = COOH or its functional derivative.



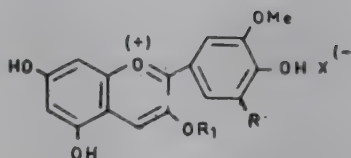
P80C058. Methoxyflavylum salt compositions—for treating atherosclerosis and other vascular disorders.

Soc Rech Ind Sori, Belg 872-250, 25.5.79, Brit 049322, 26.11.77.

R = H or OMe

R_1 = sugar residue

X = a cation (chloride, maleate, tartrate, citrate or phosphate).



P80C059. Phenylthio alkylamine derivatives—thrombosis inhibitors.

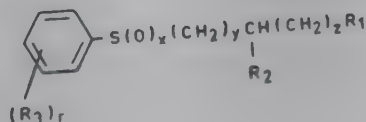
Dow Chemical Co, US 4155-907, 22.5.79, US 4134-996, US 714950, 16.8.76.

R_1 = lower dialkylamino or cycloimino

R_2 = lower alkyl, morphinyl, or 4-morphinylmethyl

R_3 = H, lower alkyl, halo, OH, NH₂, acetamino, etc.

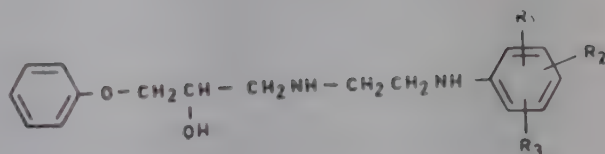
$r=0-5$, $x=0-2$, $y=0-4$, $z=0-3$.



P80C060. 3-Phenylamino ethylamino-2-hydroxy-1-phenoxy propane derivatives—sympathomimetic compounds.

Veb Arzneimittel Dresden, Belg 872-342,
28.5.79, Ger 202331, 1.12.77.

R_1, R_2 & $R_3 = H$, halo, 1-2C alkyl,
methoxy, nitro, amino
acylamino, etc.

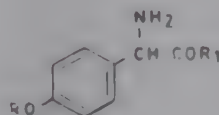


P80C061. Phenyl glycine derivatives—for treating cerebral and cardiac insufficiency.

Pfizer Ltd, Brit 1547-206, 6.6.79, Belg
859-151, Brit 040306, 28.2.76.

$R = H$ or CH_3

$R_1 = NH_2, OH$ or completes a carboxylic ester group.



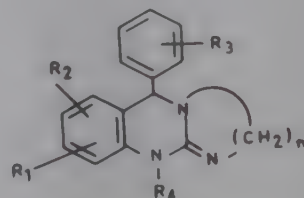
P80C062. Phenylsubstituted imidazoquinazoline derivatives—diuretics and vasodilators.

Sumitomo Chemical KK, Jpn 4048-797,
17.4.79, Jpn 107643, 6.9.77.

R_1, R_2 & $R_3 = H$, halogen, lower alkyl
or lower alkoxy

$R_4 =$ lower alkyl, alkenyl, etc.

$n = 2-3$.



P80C063. Substituted 2-(phenoxy methyl)-2-imidazolines—diuretics, analgesics and anti-inflammatories.

Dow Chemical Co, Neth 160-255, 15.5.79,
Neth 6804-672, US 628301, 4.4.67.

(For details refer Patent P80B133 page 132).

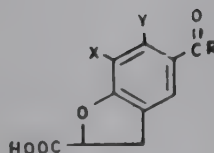
P80C064. 6-Substituted-5-thenoyl benzofuran-2-carboxylic acid derivatives—diuretics, saluretics & uricosuric agents.

Merck & Co Inc, US 4154-742, 15.5.79,
US 4085-117, US 857628, 5.12.77.

X=H, halo or methyl

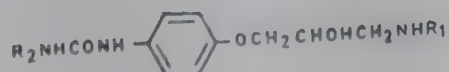
Y=halo or methyl

R=optionally substituted phenyl.



P80C065. Ureidophenoxy-2-hydroxy-3-substituted aminopropane derivatives— β -adrenergic blocking agents.

Veb Arzneimittel Dreoden, USSR 580-207, 29.12.77.



R_1 & R_2 =1-6C unbranched alkyl, 3-8C branched alkyl, 3-6C alkenyl, 3-7C cycloalkyl, etc.

D. RESPIRATORY SYSTEM

P80D029. 3-Aminocarbonyl-2-thienyl-oxamates—antiallergics.

Bristol Myers Co, 27.4.79, Fr 2401-152,
US 826591, 22.8.77.



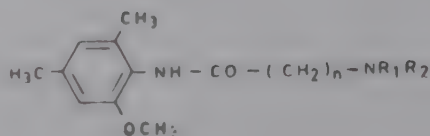
R_1 & R_2 = H, 1-8C alkyl, 3-6C alkenyl,
phenyl, 2-6C alkanoyl or
together form cycloalkenene

R_3 = H or 1-8C alkyl

A = covalent bond or $-\text{CH}=\text{CH}-$

P80D030. Amino-acyl-2-methoxy-4,6-dimethylaniline derivatives—antitussives.

Orion Yhtymä Oy, Belg 813-957, 29.5.79.

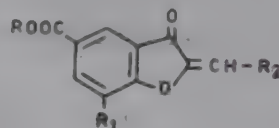


R_1 & R_2 = 1-3C alkyl or together with
N form methylpiperidino

n = 2 or 3.

P80D031. 5-Carboxy-2-benzylidene-2H-benzofuran-3-one derivatives—antiallergics, bronchodilators.

Erba C SPA, Belg 873-826, 16.5.79.



R = H, 1-12C alkyl, NR_3R_4

R_1 = H, 3-6C alkenyl or 1-6C alkyl

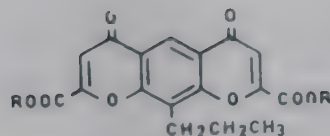
R_2 = optionally substituted thienyl or
furyl

R_3 & R_4 = H or 1-6C alkyl.

P80D032. 2,8-Dicarboxy-4,6-dioxo-10-propyl-4H, 6H-benzodipyransalts—antiallergics.

Fisons Ltd, Belg 872-320, 28.5.79, Brit 049761, 30.11.77.

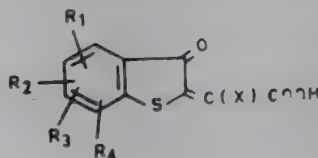
R = organic or inorganic cation giving necessary solubility.



P80D033. 2-Halocarboxymethylene benzothiophenone compounds—antiallergics.

Ciba Geigy Corp, US 4154-739, 15.5.79, Ger 2804-842.

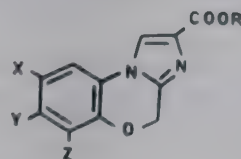
R_1, R_2, R_3 & R_4 = H or lower alkyl
 X = Br, F, OH, lower alkyl, etc.



P80D034. Imidazo (2,1c) (1,4) benzoxazine-2-carboxylic acid derivatives—antiasthmatics.

Roussel Uclaf, Brit 2008-585, 6.6.79, Belg 872-109, Brit 044893, 17.11.78.

X = H, halo or 1-5C alkyl
 Y = H or 1-5C alkyl
 Z = H or 1-5C alkoxy
 R = 1-5C alkyl.



**P80D035. Thieno pyrimidinones—
antiallergics.**

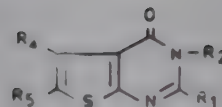
Bristol Mayer Co, Fr 2401-163, 27.4.79,
US 826591, 22.8.77.

$R_1 = \text{COOR}_3, -\text{CH}=\text{CHCOOR}_3,$
 $\text{CH}_2\text{OH}, \text{CH}_2\text{COOH}, \text{CH}_2\text{COOR}_6$

$R_2 \text{ \& } R_3 = \text{H or 1-8C alkyl}$

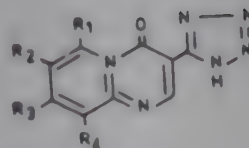
$R_4 \text{ \& } R_5 = \text{H, 1-8C alkyl, 3-6C alkenyl,}$
 $1-6\text{C alkoxy, OH, NO}_2,$
 $\text{NH}_2, \text{halo, etc}$

$R_6 = 1-8 \text{ C alkyl.}$

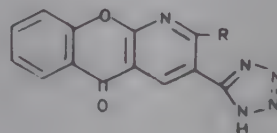
**P80D036. 3-Tetrazolyl-pyrido-(1, 2-a)
pyrimidine-4-one derivatives—anti-
allergics.**

Bristol Mayer Co, Fr 2401-159, 27.4.79,
US 4122-274.

$R_1, R_2, R_3 \text{ \& } R_4 = \text{each H, halo, alkyl,}$
 $\text{cycloalkyl, alkenyl,}$
 alkynyl, etc.

**P80D037. 3-(1H) Tetrazolyl-1-azax-
anthone derivatives—antiallergics.**

Takeda Chemical Ind KK, Jpn 4048-798,
17.4.79, Belg 870-736, Jpn 115817,
26.9.77.

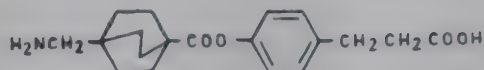


$R = \text{H, NH}_2 \text{ or OH.}$

E. GASTROINTESTINAL DISORDERS

P80E013. 3-[4-(4-Aminomethyl bicyclo 2,2,2) octan-1-carbonyloxy] phenyl propionic acid—gastric secretion inhibitor.

Daiichi Pharm KK, Jpn 4049-332,
18.4.79, Jpn 115863, 27.9.77.



P80E014. Amino alkyl substituted benzene derivatives—for treating gastric hypersecretion.

Allen & Hanbury Ltd, Fr 2401-135,
27.4.79, Bleg 867-106.

$R_1 \& R_2 = H$, lower alkyl, cycloalkyl,
arylalkyl, lower alkenyl, etc.

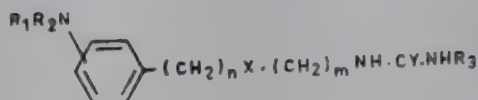
$R_3 = H$, lower alkyl or alkoxyalkyl

$X = O, S, -CH_2-$, etc.

$Y = S, O$, etc.

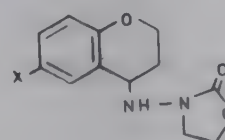
$m = 2-4$

$n = 0-2$.



P80E015. 3-(4-Chromanyl-amino)-2-oxazolidinone derivatives—gastric secretion inhibitors.

Morton Norwich Prod Inc, Fr 2401-158,
27.4.79, Belg 868-457, US 812124, 1.7.77.

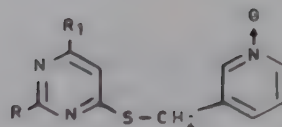


$X = H$ or NH_2 .

P80E016. 4-Pyridyl methylthiopyrimidine derivatives—antiulcers.

Poli Ind Chim SPA, Belg 873-838,
16.5.79.

$R \& R_1 = H, NH_2 \text{ or } CH_3.$

**P80E017. Spiro-cyclopropane (1,2) indolinones—gastric secretion inhibitors, anti-inflammatories & analgesics.**

Takeda Chemical Ind KK, US 4154-737,
15.5.79, Belg 848-766, Jpn 141748,
26.11.75.

(For details refer patent at P80B131
page 132).

P80E018. Zn salts of N-acetyl-6-aminohexanoic acids—anti-inflammatories, antirheumatics & antiulcers.

Labs Vinas SA, Belg 873-688, 16.5.79.

(For details refer patent at P80B137
page 134).

F. METABOLIC AND DEGENERATIVE DISORDERS

P80F026. 2-Alkylthiazolyl alkanols and their esters—antilipolytic agents.

Roussel Uclaf, Brit 1546-832, 31.5.79.

R = 1-5C alkyl

R₁=H or R₂CO

R₂=1-5C alkyl

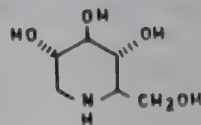
n=2-7.



P80F027. Maranoline derivatives—hypoglycaemics.

Nippon Shinyaku KK, Neth 7811-106, 14.5.79, Belg 871-859, Jpn 135505, 10.11.77.

The compound extracted from mulberry (*Morus*) and used to inhibit the increase of blood sugar levels.



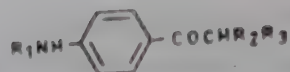
P80F028. Production of hypoglycaemic piperidine derivatives by fermentation of streptomyces strains.

Nippon Shin Yaku, KK, Ger 2850-467, 23.5.79, Jpn 140126, 21.11.77.

In the production of the piperidine derivatives the strains of the streptomyces genus is cultivated in a culture medium. The temperature is kept at 20-30°C at a pH 6-8 for 48-72 hours.

P80F029. 4-Substituted N-alkyl aniline derivatives—antiatherosclerotic agents.

American Cyanamid Co, US 4154-756, 15.5.79, Belg 870-687.



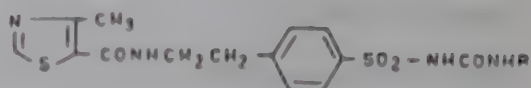
R_1 = optionally branched alkyl

R_2 = carboxy, carbamoyl or cyano

R_3 = H, CN, lower alkoxy carbonyl, etc.

P80F030. Benzenesulphonyl urea derivatives—oral hypoglycaemic agents.

Pfizer Inc, USSR 617-012, 30.7.78, Belg 817-866, US 338965, 7.3.73.



R = bicyclo [2,2,1]-hept-5-en-2-ylendo-methyl or 5-7C cycloalkyl.

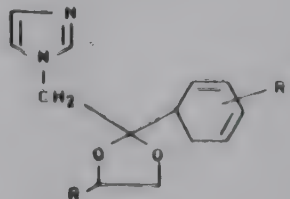
G. INFECTIOUS DISEASES

P80G026. Aryl dioxolanyl methylimidazoles—antifungals and antibacterials.

Janssen Pharmaceut NV, US 4156-008, 22.5.79, US 544157, 27.1.75.

R = 2-10C alkyl

R₁ = 1-3 halo, lower alkyl, lower alkoxy, nitro or cyano.



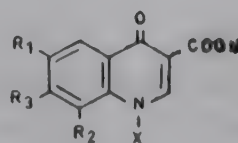
P80G027. Cycloalkano quinolone carboxylic acids—antimicrobials.

Boehringer Mannheim GmbH, USSR 618-041, 5.9.78, Ger 2043-817, Ger 043817 4.9.70.

R₁ & R₂ = H or 1-6C alkyl

R₃ = 3-5C alkylene

X = lower alkyl optionally substituted by halogen atom or alkoxy.



P80G028. 2,4-Diamino-5-benzyl-pyrimidine derivatives—antibacterials.

Hoffman La Roche AG, Neth 7811-170, 14.5.75,

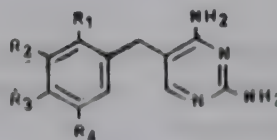
R₁ = H, 1-6C alkyl

R₂ = SH, 1-6C thioalkyl etc.

R₃ = SH, 1-6C alkyl thio, 2-7C carboxy, alkylthio, etc.

R₄ = 1-4C alkylthio or S(O)R₅

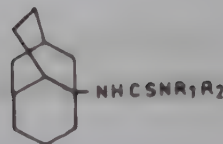
R₅ = H or 1-6C alkyl.



P80G029. Homoisotwistane thiourea derivatives—antivirals.

Sumitomo Chemical KK, Fr 2401-910,
4.4.79, Ger 2833-073, Jpn 026911, 8.3.78.

R_1 & R_2 = H, 1-4C alkyl, 3-5C alkenyl,
benzyl or phenyl.

**P80G030. Mercapto benzimidazole 2-carbamate derivatives—antihelmintics.**

Chinoin Gogyszer, Fr 2401-144, 27.4.79,
Belg 866-910.

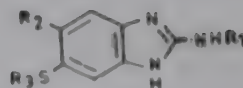
R_1 = H or COOR_4

R_2 = H, halo, 1-6C alkyl, CF_3 or OR_4

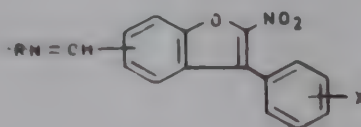
R_3 = H, 1-6C alkyl, 3-7C cycloalkyl, 3-6
cycloalkenyl

R_4 = 1-4 C_{alkyl}

R_5 = 1-4C alkyl or arylalkyl.

**P80G031. 2-Nitro-3-phenyl benzofuran carboxaldehyde derivatives—antimicrobial agents.**

Riker Labs Inc, US 4154-847, 15.5.79,
US 919414, 26.6.78.



R = OH, NH_2 , CONH- or benzamide
radical

X = H, halogen, CH_3 or CH_2O .

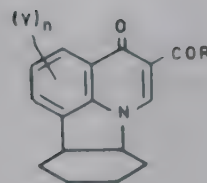
P80G032. 4-Oxo-4H pyrido(3,2,1-jk) carbazole-5-carboxylic acid derivatives—antivirals, antibiotics and anti-cancers.

Otsuka Pharm KK, Neth 7811-239,
17.5.79, Jpn 137418, 15.11.77.

R=OH, alkoxy, hydrazino, etc.

Y=alkoxy, halo, NO₂, NH₂.

n=0, 1 or 2.



To keep abreast of the latest developments
in Pharmaceutical Industry,
read

**DRUGS & PHARMACEUTICALS
INDUSTRY HIGHLIGHTS**

For details, contact NICDAP

H. ANTINEOPLASTIC AGENTS

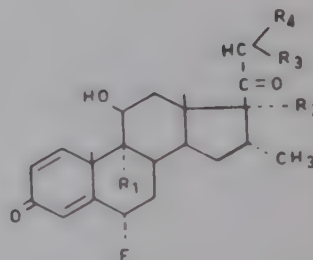
P80H022. 21-Aldehydes of the pregnane series—antileukemia agents.

Ciba Geigy AG, Neth 160-275, 15.5.79,
Neth 016725, 8.12.67.

$R_1 = \text{H or F}$

$R_2 = 1\text{-}4\text{C alkanoyloxy}$

R_3 & $R_4 = \text{OH}$ or together form an oxo group.



P80H023. Anthracene hydrazones—antibacterials and antitumors.

American Cynamid Co, Belg 872-309,
28.5.79, US 855146, 28.11.77.

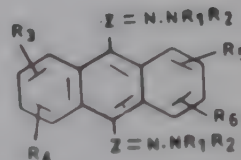
$Z = (\text{CH}_2)_n \text{C(R)}$ or $=\text{CH-CHR-}$,
 $n=0\text{-}3$

$R = \text{H, 1-}4\text{C alkyl, 3-6C cycloalkyl, phenyl, benzyl, etc.}$

$R_1 = \text{H or 1-}4\text{C alkyl}$

$R_2 = \text{H, 1-}4\text{C alkyl, phenyl, etc.}$

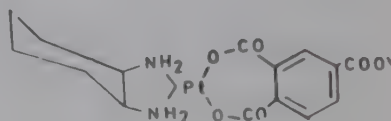
$R_3, R_4, R_5, R_6 = \text{H, halo, OH, NO}_2, \text{NH}_2, \text{SO}_2\text{NH}_2, 1\text{-}4\text{C alkyl or alkoxy.}$



P80H024. 4-Carboxyphthalato-(1,2-diaminocyclohexane) platinum—anti-leukemia.

US Sec Of Commerce, Fr 2401-933,
4.4.79, Belg 870-000, US 926035, 19.7.78.

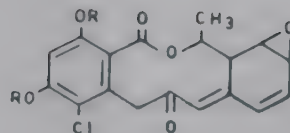
$Y = \text{H or an alkali metal.}$



P80H025. Dialkoxy monordene derivatives—antitumors and nematocides.

Grace W.R. Co, Belg 873-856, 16.5.79,
US 874348, 1.2.78.

R = 2-8C alkyl.



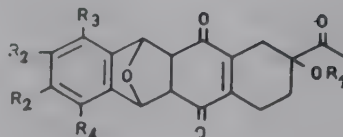
P80H026. 5, 12-Epoxynaphthacene-6,11-dione derivatives—antineoplastics.

Research Corp, US 4154-745, 15.5.79,
US 894090, 6.4.78.

R₁=lower alkenoyl

R₂=H, lower alkyl or Cl

R₃ & R₄=H, lower alkyl, lower alkoxy,
phenyl, substituted phenyl,
etc.



P80H027. 5-Fluoro uracil derivatives—antitumors.

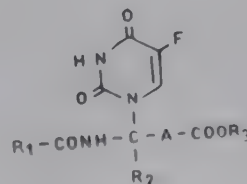
Tanabe Pharmaceuticals KK, Jpn 4048-
773, 17.4.79, Jpn 114258, 22.9.77.

R₁=alkyl, aryl or aryloxy

R₂=H, alkyl, alkenyl or arylalkyl

R₃=H, alkyl or arylalkyl

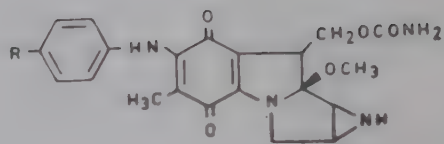
A = single bond or lower alkylene.



P80H028. 7-N-Phenyl mitomycin-C derivatives—antitumors.

Kyowa Hakko Kogyo, Fr 2401-925, 4.4.79, Ger 2837-383, Jpn 103542, 31.8.77.

R = OH or NH₂.



P80H029. N-Phosphono acetyl-L-aspartic acid salts—antitumors.

Starks Assoc Inc, Neth 7811-252, 16.5.79, Ger 2849-396, US 851382, 14.11.77.

The derivatives exhibit antitumor activity *in vivo* and are useful as intermediates for antitumor N-(phosphonoacetyl)-L-aspartic acid (PALA) compounds. Unlike the known tetrasodium salts these compounds are relatively non-hygroscopic free flowing powders.

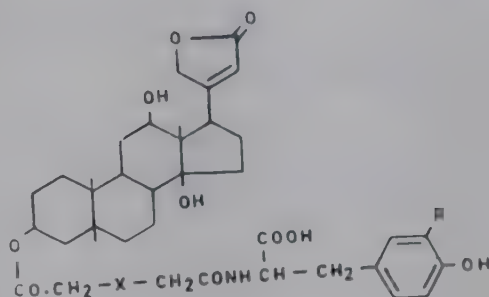
I. BIOCHEMICAL PHARMACOLOGY

P80I002. Radio labelled digoxigenin derivatives for radioimmunoassay of digoxin in plasma and their unlabelled precursors.

Squibb & Sons Inc, Fr 2401-936,
4.4.79, US 829836, 1.9.77.

R = H or radioactive isotope I^{121} or I^{125}

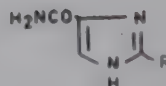
X = CH_2 or O_2 .



J. MOLECULAR BIOLOGY

P80J004. Imidazole carboxamides—immunostimulant activity and low toxicity.

Sumitomo Chemical KK, Fr 2401-916, 6.9.77, Jpn 028900, 13.3.78.



R = 2-17C alkyl, 3-7C cycloalkyl, pyridyl, adamantyl, etc.

P80J005. Liposomes with tropism towards specific cells.

Italchemi SPA, Ger 2820-794, 23.5.79.

Preparation of liposomes for medicinal preparation with cell tropism with improved permeability comprises covalent or adsorptive coupling of appropriate enzyme cell specific immunoglobulins or specific factors corresponding to target cell to liposomes membrane isolated from the cell or tissue extracts.

L. NATURAL PRODUCTS

P80L004. Gomisin H derivatives— antipyretics.

Tsumura Jantendo KK, Jpn 4052-066,
24.4.79, Jpn 115556, 28.9.77.

(For details refer patent P80B120—
page 128).

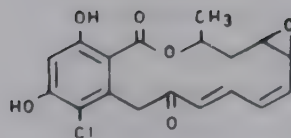
M. GENERAL

P80M009. Fermentative production of monordene by cultivating *Diheterospora chlamydospora* in a liquid medium.

Grace W. R. Co, Belg 873-855, 16.5.79.

Production of monordene of formula
comprises cultivation of *Diheterospora
chlamydospora*, or its mutants in a nut-
rient solution containing C and N
sources and inorganic salts.

Preferential cultivation is at 20-35°C
for 2-15 days. It is recovered by solvent-
extraction.



P. NEW REACTIONS

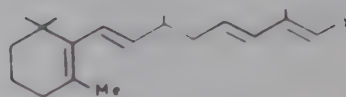
P80P001. Isomerism of vitamin A derivatives to omnitrans isomers.

Hoffmann La Roche AG, Ger 2439-860,
23.5.79, Belg 819-012.

The preparation and isomerisation comprises heating corresponding *trans* isomer with Pd catalyst in the presence of a base in inert solvent at upto about 250°C.

$X = \text{CHO}, \text{CH}_2\text{OH}, \text{COOH}, \text{CH}_2(\text{R}_2)$
etc.

$\text{R} = 1\text{-}18\text{C alkyl, phenyl, naphthyl etc.}$



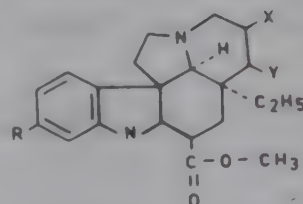
P80P002. One stage preparation of vincamine alkaloids from taberonine and vincadiformine.

Parcor, Brit 1547-039, 6.6.79, Belg 856-723, Fr 021432 13.7.76.

Vincamine and related alkaloids were prepared by reacting the compound of the formula with a carbonium ion forming agent in a reaction medium. Oxygen is then introduced into the reaction medium until saturation point. A reducing agent compatible with oxygen being added before the medium stops absorbing O_2 . The mixture is acidified and desired product is extracted.

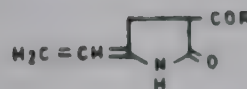
$\text{X \& Y} = \text{H}$ or together form a double bond

$\text{R} = \text{H}$ or OMe .



**P80P003. Vinyl pyrrolidone-inter-
mediates for drugs.**

Merrell Toraude, Belg 873-766, 16 5.79.
US 873273, 30.1.78.



R = OH, NH₂ or butoxy.

**DRUGS & PHARMACEUTICALS
PATENTS AWARENESS BULLETIN**

Your companion in patents search

For details, contact NICDAP

INFORMATION ABOUT INDIAN PATENTS

(Source: *The Gazette of India, Part III—Section 2, December 29, 1979; January 5 & 12, 1980*)

A. APPLICATION FOR PATENTS FILED

Dec. 21, 1979.

357/Bom/1979, Hoechst Pharmaceutical

A process for preparing novel 1-Carbalkoxy-4-substituted iminoquinolizidines.

358/Bom/1979, Hoechst Pharmaceuticals Ltd.

Process for preparing Pharmacologically active pyrimido (6,1-a) isoquinoline-4-one derivatives.

B. COMPLETE SPECIFICATION ACCEPTED

1. Preparation of allylic terpenic esters.

Applicant: Hindustan Liver Ltd.,

Hindustan Liver House, 165-166, Backbay Reclamation, Bombay 400020, India.

Application No.: 100/Bom/77 filed 14th March 1977.

2. A method for the preparation of antihemolytic serum.

Applicant: Institut Zoologic I, Parazitologii Akademi Nauk Litovskoi SSR, Pozhelos, 54, USSR.

Application No.: 51/Cal/78 filed January 16, 1978.

3. Process for the preparation of 8-oxo-neo-isolongifoline.

Applicant: M/s. Camphor and Allied Products Ltd., Jehangir Building, 133, Mahatama Gandhi Road, Bombay 400023, India.

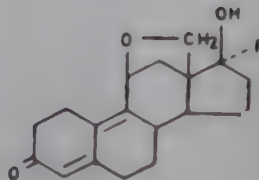
Application No.: 258/Bom/78 filed August 30, 1978.

A. ENDOCRINE SYSTEM

**P80A014. 11, 18-Epoxy steroids—
antiandrogenics.**

Roussel Uclaf, Ger 2105-979, 7.6.79.

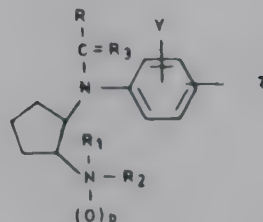
$R = H$ or $-C \equiv CH$.



B. NERVOUS SYSTEM

P80B138. N-2-Aminocyclopentyl-N-alkanoyl anilide derivatives—anti-depressants.

Upjohn Co, US. 4157-398, 5.6.79, Ger 2749-214.



R = vinyl, 3-6C cycloalkyl, etc.

R₁ & R₂ = N-pyrrolidinyl or N-piperidinyl ring

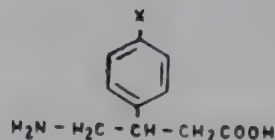
R₃ = O or S

p = 0 or 1

Y & Z = each F, Br, Cl, CF₃, 2C alkyl, 7-2C alkyl.

P80B139. 4-Amino-3-halophenyl butyric acid derivatives—anxiolytics.

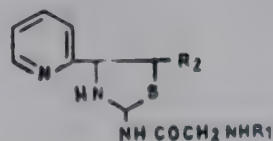
Erasmus Univ, US 4156-013, 22.5 79, Belg 867-588.



X = F, Cl or Br.

P80B140. 2-Aminomethylcarbomoyl-4-(2-pyridyl) thiazole derivatives—anti-inflammatories.

Egyt Gyogyszervegyeszeti, Ger 2754-975, 13.6.79, Ger 754975, 9.12.77.

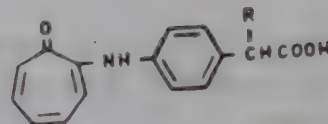


R₁ = H, 1-4C alkyl, 1-4C hydroxy alkyl or 3-6C cyclo alkyl

R₂ = 1-4C alkyl.

P80B141. Anilinetropone derivatives—anti-inflammatories.

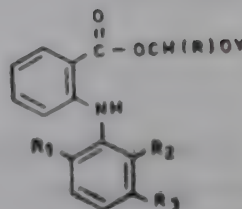
Taisho Pharmaceut KK, Jpn 4055-551,
2.5.79.



R=H or Me.

P80B142. N-Arylanthranilates—anti-inflammatories, antipyretics and analgesics.

Ist Luso Farmaco Italia, Ger 1793-462,
7.6.79, Brit 1199-386.



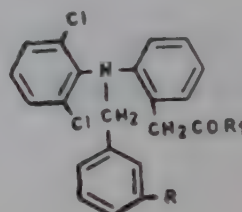
R =H or lower alkyl

$R_1, R_2, R_3 = H$, lower alkyl, halogen or CF_3

Y =H, lower alkyl, acetyl or benzoyl.

P80B143. N-Benzyl-dichloroanilino-phenylacetic acid derivatives—anti-inflammatories & analgesics.

Nissan Chem Ind KK, Fr 2403-329,
18.5.79, Ger 2840-589.

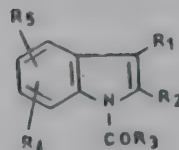


R =H, Cl, Br or 1-4C alkyl

$R_1 = OH, OR$ or SR .

P80B144. 1-Benzoylindole derivatives—anti-inflammatories.

Troponwerke Dinklag Co, Ger 2752-361, 7.6.79.



R_1 =aryl, lower carboxyalkyl, carboxy-alkoxycarbonyl

R_2 =lower alkyl or carboxyalkyl

R_3 =mono or disubstituted phenyl

R_4 =H or lower carboxyalkyl

R_5 =H, OH, lower alkoxy, lower carboxyalkyl, etc.

P80B145. 2-Biphenyl-N-diethylaminoalkyl propionamide compounds—spasmolytic agents.

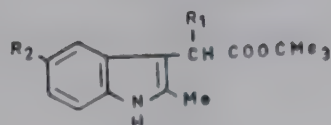
Menarini A SAS, Ger 2851-416, 31.5.79, Belg 872-403.



$n=2$ or 3 .

P80B146. Butyl-2-methyl-5-alkoxy-3-indolyl acetates—anti-inflammatories.

Merck & Co Inc, Ger 1620-014, 17.5.79.

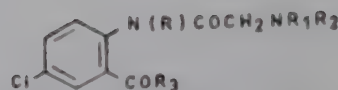


R_1 =H, 1-4C alkyl,

R_2 =lower alkoxy.

P80B147. 2-Benzoyl-4-chloro-glyc-anilides—anxiolytics, sedatives, anti-convulsants and analgesics.

Fabre PSA, Fr 2403-330, 18.5.79.



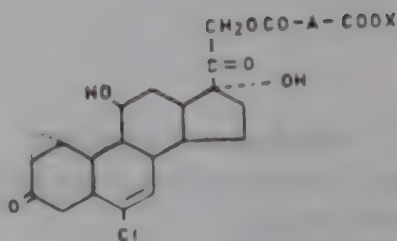
R=H, alkyl, alkenyl, alkynyl or cycloalkyl

R₁&R₂=H, alkyl or hydroxyalkyl

R₃=Substituted phenyl.

P80B148. Corticoid esters—anti-inflammatory.

Schering AG, Ger 2753-838, 7.6.79.

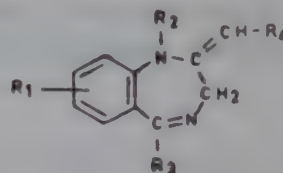


A=C-C bond or 8C hydrocarbon chain

X=Cation of physiologically innocuous base.

P80B149. 1,4-Benzodiazepine deriva-tives—CNS depressants, anticonvul-sants, muscle relaxants, anxiolytics and sedatives.

Kali Chemie Pharm, Ger 2754-112
13.6.79, Ger 754112, 5.12.77.



R₁=H, halogen or NO₂

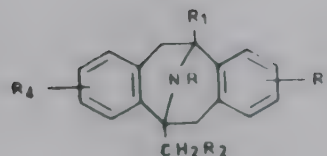
R₂=H or lower alkyl

R₃=halophenyl or trifluoromethyl-phenyl

R₄=cyano or carbamoyl.

P80B150. Dibenzo cycloheptene cyclicimine derivatives—anxiolytics, muscle relaxants and antiparkinsons.

Merck & Co Inc, Fr 2403-334, 18.5.79, Belg 870-562.



R = H, lower alkyl, alkenyl, phenylalkyl, cycloalkyl, etc.

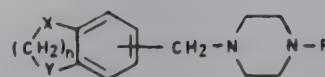
R₁ = H, lower alkyl, alkenyl, phenyl, etc.

R₂ = lower alkyl, alkoxy, phenylalkyl etc.

R₃ & R₄ = H, halogen, lower alkoxy, etc.

P80B151. Disubstituted piperazine derivatives—dopaminergic antagonists.

Science Union & Cie, Brit 2009-732, 20.6.79, Ger 2848-139.



n = 1 or 2

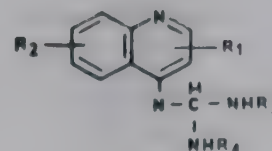
X = O, S or SO₂

Y = S or SO₂

R = 5 or 6 membered heterocyclic ring with 1-3 N or S atoms.

P80B152. N'-Heterocyclyl-N''-4-quinolyl guanidines—anti-inflammatories, analgesics and antipyretics.

Leo Pharm Prod Ltd, Brit 2009-155, 13.6.79, Belg 871-807.



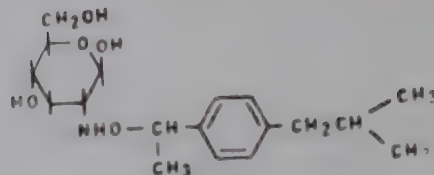
R₁ = H, halo, 1-6C alkyl, 1-6C alkoxy, hydroxy or CF₃

R₂ = H, halo, 1-6C alkyl 1-6C alkoxy, CF₃ etc.

R₃ & R₄ = 1-18C alkyl or 3-8C cycloalkyl.

P80B853. 2(*p*-isobutylphenyl)-propionic acid D-glucosamide—analgesic and anti-inflammatory.

Hokuriku Pharm KK, Jpn 4055-545, 2.5.79, Jpn 4055-545.

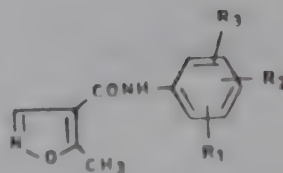


P80B154. 5-Methyl isooxazole-4-carboxylic acid anilides—analgesics and antiphilologistics.

Hoechst AG, Brit 1547-452, 20.6.79, Ger 2524-959.

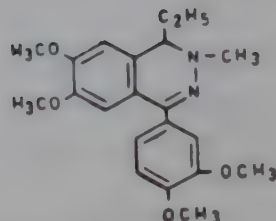
R_1 & $R_2 = H$, 1-3C alkyl, alkoxy, alkylthio, halogen, NO_2 , CN or alkoxy carbonyl

$R_3 = CH_3$.



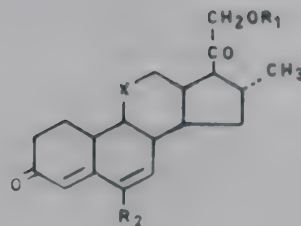
P80B155. 1-[3,4-Dimethoxy phenyl]-4-methyl 5-ethyl-7,8-dimethoxy-5H-2,3-benzodiazepine—antianxiety and antiaggressive agents.

Egypt Gyogyszervegyestzeti, USRE 30-014, 29.5.79, Belg. 769-080.



P80B156. 16-Methyl-11-oxygenated pregnadiene—anti-inflammatories.

Schering AG, Ger 2753-839, 31.5.79, Belg
861-702.



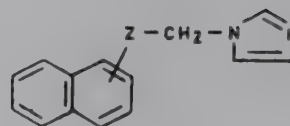
$R_1 = \text{H}$ or physiologically tolerable acid
residue

$R_2 = \text{E, Cl or CH}_3$

$X = \text{Hydroxymethylene or CO.}$

P80B157. 1-(Naphthylethyl) imidazole derivatives—anticonvulsants, antise-cretories and antidepressants.

Syntex (USA) Inc, Neth 7713-437, 7.6.79,
Brit, 1540-023.

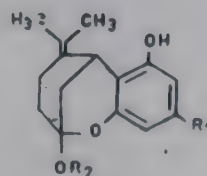


$Z = \text{hydroxymethylene or carbonyl}$

(Patent included at P80E021-page 181).

P80B158. 5-Isopropylidene-7-hydroxy-2,6-methano tetrahydroben-zoxocins—antianxiety & analgesics.

Eli Lilly & Co, Fr 2402-656, 11.5.79, US
4140-701.



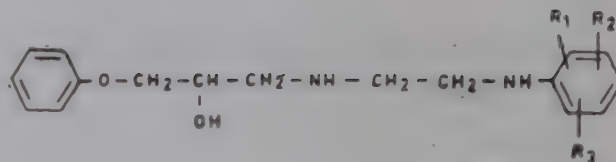
$R_1 = 5\text{-}10\text{C Alkyl, } 5\text{-}10\text{C alkenyl, } 5\text{-}8\text{C}$
cycloalkyl

$R_2 = \text{H or } 1\text{-}4\text{C alkyl.}$

P80B159. 3-(Phenylamino ethylamino)-2-hydroxy-1-phenoxypropane derivatives—sympathomimetic and β -receptor inhibitors.

Veib Arzneimittel Dresden, Ger 2844-497,
7.6.79, Belg 872-342.

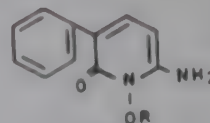
R_1R_2 & R_3 = H, halo, 1-2C alkyl,
methoxy, nitro etc.



P80B160. Phenyl substituted-6-amino-2-pyridone derivatives—anti-inflammatories, analgesics and anti-pyretics.

Yamanouchi Pharm KK, Jpn 4059-286,
12.5.79.

R = H, 1-6C alkyl or phenyl.



P80B161. 1-Phenyl-1,3,8-triazospiro (4,5) decan-4-ones—CNS depressants and neuroleptics.

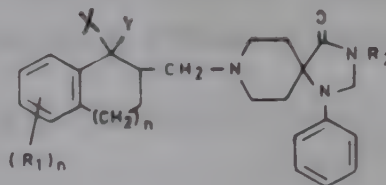
Squibber & Sons Inc, Brit 1547-597,
20.6.79, Belg 844-107.

R_1 = H, halogen, OH, alkoxy etc.

R_2 = H, alkyl or alkenyl

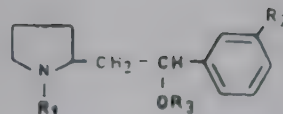
$n=0-2$, $m=1-2$

X & Y = H, OH or together forming a
carbonyl group.



**P80B162. 1-Phenyl-(2-pyrrolidinyl)
ethanol derivatives—analgesics.**

Byk Gulden Lomberg, Belg 872-484,
1.6.79.



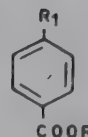
$R_1 = \text{H or 1-7C alkyl}$

$R_2 = \text{Cl, OH, 1-4C alkyl or 1-4C alkoxy}$

$R_3 = \text{H, acyl, 1-7C alkyl or tetrahydro-
pyranyl.}$

**P80B163. Alkylphenylalkanoic acids
—anti-inflammatories, analgesics
and antipyretics.**

Ricorvi SA, Fr 2403-325, 18.5.79.

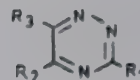


$R = \text{H or Me}$

$R_1 = \text{1-5C alkyl.}$

**P80B164. Substituted-1, 2, 4-
triazene derivatives—anti-inflamma-
tories, analgesics and antipyretics.**

Diamond Pharmarock Corp, US 4157-
392, 5.6.79, Belg 867-085.



$R_1 = \text{1-4C alkylhydroxy, alkylamino,
1-4C alkyl, 1-10C alkoxy etc.}$

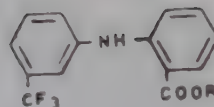
$R_2 = \text{H, 1-4C alkyl, 3-6C cycloalkyl,
adamantyl or furyl}$

$R_3 = \text{H, 1-6C alkyl, pyridyl, halot-
hienyl, etc.}$

P80B165. N-(3-Trifluoromethyl-phenyl) anthranilic acid esters—anti-inflammatories.

Hokusiko Pharm KK, Ger 2754-654,
13.6.79, Belg 801-852.

R=4-8C alkyl.



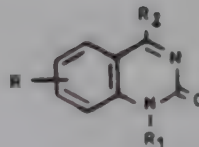
P80B166. Substituted quinoxaline derivatives—anti-inflammatories, analgesics and antipyretics.

Sandoz AG, Ger 1909-110, 3.5.79, Neth
6902-968, US 707932, 26.2.68.

R = 1-5C alkyl, 1-4C alkoxy, NO₂, CN,
NH₂, NHAc or CF₃

R₁=1-5 alkyl, allyl or propargyl,

R₂=phenyl.



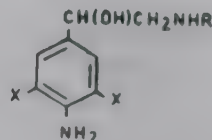
C. CARDIOVASCULAR SYSTEM

P80C066. 4-Amino-3, 5-dihalophenyl-ethanolamines— β -blockers.

Thomaek Gmbh, Ger 2354-959, 7.6.79.

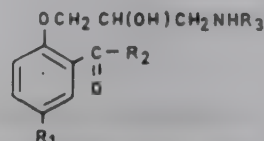
X = Cl or Br

R = 3-5C alkyl, cycloalkyl etc.



P80C067. (3-Amino-2-hydroxypropoxy) benzene compounds—cardio-active agents.

May & Baker Ltd, Ger 1815-808, 31.5.79,
Neth 6818-289, Brit 058516, 22.12.67.



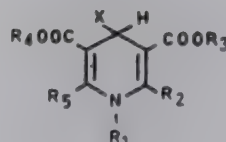
R₁ = 1-10C alkanoylamino

R₂ = 1-6C alkyl

R₃ = linear or branched 1-6C alkyl.

P80C068. 1-N-Aryl-1, 4-dihydropyridine derivatives—antihypertensives and vasodilators.

Bayer AG, Ger 2753-946, 13.6.79, Ger
753946, 3.12.77.



R₁ = aryl optionally mono, di- or tri-substituted by halogen, OH, COOH, CF₃ etc.

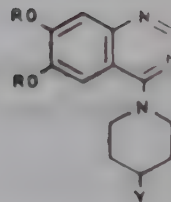
R₂ & R₅ = optionally substituted alkyl or alkoxy

R₃ & R₄ = alkyl or alkenyl

X = optionally substituted alkyl or alkenyl group.

P80C069. 6, 7-Dialkoxy-4-piperidinoquinazoline derivatives—cardiac stimulants.

Pfizer Corp, Ger 2847-622, 31.5.79, Belg 871-769.



R = lower alkyl

Y = $-(CHR_1)_m-Z$

R_1 = H or lower alkyl

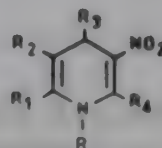
m = 1 or 2

Z = $COONR_2R_3$

R_2 & R_3 = lower alkyl, phenyl or benzyl.

P80C070. 1, 4-Dihydro-3-nitropyridine derivatives—cardiovascular, antihypertensive & antiarrhythmic agents.

Bayer AG, Ger 2752-820, 31.5.79.

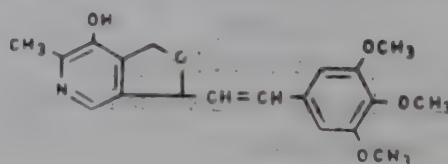


R = H, alkyl, aryl or arylalkyl

R_1, R_2, R_3 & R_4 = H, alkyl, aryl, arylalkyl, hetero-alkyl, etc.

P80C071. Dihydro-3 [3', 4', 5'-trimethoxy] styryl-6-methyl-7-hydroxy furo (3, 4-c) pyridine diuretic.

Soc Civ Rech Appl Scient, Ger 2850-948, 31.5.79, Belg 872-004.

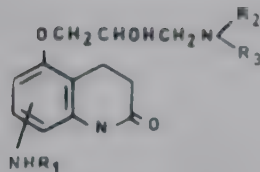


P80C072. (3, 4) Dihydrocarbostyryl derivatives—cardioselective β -blockers

Oskuka Pharma KK, Fr 2403-336, 18.5.79,
Ger 2719-562.

R_1 =alkyl carbonyl, cycloalkyl carbonyl,
etc.

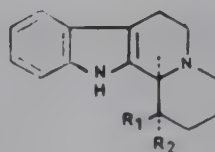
R_2 & R_3 =H, alkyl, phenyl, phenoxy-
alkyl or NR_2R_3 is piperi-
dino, pyrrolidino, morpho-
lino or piperazinyl, option-
ally substituted by phenyl or
1-4C alkyl.



P80C073. Indolo (2, 3-a) quinolizidine derivatives—cerebral blood flow regulators.

Soc Civ Rech Appl Scient, Ger 2851-
028, 31.5.79, Belg 872-134.

R_1 & R_2 =ethoxycarbonyl, COOH,
CN, methylene amino, etc.



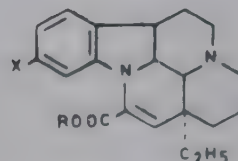
P80C074. 11-Haloapovincamine derivatives—cerebrovascular and cardio-circulatory agents.

Soc Omnium Chimique, Belg 874-144,
26.5.79.

X =Cl or Br

R =1-6C optionally branched alkyl.

The title compounds may be prepared
from-11-amino apovincamine by Sand-
meyer reaction.

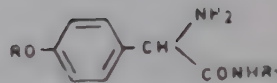


P80C075. 4-Hydroxyphenyl glycine substituted amides—for the treatment of cardiovascular diseases.

Pfizer Corp, Ger 2851-435, 13.6.79, Belg 872-397.

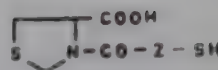
R = H or methyl

R₁ = lower alkyl optionally substituted by OH, alkoxy, COOH. NH₂ etc.



P80C076. N-(Mercaptoacyl) thiazolidine-4-carboxylic acid—antihypertensives.

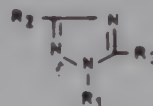
Yoshitomi Pharm Inds KK, Jpn 4055-565, 2.5.79.



Z = 1-3C straight or branched alkylene.

P80C077. 5-Pyridyl-3-aryl-1, 2, 4-triazoles—antigout, antihyperuricemic, diuretic and hypotensive agents.

Merck & Co Inc, US 4156-085, 22.5.79, US 075785, 25.9.79, US 4102-889.



R₁ = H, lower alkyl, lower alkanoyl, benzyl, naphthyl etc.

R₂ = furyl or thienyl

R₃ = pyridyl.

(Patent also included at P80F035—page 183).

D. RESPIRATORY SYSTEM

P80D038. N-substituted heteroaryl-carboxamides—antiasthmatics.

Lilly Industries LTD, Brit 1547-564,
22.6.79, Belg 842-578.

R = optionally substituted pyrazolyl,
triazolyl, tetrazolyl, etc.

R₁ = alkyl, alkenyl, alkynyl, alkoxy-
alkyl, etc.

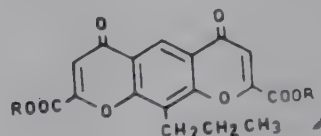
R₂ = alkyl, halo, alkyl, alkenyl, cyclo-
alkyl, etc.



P80D039. 2, 8-Dicarboxy-4, 6-dioxo-10-propyl-4H, 6H, benzo, dipyran salts—antiallergics.

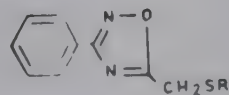
Fisons LTD, Ger 2851-440, 31.5.79, Belg
872-320, Brit 049761, 30.11.77.

R = organic or inorganic cation.



P80D040. S-oxadiazolyl methylmercapto alkanoic acid derivatives—antitussive agents.

Lab Cassenne, 2401-651, 11.5.79.



R = (CH₂)_mCOOH, CH₂CH(CH₃)COOH
or CH(CH₃)CONH-(CH₂)_n
COR₁

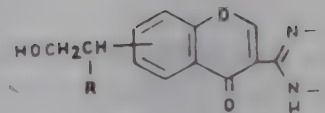
R₁ = OH or 1-4C alkoxy

m = 2-4

n = 1-2.

P80D041. Chromone 3-tetrazolyl derivatives—antiallergics.

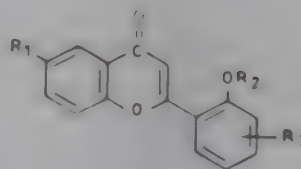
Takeda Chemical Inds, Jpn 4059-279,
12.5.79.



R=H or OH.

P80D042. 6-Carboxy-2'-alkoxy flavone derivatives—antiallergic & spasmolytics.

Erba C-SPA, US 4157-334, 5.6.79.



$R_1 = \text{COOH or COOR}_4$

$R_2 = 1\text{-}6\text{C alkyl optionally substituted by methoxy}$

$R_3 = 1\text{-}4\text{C alkyl}$

$R_4 = 1\text{-}12\text{C alkyl or } 3\text{-}4\text{C alkenyl.}$

E. GASTROINTESTINAL DISORDERS

P80E019. Compositions for treating diarrhoea in humans.

Beecham Group Ltd., Belg 872-647,
8.6.79.

Pharmaceutical composition in the form of unit doses for administration to human beings contains 40-80%, monosaccharides 8-12% natural amino acids and 0.5-10% citric acid or citrate salts. The composition is useful for treating diarrhoea in humans.

P80E020. 2-Heterocyclyl alkylthio-1H naphtho (2, 3d) imidazole derivatives—gastric secretion inhibitors.

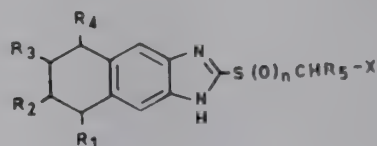
Hoffmann LA Roche, Fr 2403-340,
18.5.79, Brit 2004-281.

R_1-R_4 = each H or R_1+R_2 & R_3+R_4
are additional bonds

$n = 0$ or 1 .

$R_5 = H$ or lower alkyl

X = monosubstituted-2-pyridyl.



P80E021. 1-(Naphthylethyl) imidazole derivatives—antisecretories, antidepressants and anticonvulsants.

Syntex (USA) Inc, Neth 7713-437, 7.6.79,
Brit 1540-023.

(For details refer patent P80B157—page
171).

F. METABOLIC AND DEGENERATIVE DISORDERS

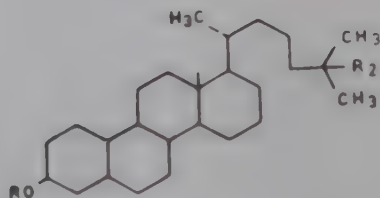
P80F031. 25-Alkyl cholesterol derivatives—useful for treating hypercholesterolaemia and antiprotozoals.

Searle G.D. and Co, Brit 2009-180,
13.6.79, Ger 2837-414.

$R = H$ or $HOOC(CH_2)_4CO$

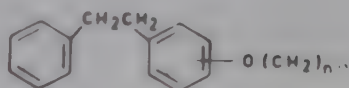
$R_2 = 1-4$ C alkyl.

(Patent also included at P80G033—
page 184).



P80F032. 1-Amino alkoxy phenyl-2-phenyl ethanes—blood platelet aggregation inhibitors.

Mitsubishi Chem. Ind K K Jpn 4055-
556, 2.5.79.



$R = NR_1R_2$ or NR_1R_2

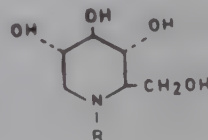
R_1 & $R_2 =$ Each H, alkyl or hydroxy
alkyl

A = Divalent unit

$n = 2-8$

P80F033. Moranoline derivatives—hypoglycaemics.

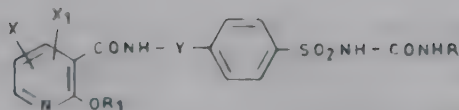
Nippon Shinyaku, K K, Brit 2009-152,
13.6.79, Belg 871-859.



$R = H$ or Me.

P80F034. Substituted benzenesulphonylurea derivatives—oral hypoglycaemics and antidiabetics.

Hoechst AG, US 4157-395, 5.6.79,
Belg 866-928.



R & R₁=3-8C alkyl, 5-9C cycloalkyl,
substituted 4C alkyl or alkoxy

X & X₁=each H, 4C alkyl

Y=2-3C alkylene.

P80F035. 5-Pyridyl-3-aryl-1, 2, 4-triazoles—antigout, antihyperuricaemic, diuretic and hypotensive agents.

Merck & Co Inc, US 4156-085, 22.5.79,
US 075785, 25.9.79.

(For details refer patent P80C077—
page 178).

G. INFECTIOUS DISEASES

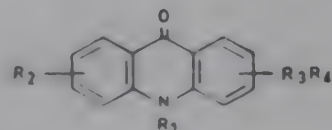
P80G033. 25-Alkyl cholesterol derivatives—useful for treating hypercholesterolaemia and antiprotozoals.

Searle GD & Co, Brit 2009-180, 13.6.79,
Ger 2837-414.

(For details refer patent P80F031—
page 182).

P80G034. Aminoalkoxy acridono derivatives—antivirals.

Sterling Drug Inc, Ger 2759-468, 7.6.79,
Ger 738806, 29.8.77.



R_1 = lower alkyl or benzyl

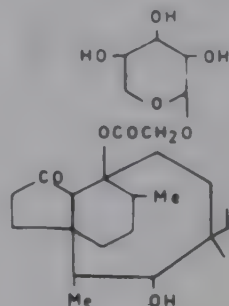
R_2 = H, Cl or lower alkoxy

R_3 = 2-4C alkylene

R_4 = diamino, piperidino, pyrrolidino,
morpholino etc.

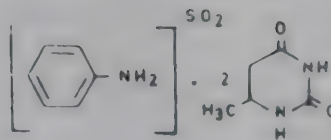
**P80G035. Antibiotic A-40104 fraction
A—antibiotic.**

Eli Lilly & Co, Brit 2009-739, 20.6.79,
US 4121-721.



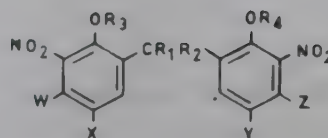
P80G036. Bis(2,4-dioxo-6-methyl,1,2,3,4-tetrahydropyrimidine diamino diphenyl sulphone—antileprosy drug.

Mosc Medical Inst (CBBBU), USSR 606-242, 30.12.78.



P80G037. Compositions for killing internal parasites.

Ici Aust Ltd, Brit 2009-746, 20.6.79, Ger 2848-493.



R_1 & R_2 =H, alkyl, alkoxy, alkylthio, arylsulphinyl, alkylsulphonyl, etc.

R_3 & R_4 =H, alkyl, acyl, alkenyl, carboxymethyl, etc.

X & Y=Cl, Br, or I

W & Z=OH, alkoxy, acyloxy, etc.

P80G038. Homoisotwistane thiourea derivatives—antivirals.

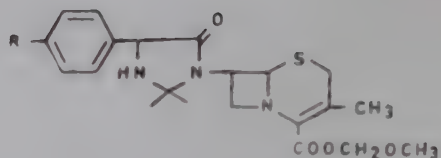
Sumitomo Chemical KK, Fr 2401-910, 4.4.79, Ger 2833-073, Jpn 103920, 29.9.79.

R_1 & R_2 =H, 1-4C alkyl, 3-5C alkenyl, benzyl or phenyl.



P80G039. Heptacephalexin methoxymethyl ester—antibacterials.

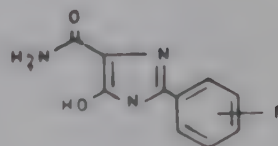
Bristol Myers Co, Belg 872-744, 13.6.79,
US 4125-716.



R=H or OH.

P80G040. 5-Hydroxy-2-phenyl imidazole-4-carboxamides—antimitotics.

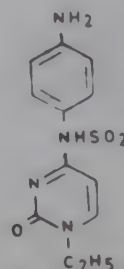
Sumitomo Chemical KK, Jpn 4059-273,
12.5.79, Belg 870-236.



R=H, alkyl, nitro, OH, acyloxy,
alkoxy, carboxyl, alkoxy-carbonyl,
aryloxy or carbonyl.

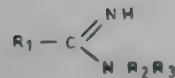
P80G041. N-sulphanilyl-1-ethylcytosine—potentiator.

Hoffmann LA, Roche AG, Ger 2455-370,
7.6.79.



P80G042. N-substituted carboxamide derivatives—antivirals.

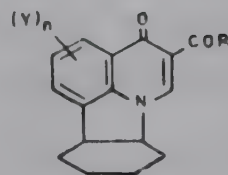
Merz & Co, Ger 2604-196, 7.6.79.



R_1 =adamantyl, 2-norbornyl, 2-bicyclo
(2,2,2) octyl, etc.

R_2 =H

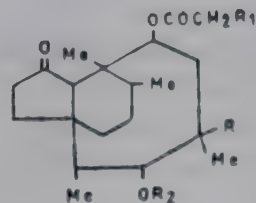
R_3 =H, 2-norbornyl, optionally substituted phenyl, etc.

P80G343. 4-Oxo-4H pyrido (3,2,1 jk)-carbazole-5-carboxylic acid derivatives—antivirals, antimicrobials & anticancers.Otsuka Pharm KK, Brit 2009-737,
20.6.79, Belg 872.000.

R=hydroxy, alkoxy, hydrazino, etc.

Y=alkoxy, halo, nitro, amino, alkylamino, etc.

n=0, 1 or 2.

P80G044. Pleuromutilin glycoside derivatives—antimicrobials.Eli Lilly & Co, Brit 2009-738, 20.6.79,
US 4130-709

$\text{R} = \text{C}_2\text{H}_5$ or $\text{CH}_2=\text{CH}$

R_1 = α - or β -anomer of hexo or pentopyranose

R_2 =H or 2-6C alkanoyl.

H. ANTINEOPLASTIC AGENTS

P80H030. 1-Acyl carbamoyl-2-cyano aziridine derivatives—anticancers & immunostimulants.

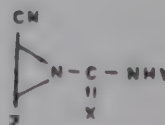
Boehinger Mannheim GmbH, Brit 1547-513, 20.6.79, Belg 859-355.

$X = O$ or S

$Z = H$, alkyl or phenyl

$Y = COR_1$, SO_2R_2 , SR_3 or POR_4R_5

R_1 to R_5 = selected from a number of groups, viz. hydrocarbon, alkoxy etc.



P80H031. 14-Acyloxy daunorubicin derivatives—antitumors.

Ger 2651-773, 13.6.79, Belg 848-219.

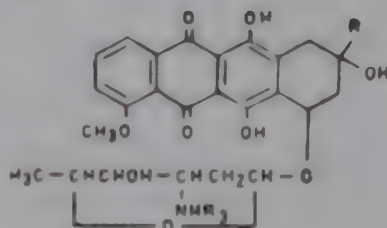
$R = COCH_2OCOCR_1$, $X_1R_2 - X_2R_3$

$R_1 = H$

$R_2 = 1-4C$ alkyl, phenyl, 4-methyl phenyl

$R_3 = H$.

X_1 & $X_2 = O$ or S



P80H032. Bis-hydrazone derivatives of 9, 10-anthracene dicarboxaldehyde—antitumors.

American Cynamide Co, Brit 2009-171, 13.6.79, Ger 2850-822.

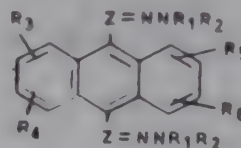
$Z = (CH_2)_n$ $C(R) =$ or $-CHRCH =$
 $n = 0-3$

$R = H$, 1-4C alkyl, 3-6C cycloalkyl

$R_1 = H$, 1-4C alkyl

$R_2 = H$, 1-4C alkyl, phenyl,

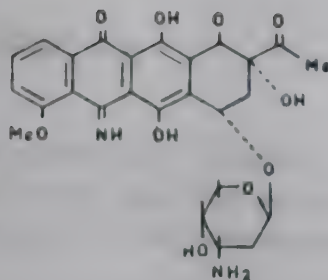
$R_{4-6} = H$, halo, OH, NO_2 etc.



P80H033. 5-Iminodaunomycin—anti-tumor.

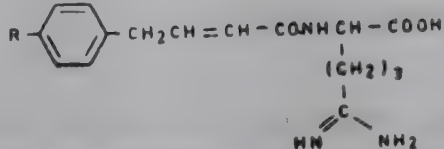
Sri International, Fr 2402-666, 11.5.79,
US 4109-076.

This substance has low cardiotoxicity.

**P80H034. S-Rginine derivatives—anticancers.**

ZH Biseibutsu Kagaku Ken, Jpn 4055-
542, 2.5.79, Ger 2840-636.

R = H or OH



J. MOLECULAR BIOLOGY

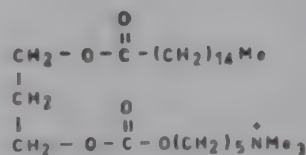
P80J006. Affinity chromatographic substrate with antibody cross-linked directly on porous mineral support.

Inst Merieux, Fr 2403-556, 18.5.79.

Solid porous materials for use in chromatography column comprises of porous mineral support coated directly with an adsorbed antibody layer stabilised by cross-linking. The support can be a refractory oxide (SiO_2 , Al_2O_3 , MgO , TiO_2 or glass) silicate borosilicate or kaolin but can also be a antibody to diphtheria toxin, tetanus toxin virus HB, influenza virus etc.

R80J007. Palmitoyl, propandiol 1,3) phosphoric acid-5-trimethyl aminopentyl ester—immunological adjuvant affecting cell membrane surface.

Max Planck Ges Wissensch (THOM),
Ger 2033-357, 7.6.79.



P80J008. Water soluble immunostimulating peptidoglycan material.

Glaxo Labs Ltd, US 4157-278, 5.6.79,
Neth 7863-034.

Production of water soluble material containing peptidoglycan having an immunostimulating activity comprises of treating the mycelium of a strain of *Streptomyces griseus* with a bacteriolytic enzyme in aqueous medium to solubilise bound peptidoglycan component.

K. PHARMACEUTICALS

P80K004. Coating dragees for oral administration.

Pfrimmer J. Co, Brit 1547-527, 20.6.79,
Ger 2636-152.

A dragee is manufactured by coating a dragee core containing active agent at 95°C with a melt of xylitol or its mixture with sorbitol. The mixture has a melting point of 95°C. The xylitol sorbitol weight ratio is 1-9%. The mixture being used at 85-90°C.

P80K005. Production of immobilized enzyme in porous polymer.

Japan Atomic Energy Res, Ger 2633-259,
13.6.79.

The enzymes (or enzyme containing cells) are immobilised by adsorption on an inorganic adsorbent in an aqueous medium. The dispersion material is then mixed with a water miscible polymerisable monomer and the monomer polymerised.

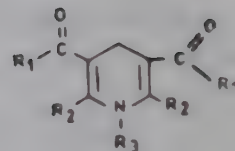
P80K006. Stabilisation of oils, fats and vitamin compositions, with anti-oxidants (1, 4) dihydropyridine derivatives.

AS Latv Org Synth, Jpn 4059-313,
12.5.79, Ger 2504-344.

R_1 = methyl, phenyl or 1-2C alkoxy

R_2 = methyl group

R_3 = H or carboxy propyl



Oxidative decomposition of a pharmaceutical composition containing vitamins having fat solubility is inhibited by adding 1, 4-dihydropyridine. The composition is prepared by dissolving fat soluble vitamin in a vegetable oil and mixing with the pharmaceutical. The resulting mixture is dried as solid particles.

To keep abreast of the latest developments
in Pharmaceutical Industry,
read

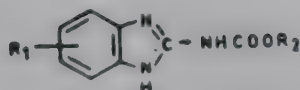
**DRUGS & PHARMACEUTICALS
INDUSTRY HIGHLIGHTS**

For details, contact NICDAP

M. GENERAL

P80M010. Composition containing benzimidazole carbamate derivatives —dermatomycosis.

Takeda Chemical Inds KK, Jpn 4059-338, 12.5.79.



$R_1 = \text{H or alkyl}$

$R_2 = \text{lower alkyl.}$

P80M011. Water insoluble immunological diagnostic reagent.

Hoffmann La Roche AG, Fr 2403-386, 18.5.79, Ger 2840-767.

The preparation of the diagnostic reagent comprises (a) mixing an immunologically inert carboxylated latex polymer which is practically free from amino compound impurities with a water soluble activating agent and adding a known immunologically active material to the mixture.

N. NEW TECHNIQUES

P80N010. Composition used for cholesterol determination.

Oriental Yeast KK, Jpn 4058-490, 11.5.79.

The agent for determining cholesterol comprises methanol 0.5-5*M*, reduced type glutathione 0.5-1.5*M*, NAD 0.5-5.0 *mM*, cholesterol oxidase 0.2-5.0 μ /ml, calalase 25-1000 μ /ml and formaldehyde dehydrogenase 0.5-5.0 μ /ml.

The method comprises treating formaldehyde formed in the treatment of cholesterol containing sample with cholesterol oxidase and catalase in the presence of methanol and oxygen with formaldehyde dehydrogenase in the presence of reduced type glutathione to convert NAD to NADH and determining the amount of increased NADH.

P80N011. Enzymatic determination of triglycerides in serum.

American Monitor Corp, Ger 2847-202, 13.6.79, Belg 872-547.

The determination of triglycerides in biologic fluids comprises of enzymatic hydrolysis of the triglycerides with a lipase, conversion of the product into glycerol-1-phosphate using ATD and the enzyme glycerol kinase, glycerol-1-phosphate is converted into dihydroxyacetone phosphate using glycerol phosphate dehydrogenase with concomitant reduction of NADH, the latter reduces ferric iron to ferrous iron which combines with an iron chelating to form chromospore which is measured to determine the quantity of triglycerides present.

P80N012. α -and β -glycoproteins from microbes.

Roussel Uclaf, Ger 2024-586, 7.6.79, Belg 758-641.

Linear α -and β -glycoproteins are obtained from bacterial cells. Preparation of these proteins comprises of propagation of Pneumococci, Streptococci, Neisseris etc. or their mixtures in an aqueous medium. The bacterial cells are disintegrated physically or by enzymolysis. The aqueous extract is separated and evaporated. The residue is freed from lipoids and dissolved in aqueous solution and proteins are precipitated and removed. The filtrate is then treated with a water miscible solvent to precipitate the linear glycoproteins. The products are purified by dialysis and chromatography.

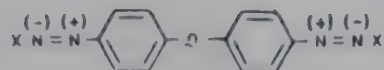
P80N013. Reagent for total bilirubin determination.

Boehringer Mannheim Gmbh, Jpn 9012-840, 25.5.79, Ger 2110-658.

4-dichloro, 2, 5-dichloro or 2-chloro-4-nitro phenyl diazonium chloride acted as solubiliser and alkyl phenol-polyethylene as an oxide. The reagent used in the form of solution in dilute mineral acids is mixed with a predetermined amount of serum sample and the extinction determined at 546 nm as soon as it reached the final value. The extinction is linearly related to bilirubin concentration 24 mg% to bilirubin.

P80N014. Test paper for detecting urobilinogen.

Terumo KK, Jpn 4056-894, 8.5.79.



X = stabilised negative ion.

The test paper contains an aromatic tetrazonium salt (I), solid acid and a wetting agent.

**DRUGS & PHARMACEUTICALS
CURRENT HIGHLIGHTS—R&D**

alerts you with recent articles of interest

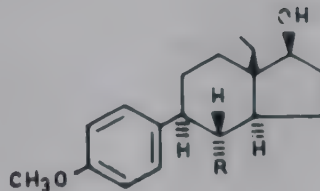
A useful tool in research

For details, contact NICDAP

A. ENDOCRINE SYSTEM

P80A015. 2-Alkyl-6-ethyl-3-(*p*-substituted phenyl)-*trans*-bicyclo (4, 3, 0)-nonan-7 β -ols and derivatives—antifertility agents.

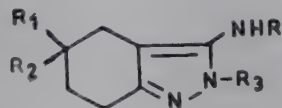
Council of Scientific and Industrial Research, Ind 140785, 22.7.75.



R=1-6C alkyl

P80A016. 5-Substituted-3-amino-4, 5, 6, 7-tetrahydroindazoles—fertility reducing agents.

Science Union & Cie, Ger 2519-077, 26.7.79.



R = CH₃, C₂H₅, C₆H₅ or CH₂=CH-CH₃

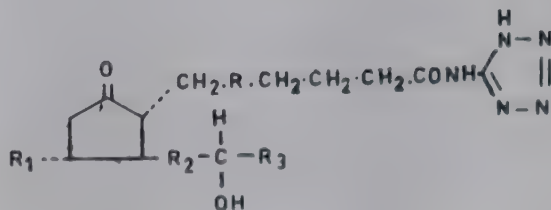
R₁=cyclohexyl, isobutyl or H

R₂=H or CN

R₃=H, lower alkyl or phenyl.

P80A017. N-Tetrazolyl-9-oxo-15 α -hydroxy prostanoid acid amides—contraceptives, abortion and labour inducing agents.

Pfizer Inc, Neth 7900-292, 18.7.79, Belg 873-471.



R = ethylene or *cis* vinylene

R₁=H or hydroxy

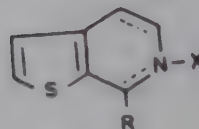
R₂=ethylene or *trans* vinylene

R₃=CH₂ Ph, CH₂ OPh, etc.

B. NERVOUS SYSTEM

P80B167. 6-Alkyl thieno (2, 3-c) pyridine—anti-inflammatories, anti-arrhythmics and blood platelet aggregation inhibitors.

Parcor, USSR 628-820, 14.9.78, Belg 843-402.



R = H, 1-6C alkyl

X = (CHR₂)_nR₃

n = 1-15

R₃ = H, OH, acyloxy or 1-6C alkyl

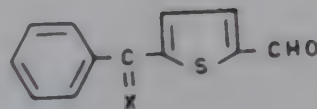
R₃ = CCl₃, acetyl, COOH, phenyl

Dotted lines indicate single or double bond.

(Patent included at P80C078—
page 205).

P80B168. 5-Benzoyl-2-thiophene aldehydes—anti-inflammatories.

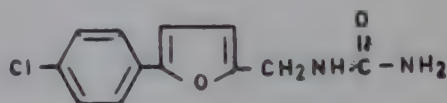
Sagami Chemical Res Centre, Jpn 4079-267, 25.6.79.



X = O or acetal residue.

P80B169. 5-(4-Chlorophenyl) furfuryl urea—anti-inflammatory.

Morton Norwich Prod Inc, US 4161-479, 17.7.79.

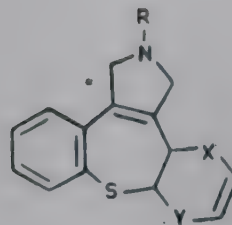


P80B170. 2, 3 Dihydro-1H-thieno benzothiepine [4, 5c] pyrroles—CNS depressants.

Ciba Geigy AG, Ger 2063-178, 2.8.79.

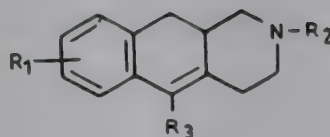
X & Y=one of them is S and other is a direct bond

R=H, alkyl or 1-4C alkyl.



P80B171. Benz (1, 2, g) hexahydro-isoquinoline derivatives—antidepressants, analgesics and thrombocyte aggregation inhibitors.

Sandoz Pat GmbH, Ger 2802-159, 26.7.79.



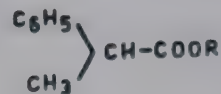
R₁=H, F, Cl, Br or 1-4C alkyl

R₂=H, 1-6C alkoxy, 3-6C alkenyl, etc.

R₃=H, 1-4C alkyl, thienyl or optionally substituted phenyl.

P80B172. Hydroatropic acids and esters—anti-inflammatories.

Hamari Yakuhin Kogy KK, Jpn 4079-246, 25.6.79.



R=CH₃, C₂H₅, CH₃CH₂CH₂, pentyl or phenyl.

P80B173. 1-Oxo-2, 6-methano-3-benz-azocines—narcotic antagonists and analgesics.

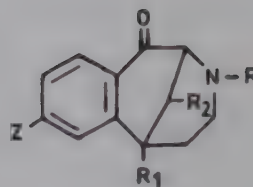
Sterling Drug Inc, US 4161-598, 17.7.79.

R = cyclopropyl methyl, cyclobutyl methyl, propyl or 2-phenylethyl

R₁ = methyl, ethyl or propyl

R₂ = H, methyl or ethyl

Z = OH, acetoxy, propionyloxy, etc.



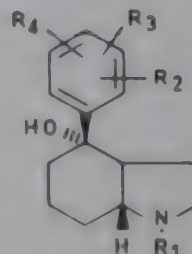
P80B174. 4-Phenyl hexahydro-4-indolino derivatives—antidepressants.

Sandoz Pat GmbH, Ger 2802-715, 26.7.79.

R₁ = H, 1-4C alkyl, 5-6C cycloalkyl

R₂ & R₃ = F, Cl, CF₃, 1-4C alkyl or 1-4C alkoxy

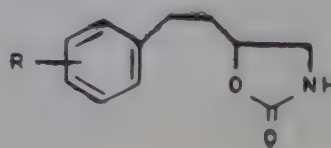
R₄ = H, F, Cl, CF₃, 1-4C alkyl or 1-4C alkoxy.



P80B175. 5-Phenethyl-2-oxazolidinone derivatives—muscle relaxants, analgesics and anti-inflammatories.

Nippon Chemifar, Brit 2012-750, 1.8.79, Ger 2902-129.

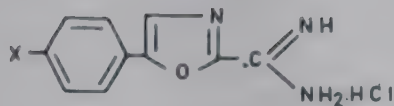
R = H, halogen or lower alkyl.



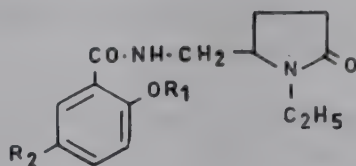
P80B176. 5-Phenyl-2-oxazole carboxamides—antidepressants.

Morton Norwich Prod Inc, Belg 873-853,
31.7.79, US 4131-168.

X = H, NO₂ or Cl.

**P80B177. N-(1-Ethyl-2'-pyrrolidinyl-methyl) benzamides—for treating psychic disorders.**

Soc Etud Ile De France, US 4161-532,
17.7.79, Belg 865-691.

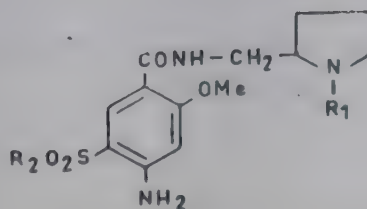


R₁ = H or methyl

R₂ = H or sulphonyl.

P80B178. N-(Pyrrolidinylmethyl)-2-methoxy-4-amino-5-alkyl sulphonyl benzamide derivatives—antiserotonin and antiapomorphine agents.

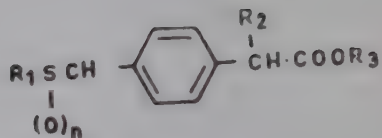
Soc Etud Ile Re France, Brit 2012-765,
1.8.79, Belg 872-585.



R₁ & R₂ = CH₃, C₂H₅ or CH₃CH₂CH₂.

P80B179. Phenyl acetic acid derivatives—anti-inflammatories and anti-hypertensives.

Teijin KK, Jpn 4079-247, 25.6.79.



R_1 = 1-10C alkyl

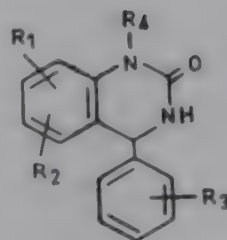
R_2 = H or lower alkyl

R_3 = H, lower alkyl or cation of inorganic base

n = 0, 1 or 2.

P80B180. 2 (1H) Quinazolinones—anti-inflammatories.

Sumitomo Chemical KK, Jpn 9018-269, 6.7.79, Neth 7310-055.

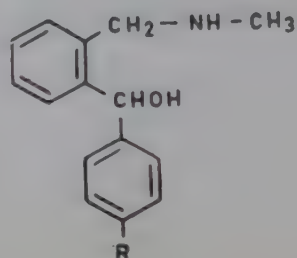


R_1, R_2 & R_3 = H, halogen, CF_3 , NO_2 or lower alkyl

R_4 = H or lower alkyl.

P80B181. Substituted-2-(aminomethyl phenyl) benzyl alcohols—anorectics.

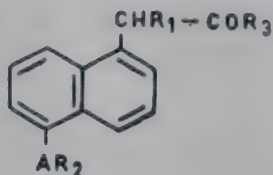
Boehringer CH Sohn, Neth 160-804, 16.7.79, Neth 6818-378.



R = halogen or trifluoromethyl.

P80B182. 5-Substituted-1-naphthyl acetic acid derivatives—anti-inflammatory, antipyretics and analgesics.

Inst Resch Scientifique, Belg 873-890, 2.8.79.



$R_1 = \text{H or 1-6C alkyl}$

$R_2 = \text{1-12C alkyl or cycloalkyl}$

$R_3 = \text{OH, 1-6C alkoxy or } \text{NR}_4\text{R}_5$

$R_4 \text{ \& } R_5 = \text{H, 1-6C alkyl or phenyl}$

$A = \text{CO or CH}_2$.

P80B183. Sericic acid derivatives—wound healing and anti-inflammatory activity.

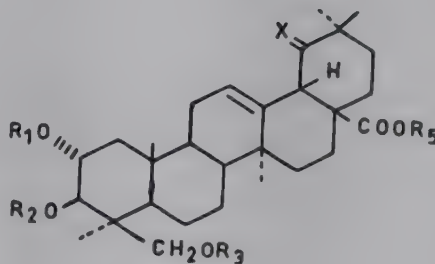
Inverni & Della Bef SPA, Jpn 9018-328, 6.7.79, Ger 2503-135.

$X = \text{O or } \text{-H(OR}_4\text{)}$

$R_1, R_2, R_3 \text{ \& } R_4 = \text{free or esterified alcohols}$

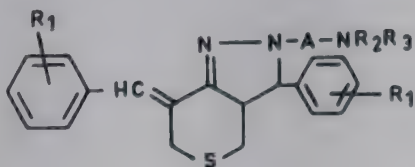
$R_5 = \text{H or a ester group.}$

(Patent included at P80L006 — page 220).



P80B184. 3a, 4, 6, 7-Tetrahydro-3-phenyl-7-(phenyl alkylene thiopyrano (4, 3c) pyrazole 2(3H) alkanamines—anti-inflammatories and hypotensives.

Squibber & Sons Inc, Brit 1549-386, 1.8.79, US 3962-222.



$A = \text{2-5C alkylene}$

$R_1 = \text{H, alkyl, alkoxy, CF}_3 \text{ or halo}$

$R_2 = \text{H or alkyl}$

$R_3 = \text{H, alkyl, phenyl, phenylalkyl, etc.}$

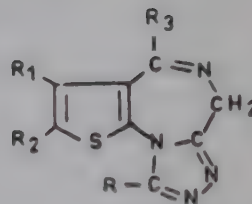
P80B185. Triazolo thienodiazepines—necrosis potentiators and antiaggressive agents.

Yoshitomi Pharm Ind KK, Jpn 9018-273,
6.7.79, Belg 784-872

$R = H$, 1-4C alkyl or optionally substituted phenyl

R_1 & $R_2 = H$, 1-4C alkyl or $R_1 + R_2 = (CH_2)_4$

$R_3 = aryl$.

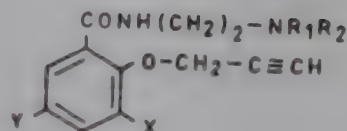


P80B186. N-(tetraaminoalkyl) benzamide compounds—local anaesthetics.

Soc Etud Ile De-France, Neth 160 866,
16.7.79, Fr 1542-703.

R_1 & $R_2 = 1-4C$ alkyl or together with N form a heterocyclic ring.

X & Y = halogen.



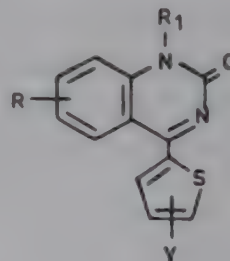
P80B187. 4-Thienyl-quinazoline-2-one derivative—anti-inflammatories, antipyretics and analgesics.

Sandoz AG, Ger 2022-187, 2.8.79, Belg
750-192.

$R = 1-5C$ alkyl or alkoxy

$R_2 = 1-5C$ alkyl

$Y = H, F, Cl$ or Br .



C. CARDIOVASCULAR SYSTEM

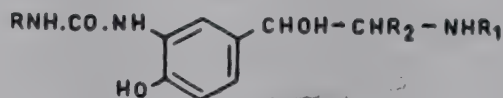
P80C078. 6-Alkyl thieno (2, 3c) pyridines—antiarrhythmics, blood platelet aggregation inhibitors and anti-inflammatories.

Parcor USSR 628-820, 14.9.78, Belg 843-402

(For details refer patent P80B167—page 198).

P80C079. α -Aminoalkyl-4-hydroxy-3-ureidobenzyl alcohols— β -receptor stimulators.

Smithkline & French, Ger 2106-620, 2.8.79, Belg 762-504.



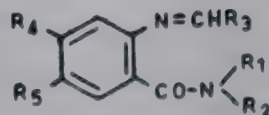
R = H or 1-5C alkyl

R₁ = branched 3-5C alkyl

R₂ = H or ethyl.

P80C080. Benzamides—long acting diuretics.

Applifarma SA, Neth 7812-599, 19.7.79, Belg 873-392.



R₁ & R₂ = H or alkyl

R₃ = phenyl, alkyl or alkenyl

R₄ = halo, CF₃ or NO₂

R₅ = SO₂NH₂, COOH, alkoxy carbonyl, etc.

**P80C081. Dipotassium monomagnesium (dl) diaspartate tetrahydrate—
for the treatment of heart diseases.**

Troponwerke GmbH, Brit 1549-519, 1.8.79,
Belg 853-061.

A new aspartic acid complex, crystalline dipotassium monomagnesium-(dl)-diaspartate tetrahydrate of empirical formula $C_8H_{10}K_2MgN_2O_8 \cdot 4H_2O$, has been prepared. The complex is storable and stable to moisture. It may be administered at a daily dose of 0.3—1.0 g

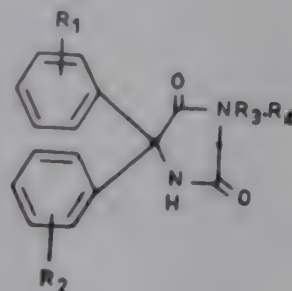
P80C082. Diphenyl hydantoin derivatives—antiarrhythmics.

Miles Laboratories Inc, Neth 160-824,
16.7.79, Neth 7409-806.

R_1 & R_2 = H, halogen, 1-3C alkyl,
alkoxy, amino, etc.

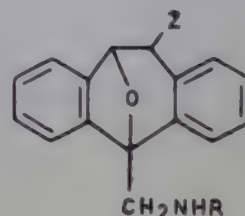
R_3 = ethylene or trimethylene

R_4 = 4-phenyl, 1-pipridyl, etc.



P80C083. 10, 11-Dihydro-5, 10-epoxy-5H-dibenzo (a, d) cycloheptene derivatives—antiarrhythmics.

Merck & Co Inc, Ger 1941-933, 26.7.79,
Neth 6912-069.

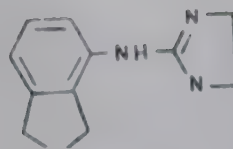


Z = H or OH

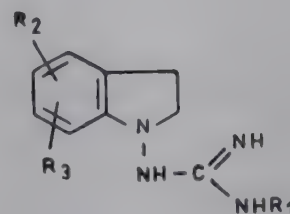
R = 1-4C alkyl.

P80C084. 2-(4'-Indanyl-amino)-2-imidazoline—vasoconstrictor.

Nordmark Werke Gmbh, Jpn 9018-260,
6.7.79, Belg 786-499.

**P80C085. (Indolinyl-guanidine) derivatives—diuretics and antihypertensives.**

Sandoz Pat Gmbh, Ger 2802-718, 26.7.79.



$R_1 = \text{H or cyclopropyl}$

$R_2 \text{ \& } R_3 = \text{halogen.}$

P80C086. N-(Phenoxyalkyl)-2-phenyl-1-methyl ethylamines—coronary dilators and antispasmodics.

Lab Laroche Navarron, Ger 2164-636,
26.7.79, Belg 775-969.



$R = \text{H or OH}$

$R_1 \text{ \& } R_2 = \text{H, halogen, 1-6C alkyl}$

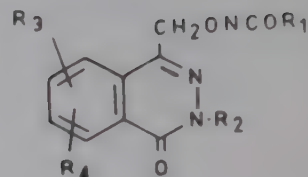
$R_3 = \text{1-6C alkyl}$

$R_4 = R_3\text{CO or } R_3\text{CH (OH)}$

$n = 0 \text{ or } 1.$

**P80C087. Phthalazone derivatives—
used against arteriosclerosis.**

Inoue M, Jpn 9018-271, 6.7.79, Jpn
48064-082.



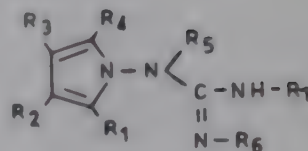
R_1 & R_3 = H or alkyl

R_2 = H, alkyl or aryl

R_4 = alkyl.

**P80C088. Pyrrol derivatives—hypo-
tensives.**

Otsuka Pharma KK, Jpn 4079-27, 25.6.79.

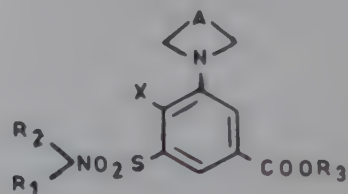


R_1 , R_3 , R_3 & R_4 = H, lower alkyl, phenyl
or pyridyl

R_5 , R_6 & R_7 = H, lower alkyl, phenyl,
etc.

**P80C089. 3-N-Pyrrolidino-4-phenoxy-
5-sulphamoyl benzoic acid—diuretics
and saluretics.**

Hoechst AG, US 4161-531, 17.7.79, Belg
828-441.



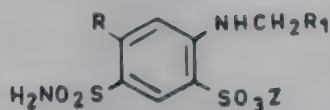
R_1 , R_2 & R_3 = H, 1-4C alkyl

X = benzyl, phenylthio or anilino

A = $-\text{CH}_2-\text{CH}_2-$ optionally substituted
by halogen or 1-4C alkyl.

P80C090. N-Furylmethyl or thienylmethyl 5-sulphamoyl orthanilic acid derivatives—diuretics and saluretics.

Hoechst AG, US 4161-533, 17.7.79, Belg 866-565.



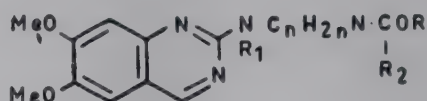
R = phenoxy or phenylthio

R₁ = furyl or thienyl

Z = H, metal ion or optionally substituted ammonium ion.

P80C091. Alkylenediamine amide compounds—cardiovascular and anti-hypertensive agents.

Synthelabo, Belg 873-909, 2.8.79.



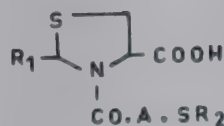
R = O or S containing heterocyclic substituent

R₁ & R₂ = H, 1-4C alkyl or benzyl

n = 2, 3 or 4.

P80C092. Thiazolidine carboxylic acids—antihypertensives.

Santen Pharma KK, Belg 875-365, 31.7.79.



R₁ = mercaptoalkyl, alkylmercaptoalkyl, higher alkyl, cycloalkyl, etc.

R₂ = H or benzoyl

A = 1-3C alkylene.

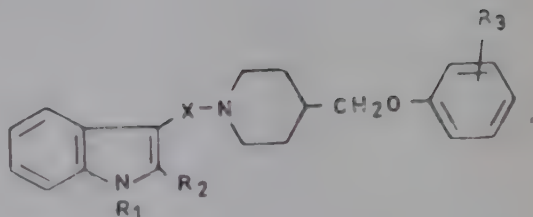
P80C093. 3-(4-Phenoxymethyl piperidino alkyl) indoles – antihypertensives.

Boehringer Mannheim GmbH, USSR 628-816, 21.9.78, Belg 847-975.

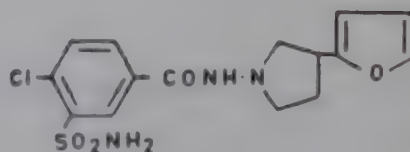
R_1 & $R_2 = H$ or 1-6C alkyl

$R_3 = H$, halo, 1-6C alkyl or alkoxy

$X = 2-3C$ alkylene.

**P80C094. 1-(3-Sulphamoyl-4-chlorobenzamido)-3-(2-furyl) pyrrolidine – diuretic and hypotensive.**

Schering AG, Ger 2113-529, 28.79, Belg 764-017.



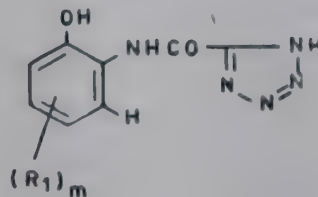
D. RESPIRATORY SYSTEM

P80D043. N-Hydroxyphenyl tetrazolyl carboxamide derivatives—antiallergics.

May & Baker Ltd, Fr 2407-207, 29.6.79,
Belg 871-623.

R_1 =halo, alkyl, alkoxy, alkylthio, alkylsulphinyl alkylsulphonyl, etc.

$m = 0-3$.



P80D044. 2-Hydroxy N-tetrazolyl benzamide derivatives—antiallergics.

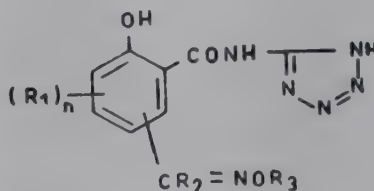
May & Baker Ltd, Fr 2407-208, 29.6.79,
Ger 2846-891.

R_1 =halo, alkyl, alkoxy, alkylthio, alkylsulphonyl, etc.

R_2 =H, 1-5C alkyl or aryl

R_3 =H, 1-6C alkyl or aryl

$n = 0-2$.

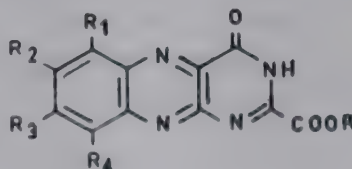


P80D045. Pyrimidoquinoxalinones—antiasthmatics.

Mitsubishi Petroch KK, Jpn 4076-599,
19.6.79, Belg 862-384.

$R = H$, 1-4C alkyl, benzyl or phenyl

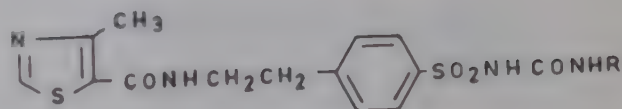
$R_1, R_2, R_3, R_4 = H$, 1-4C alkyl, 1-4C alkoxy, halogen, benzoyloxy, hydroxy, alkylthio, etc.



F. METABOLIC AND DEGENERATIVE DISORDERS

P80F036. Benzenesulphonyl urea derivatives—oral hypoglycaemics.

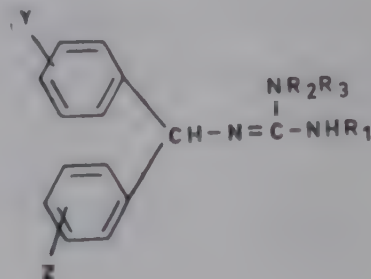
Pfizer Inc, Jpn 9018-258, 6.7.79, Belg 811-866.



R = bicyclo (2,2,1) hept-5-en-2-yleno methyl or 5-7C cyclo-alkyl.

P80F037. Benzhydryl guanidine derivatives—hypoglycaemics.

Mencil Labs Inc, US 4161-541, 17.7.79.



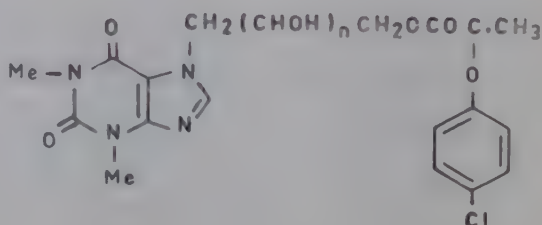
$R_1 \& R_2 = \text{H or lower alkyl}$

$R_3 = \text{H, lower alkyl, cyclopentyl or cyclohexyl etc.}$

Y & Z = halo, lower alkyl or lower alkoxy

R80F038. Hydroxyalkyl theophylline-4-chlorophenoxy alkanates—antilipemics, anti-cholesterolaemics & peripheral vasodilators.

Merckle Chem Pha KG, Ger 2308-826, 26.7.79, Belg 811-489.



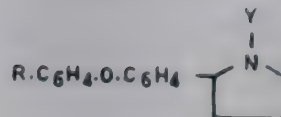
$n = 0 \text{ or } 1.$

P80F039. 2-Phenoxy-phenyl pyrrolidine derivatives—hypolipaeemics, antiobesity and antiarteriosclerotic agents.

Science Union & Cie, US 4161-526,
17.7.79, Ger 2807-623.

R=H, halogen, CF₃, 1-4C alkyl or
1-4C alkoxy

Y=H, 1-4C unsaturated hydrocarbyl,
hydroxypropyl or carboxymethyl.

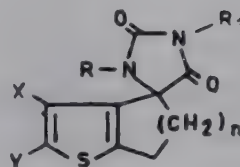


P80F040. Spirothieno hydantoin derivatives—aldose reductase inhibitors.

R=R₁=H, 1-4C alkyl, optionally
monosubstituted benzyl

X=Y=H, 1-4C alkyl, Cl, Br, F or
optionally monosubstituted
phenyl

n=1, 2 or 3.



G. INFECTIOUS DISEASES

P80G045. N-(4-Acylaminophenyl) N N disubstituted amidines—anthel- mintics.

Bayer AG, Ger 2029-298, 2.8.79, Belg
768-402.

R = 1-2C alkyl

R₁ = H

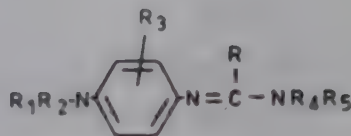
R₂ = CO R₆ SO₂R₇

R₃ = H, methyl or trifluoromethylethyl

R₄ & R₅ = 1-2C alkyl

R₆ = H, alkyl, 1-3C hydroxy alkyl or
2-6C alkenyl

R₇ = alkyl.



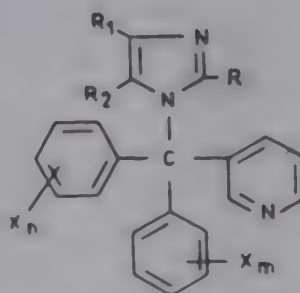
P80G046. N-(Diaryl-pyridyl-methyl)- imidazoles—antimycotics.

Bayer AG, Neth 160-825, 16.7.79.

R, R₁ & R₂ = H or alkyl

X = halogen

m & n = 0, 1 or 2.



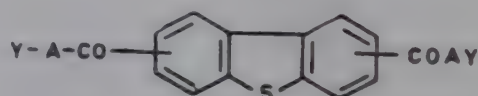
P80G047. Dibenzo thiophenes—anti- virals.

Richardson Merrell Inc, Ger 2120-996,
2.8.79 Belg 766-577.

A = 1-6C alkylene

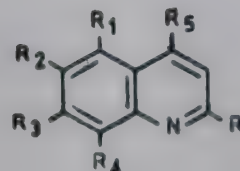
Y = NR₁R₂

R₁ & R₂ = H or 1-4C alkyl



P80G048. Nitrofuryl quinolines—antibacterials.

ABIC Ltd, Ger 1645-978, 26.7.79, Neth
6708-540.



$R = H$, 5-nitrofuryl, lower alkyl, CH_2
OH, $CHCOAc$, etc.

$R_1 = H$, lower alkyl or, alkoxy or NO_2

$R_2 = H$, lower alkoxy, NH_2 , NO_2

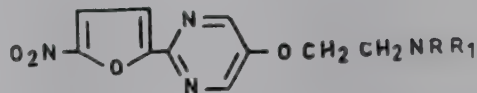
$R_3 = H$, halogen, NH_2 , $COOH$

$R_4 = H$, halogen OH, OAc , lower alkyl
or alkoxy,

$R_5 = H$, lower alkyl or 5-nitrofuranyl.

P80G049. Nitrofurylpyrimidine derivatives—antibacterials.

Schering AG, Ger 2113-529, 2.8.79, Neth
7203-650.



$R = 1-6C$ alkyl

$R_1 = H$ or 1-6C alkyl.

P80G050. Polyacrylamide aqueous solution—used as eye drops for treatment of herpetic infections.

Moxc Eye Diseases, USSR 628-924,
21.9 78.

Polyacrylamide is used in ophthalmological herpes virus eye infection. The 1% polyacrylamide solution is prepared by dissolving corresponding amount of polyacrylamide in distilled water and isotonic sodium chloride solution. The sealed vessel of above solution can be sterilised by autoclaving without change in activity or properties.

P80G051. N-substituted fortimicin derivatives—antibacterials.

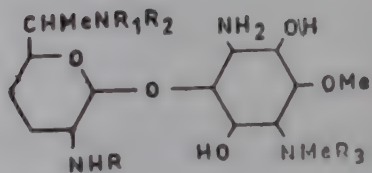
Abbott Laboratories, Brit 2012-751,
1.8.79, Ger 2855-066.

R = acyl, aminoacyl, alkylaminoacyl,
dialkylaminoacyl etc.

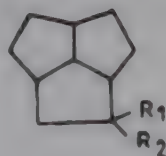
R₁ = alkyl

R₂ = H or alkyl

R₃ = H, acyl, amino acyl, etc.

**P80G052. 2-Substituted perhydro-triquinacene—intermediates for antivirals**

Kao Saop KK, Jpn 4076-568, 19.6.76.



R₁ = H

R₂ = OH or together with R₁ form
methylene.

H. ANTINEOPLASTIC AGENTS

P80H035. Antitumor compound production which coagulates cancer cells—by cultivating certain streptomyces strains.

Sakakido K., Jpn 4076-897, 19.6.79.

Production of antitumor substance comprises incubating a strain of streptomyces No. 111, 118, and 121 in liquid culture medium, adding lower alcohol or acetone to the filtrate of the cultured broth to form precipitate and, if desired, refining the precipitate to isolate the coagulable substance of the cancer cell.

P80H036. 2- α -Methyl dihydrotestosterone propionate and caproate—long-acting cytostatics for mammary carcinoma.

Khark Endocrinology, Jpn 4076-833, 19.6.79, Ger 2752-339.

R = H, ethyl, carbonyl, $C_5H_{11}CO$ or $C_6H_{13}CO$.

P80H037. Cell wall of *Clostridium* genus—antitumors.

Sanraku Ocean, Jpn 4076-817, 19.6.79.

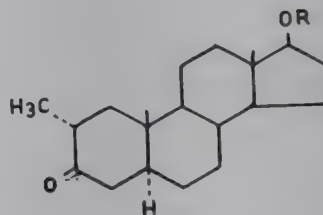
Antitumor drug contains cell wall of *Clostridium* genus of fungi. The cell wall has appearance of white powder with decomposition temperature above $300^{\circ}C$.

P80H038. Ascorbic acid, copper and titanium complex—for treating carcinoma.

Marstrand E, Brit 1549-524, 1.8.79, Ger 2801-355.

The complex contains ascorbic acid, Ti^{3+} and Cu^{+2} in a molar ratio of 36:1:6. It is a brown amorphous solid.

The complex is suitable for treatment of other diseases accompanied by changes in blood composition such as polyarthritis, myopathy, arteriosclerosis, etc.



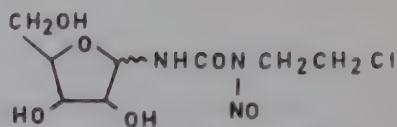
P80H039. Methylene glycol and polymethylene hemiacetates mixture—antitumors.

Chinoïn Gyogyszer, Fr 2407-193, 29.6.79.

Composition comprises hemiacetal of methylene glycol, dioxymethylene glycol, trioxy methylene glycol, pentaoxy methylene glycol and hexaoxy methylene glycol 4.5 + 10%, 3.3 + 10%, 2.8 + 0%, 2.1 + 10%, 1.45 + 10%. The compositions also have fungistatic and bacteriostatic activities.

P80H040. 1-Chloroethyl-1-nitroso-3- α -ribofuranosyl urea—antileukemic.

Suamit, Fr 2407-220, 29.6.79, Ger 2846-383.



P80H041. Polysaccharide C-45—anti-cancers.

Sanraku Ocean, Jpn 4076-896, 19.6.79.

Insoluble polysaccharide C-45 producible microorganism belonging to the genus of *Clostridium* is cultured in a culture medium including C-source, N-source inorganic salts, micronutrient source, at 28—37°C at pH 6—8 to accumulate the C-45 in the form of insoluble precipitate which was purified by dialysis.

P80H042. Production of polysaccharides with antitumor activity by extracting a culture of *Coriolus* fungus.

Kureha Kagaku Kogyo, Ger 2731-570, 26.7.79, Belg 856-805.

Process for the isolation of antitumor polysaccharides comprises propagation of *Coriolus* fungi of Basidiomycetes class in an aqueous culture medium. It is then dried at 80—150°C and the residue is extracted with aqueous solvents such as water, dilute alkali and preferentially in several stages. The extract is purified by removal of the substance by ultrafiltration and reverse osmosis. The product is active against cancer and tumors.

J. MOLECULAR BIOLOGY

P80J009. Glucosamine peptide derivatives—immunostimulating agents.

Takeda Chemical Inds KK, Jpn 4079-227, 25.6.79.

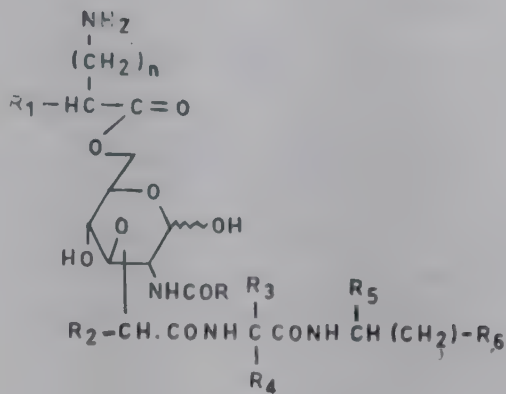
R = 1-6C alkyl

R₁=H or lower alkyl

R₂, R₃ & R₄=lower alkyl

R₅ & R₆=carboxy which may be formed into amide

n = 0-9.



P80J010. Immunological determination of antibodies and antigens.

Technicon Instrumen, Belg 873-674, 24.7.79.

Reagents used in immunological determinations comprise proteic antigen or antibody fragments joined covalently via its sulphur atom to a N-chloroacetyl amino-alkyl anhydride bridging group and substrate.

L. NATURAL PRODUCTS

P80L005. Rutin—pharmaceutical preparation.

Azerb Medic Inst, USSR 628-922, 21.9.78.

Rutin, a substance used in pharmacology is extracted from the plant *Securinega suffruticosa* Pall Rhed. with ethanol; the solvent is evaporated and the residue is purified with organic solvent.

P80L006. Sericic acid derivatives—wound healing and anti-inflammatory activity.

Invernì & Della, Bef SPA, Jpn 9018-328, 6.7.79, Ger 2503-135.

(For details refer patent P80B183—page 203).

DRUGS & PHARMACEUTICALS CURRENT HIGHLIGHTS—R&D

alerts you with recent articles of interest

A useful tool in research

For details, contact NICDAP

M. GENERAL

P80M012. β -Aryl- α -mercapto-acrylic acid compositions—used to treat heavy metal poisoning.

Merrell Toraude Cie, Belg 875-232,
16.7.79.

$R = H, CH_3, C_2H_5, OCH_3, CF_3$, etc,

$n = 1-3$,

$Z = -C=C-, O, S$, or NH .



N. NEW TECHNIQUES

P80N015. HCG antibodies preparation

Boehringer Biochem, Fr 2407-475, 26.6.79,
Ger 2804-920.

The production of anti-HCG antibodies is carried out by first preparing an emulsion by dissolving human chorionic gonadotrophin (HCG) in sterile 0.8-1% NaCl solution and emulsifying with Freund's complete adjuvant. The emulsion is then used to inoculate rabbits, followed by reinoculation by 48 hrs. The immunological reaction is monitored 14 days after reinoculation. The blood from rabbits showing the optimum immunological reaction is coagulated and centrifuged, and supernatant is treated with NaN_3 and sterile filter. The resulting serum is then mixed with 1 Vol. % of human male serum and 0.5 Wt. % of a product obtained by dialysing urine from menopausal women against distilled H_2O , filtering, concentrating to 1/10 volume, cooling, treating with EtOH, filtering and freeze-drying.

The mixture is adsorbed on stabilised human erythrocytes which have been thoroughly washed with a physiological solution containing NaCl and NaN_3 and resulting suspension stirred for 60 mins. The cells are then centrifuged off and the serum is kept at 55-60°C for 30 mins. The resulting antiserum is fractionated on a column of diethyl alkyl ester cellulose and the γ -globulin fraction is sterile-filtered and freeze-dried.

The antibodies are especially useful for pregnancy testing, immunoassay of HCG giving increased sensitivity and specificity.

P80N016. Highly pure kallikrein from crude kallikrein solutions by ion exchange chromatography.

Bayer AG, Ger, 2154-557, 2.8.79.

Highly pure kallidionogenase (kallikrein) is obtained from organs such as the pancreas. After extracting by known methods, by metal salt precipitation and elution, the eluate is freed from salt and subjected to chromatography through a suitable microporous ion exchanger and then on acid ion exchanger.

P80N017. Method for linking proteins to polymers.

Pharmacia AB, Ger 1815-332, 2.8.79,
Belg 725-872.

Proteins, polypeptides, peptides or their derivatives with one or more YH groups (where YH is primary or secondary amino) are bound to water insoluble polymers with XH group (where XH is OH, primary or secondary amino) by reacting the polymer with a compound containing one or more cyanate group in water or water miscible solvent. The product reacted with protein, polypeptide, peptide or derivatives in weakly alkaline aqueous solution, at 0.50°C. The bound products are enzymes, antibodies, proteins or peptide hormones.

The process can bind even very sensitive antibodies to polymers without causing any unwanted changes.

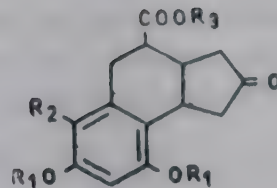
**P80N018. Tetrahydro-cyclopentano
naphthalene derivatives—for use as
steroid intermediates.**

US Sec of Commerce, Brit 1549.460,
1.8.79, Ger 2720-350.

$R_1 = H$, acetyl or methyl

$R_2 = H$ or carbomethoxy

$R_3 = H$ or methyl.



Corrigenda

Vol 3, No. 5, May 1980

P80F031. 25-Alkyl cholesterol derivatives—useful for treating hypercholesterolaemia and as antiprotozoals.

Searle G. D. and Co, Brit 2009-180,
13.6.79, Ger 2837-414.

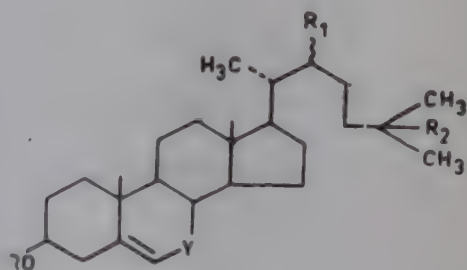
$R = -H$ or $HOOC(CH_2)_nCO-$

$n = 4$

$Y = -CH_2-$ or CO

$R_1 = H$ or OR

$R_2 = 1-4C$ alkyl.

**P80H031. 14-Acyloxy daunorubicin derivatives-antitumors.**

Name of the Patentee: Rhone-Poulenc
Industries.

A. ENDOCRINE SYSTEM

P80A018. Purified bovine pineal tripeptides—useful as antigonadotrophic agents especially for antifertility use.

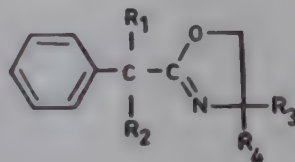
Bovine pineal tripeptides free from all other pineal derived substances and characterized by the amino acid sequence Thr-Ser-Lys and its carboxy and acid addition salts are new.

The tripeptide is obtained from fresh bovine pineal gland by extraction, and the crude extract is purified by using ion-exchange resins and by gel-filtration followed by paper electrophoresis and paper chromatography.

B. NERVOUS SYSTEM

P80B188. Aromatic alkyl oxazoline derivatives—anti-inflammatories, analgesics and antipyretics.

Boots Co. Ltd, Jpn 9020-494, 23.7.79,
Belg 787-941.



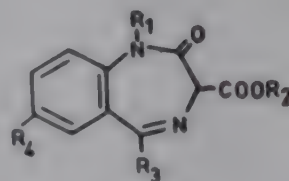
$R_1 = H$

$R_2 = H$ or alkyl or R_1 & R_2 together
form a methylene radical

R_3 & $R_4 =$ independently alkyl or aryl.

P80B189. 5-Aryl-3-alkoxycarbonyl 1-4 benzodiazepine-2-one - CNS depressants.

CM Industries, Brit 2013-656, 15.8.79,
Ger 2900-017.



$R_1 = H$ or lower alkyl

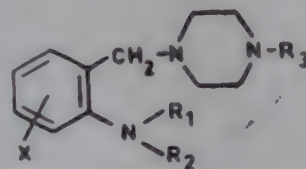
$R_2 = 3-10C$ alkyl

$R_3 =$ phenyl or halo phenyl

$R_4 = H$, halogen or NO_2 .

P80B190. N-(2-Amino-halobenzyl) piperazines—antihistamines and anticonvulsants.

Takeda Chemical Ind KK, US 4153-794,
8.5 79, Ger 2551-355.



R_1 & $R_2 = H$, 2-4C alkylcarbonyl or
benzoyl

$R_3 = 2-4C$ alkylcarbonyl

$X =$ halo.

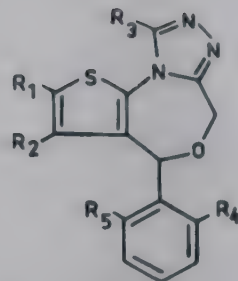
P80B191. 6-Arylthieno (2, 3-e) triazolo (3, 4-c) 1, 4-oxazepines — anticonvulsants, anxiolytics and antiaggressive agents.

Boehringer Ingelheim, Brit 1550-679, 15.8.79, Belg 844-170.

R_1 & R_2 = H, halogen, 1-2C alkyl or together with 2C atoms to which they are attached form 5 or 6 membered carbocyclic ring.

R_3 = H, Cl, Br, 1-3C alkyl, etc.

R_4 & R_5 = H, F, Cl or Br.



P80B192. Benzimidazolyl methyl morpholine derivatives—antidepressants.

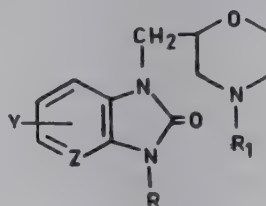
Hexachemie, Brit 1550-656, 15.8.79, Belg 853-782.

Z = CH or N

Y = H, halogen, 1-4C alkyl or 1-4C alkoxy

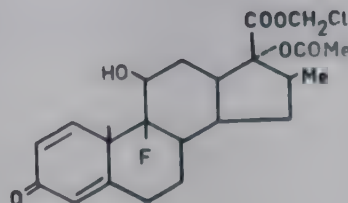
R = H, 1-4C alkyl, 2-4C alkenyl, benzyl or phenyl

R_1 = H or benzyl.

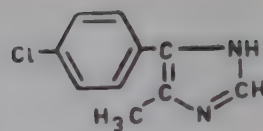


P80B193. Chloromethyl-17-β-carboxy androstadiene esters—topical anti-inflammatories.

Glaxo Group Ltd, Belg 873-996, 7.8.79, Ger 2904-614.



**P80B194. 4-(4-Chlorophenyl) 5-methyl
imidazole—anti-inflammatory.**



Farmatis SRL, Jpn 9020-496, 23.7.79,
Ger 2721-835.

**P80B195. N-Cycloalkyl pyrrolidino
benzamide derivatives—CNS active
agents.**

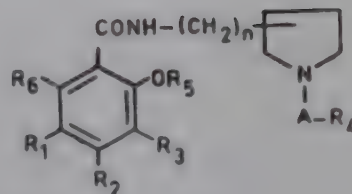
Soc. Etud Ile-De-France, Brit 2013-662.

R_1, R_2, R_3 & $R_6 = H$, halo, alkyl, alkoxy,
amino, acetamino,
sulphamoyl, etc.

$R_4 =$ mono, bi or tricyclo alkyl, or
cycloalkenyl

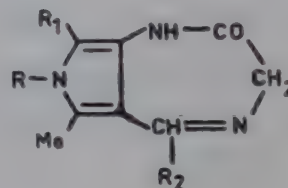
$R_5 = H$, 1-3C alkyl alkenyl or alkenyl

A = bond or 1-3C optionally unsatura-
ted hydro carbon or alkyl.



**P80B196. 3, 7-Dihydro-6-methyl
pyrrolo (3, 4-c) (1, 4) diazepine-1H-2-
ones—CNS depressants, anticon-
vulsants and anti-inflammatories.**

Gruppo Lepetit SPA, Ger 2511-599,
9.8.79, Belg 826-925.



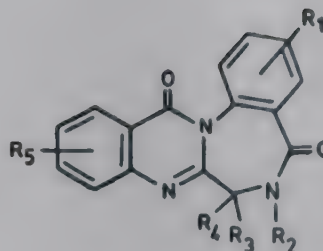
R = H or methyl

$R_1 = H$ or ethyl

$R_2 =$ phenyl.

P80B197. 6, 7-Dihydro-5H-13H quinazolino (3, 2-a)-1, 4-benzodiazepine 5, 13 diones—CNS active agents, tranquillisers, analgesics and antipyretics.

Bayer AG, Ger 2758-875, 9.8.79.



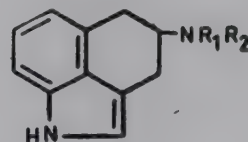
R_1 & R_5 =substituted alkyl, OH, acyloxy, alkoxy, NO_2 , NH_2 , etc.

R_2 =H, optionally substituted alkyl, arylalkyl, etc.

R_3 & R_4 =optionally substituted alkyl, etc.

P80B198. 4-Dipropylamino-1, 3, 4, 5 tetrahydrobenzidole—antiparkinsons agents.

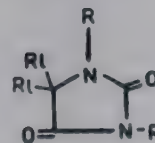
Eli Lilly & Co, Belg 872-752, 21.5.79.



R_1 & R_2 =propyl group.

P80B199. 5, 5-Diphenyl hydantoin derivatives—antiepileptics, anticonvulsants and antiarrhythmics.

Interx Res Corp, US 4163-058, 31.7.79.



$R = \text{H}$ or $\text{CHR}_1\text{-XR}_2$

$R_1 = \text{H}$ or 1-7C alkyl

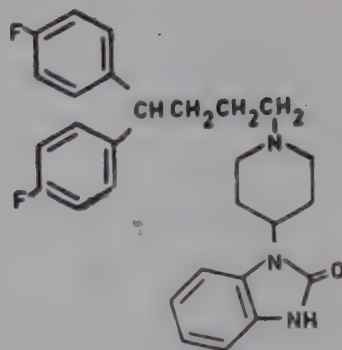
$Y = \text{O}$ or S

$R_2 = \text{COR}_3$

R_3 =acyl residue of a naturally occurring protein amino acids.

P80B200. Diphenylbutylamine—CNS depressants.

Sumitomo Chemicals KK, Jpn 4084-578,
5.7.79.

**P80B201. 3-Heterocycl-alkyl amino-1, 2-benzisothiazole-1, 1-dioxides—anti-inflammatories.**

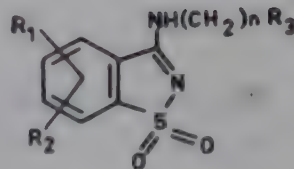
Squibber & Sons Inc, Brit 2013-654,
15.8.79, US 4147-698.

$R_1 = \text{H, halogen, NO}_2, \text{ etc.}$

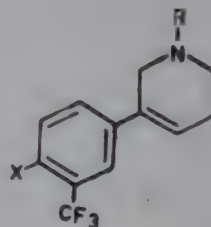
$R_2 = \text{H, halogen, 1-4 alkoxy etc.}$

$R_3 = \text{1H imidazolyl or 1H indolyl}$

$n = 1-4.$

**P80B202. 3-Phenyl-1, 2, 5, 6-tetrahydro pyridine derivatives— anorectics and antidepressants.**

Roussel Uclaf, Belg 873-987, 7.8.79, Ger
2904-826.

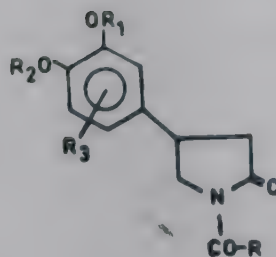


$X = \text{H or Cl}$

$R = \text{H, optionally branched 1-4C alkyl, 3-5C alkenyl, 3-5C alkynyl, etc.}$

P80B203. 4-Polyalkoxyphenylpyrrolidones—psychotropic agents.

Schering AG, US 4153-713, 8.5.79, Belg 846-335.



$R = OR_4, OR_5, OR_6, NHR_4$, etc.

R_1 & R_2 = each 1-18C hydrocarbon residue, 2-5C alkenyl, etc.

$R_3 = H$ or OMe

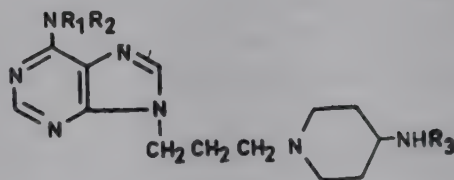
$R_4 = 1-5C$ alkyl or 2-5C alkenyl

$R_5 = \text{phenyl, 1-4C alkyl, naphthyl, etc.}$

$R_6 = \text{aromatic carbocyclic.}$

P80B204. 9-Piperidinopropyl adenine derivatives—histamine antagonist, anti-inflammatories and antioedema agents.

Boehringer Mannheim GmbH, Ger 2804-416, 9.8.79.



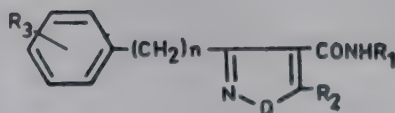
$R_1 = H$ or lower alkyl

$R_2 = H$, aryl, lower alkyl, both optionally substituted by OH

$R_3 = H$ or acyl.

P80B205. 3-Phenylalkyl isoxazoles-4-carboxamides—minor tranquillisers, sleep inducers and muscle relaxants.

Sandoz Inc, US 4163-057, 31.7.79.



$R_1 = H$ or 1-4C alkyl

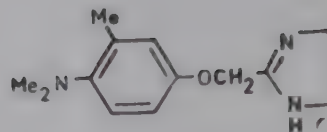
$R_2 = H$ or 1-4C alkyl

$R_3 = H, F, Cl, CF_3$ or 1-4C alkoxy

$n = 1-4$.

P80B206. 2-Substituted phenoxy-methyl-4, 5-dihydro-1H imidazole—antagonist of CNS depressant effect.

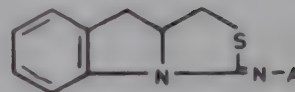
Dow Chemical Co, US 4163-105, 31.7.79.



The compound has got herbicide, nematocide, archicide and fungicide actions also.

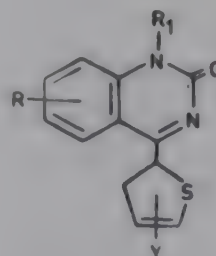
P80B207. Thiazolo-(3, 4a) indole derivatives—analgesics, anti-inflammatories.

A=3-pyridyl, 4-pyridyl, 5-isoquinolyl.



P80B208. 4-Thienyl quinazoline-2-one derivatives—anti-inflammatories, antipyretic and analgesics.

Sandoz AG, Ger 2022-287, 2.8.79, Belg 750-192.



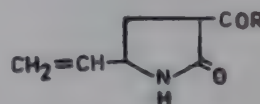
R = 1-5C alkyl or alkoxy

R₁ = 1-5C alkyl

Y = H, F, Cl or Br.

P80B209. Vinyl pyrrolidones—CNS drug intermediates.

Merrell Toraude & Co, Neth 7900-028, 1.8.79, Belg 873-766.

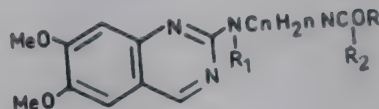


R = OH, NH₂ or butoxy.

C. CARDIOVASCULAR SYSTEM

P80C095. Alkylenediamine amide compounds—cardiovascular agents and antihypertensives.

Synthelabo, Brit 2013-679, 15.8.79, Belg 873-909.



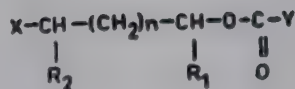
R = 3-6C cycloalkyl, benzofuryl, tetrahydrofuryl, etc.

R₁ & R₂ = H, 1-4C alkyl or benzyl

n = 2, 3 or 4.

P80C096. Aminoalcohol ester derivatives—vasodilators, anticholinergics and local anaesthetics.

Richter Gedeon Vegy, USSR 631-068, 13.11.78, Belg 788-289.



R₁ = H, optionally branched lower alkyl or alkenyl

R₂ = H, optionally branched lower alkyl, alkenyl or phenyl

X = NR₄R₅

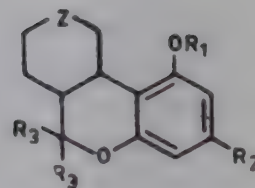
R₄ & R₅ = lower alkyl, cycloalkyl, alkenyl, etc.

Y = optionally branched lower alkyl, haloalkyl, phenyl, etc.

n = 1-4

**P80C097. 9-Aminodibenzo (b, d)
pyran derivatives—antihypertensives,
antianxiety and CNS active agents.**

Eli Lilly & Co, Belg 873-981, 7.8.79,
US 4152-450.



$R_1 = \text{H or 1-4C alkanoyl}$

$R_2 = \text{5-10C alkyl or alkenyl}$

$R_3 = \text{H or Me}$

$R_4 = \text{OH, 1-4C alkoxy or 1-7C alkanoyl}$

$R_5 = \text{H, OH, 1-4C alkoxy, etc.}$

$R_6 = \text{H, 1-4C alkyl, CH, alkenyl, 1-7C alkenoyl, etc.}$

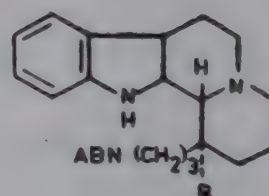
$R_7 = \text{H, 1-7C alkoxy, phenyl, 1-7C alkenoyl}$

$R_8 = \text{1-7C alkanoyl, phenyl etc.}$

$Z = \text{C=NR}_8, \text{CH-NR}_8\text{R}_9 \text{ or } \text{CH-NR}_7\text{R}_9.$

**P80C098. 1-Aminopropyl octahydro-
indolo (2, 3-a) quinolizine compounds
—vasodilators.**

Richter Gedeon Vegy, Belg 874-096,
13.8.79.



$R = \text{1-6C alkyl}$

$A = \text{1-6C optionally substituted alkyl}$

$B = \text{H or A \& B together form alkyli-
dene group.}$

P80C099. Salicylamide derivatives
—cardiovascular agents for treating hypertension.

Schering Corp, US 4163-053, 31.7.79.

$R_1 = \text{H}$ or lower alkyl

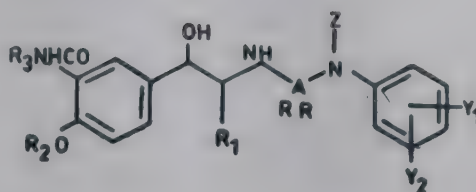
$R_2 = \text{H}$, lower alkyl, lower alkoxy, etc.

$R_3 = \text{H}$ or lower alkyl

ARR = acyclic or cyclic alkylene bridges containing 2-10C atoms provided there are 2-6C separating the N atom

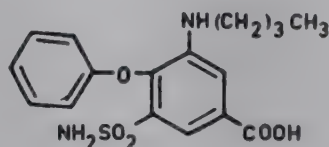
$Z = \text{H}$, lower alkyl, lower alkoxy, etc.

Y_1 & $Y_2 = \text{H}$, halogen, NO_2 , NH_2 , etc.



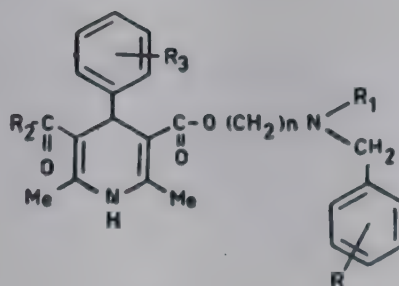
P80C100. 3-Butylamino-4-phenoxy sulphanyl benzoic acid—diuretic and hypotensive.

Novok Chem Pharm, USSR 631-514, 25.11.78.



P80C101. 2,6-Dialkyl-4-aryl-1,4-dihydro-pyridine-3-carboxylates—cerebral vasodilators and spasmolytic agents.

Yamanouchi Pharm KK, Ger 2407-115, 9.8.79, Belg 811-324.



$R = \text{H}$, Cl, Br, Me or OMe

$R_1 = \text{H}$ or 1-3C alkyl

$R_2 = 1-3\text{C}$ alkyl or alkoxy

$R_3 = \text{NO}_2$ or CF_3

$n = 2$ or 3 .

P80C102. Hexahydrocanthinine derivatives—vasodilators.

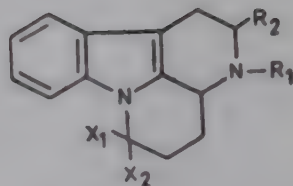
Soc Expl Lab Logeais J, Brit 1550-496,
15.8.79, Ger 2758-405.

X_1 & X_2 =H, R_3 OH or together form
an oxo group

R_1 =1-6C alkyl, 2-6C alkynyl or 2-6C
alkenyl

R_2 =H or CH_2OH

R_3 =1-6 C alkyl, 2-5 C alkenyl etc.



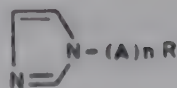
P80C103. Pharmaceutical compositions containing 1-hydrocarbyl imidazoles—antihypertensives and blood platelet aggregation inhibitors.

Wellcome Foundation, Neth 7900-807,
3.8.79.

A=1-3C alicyclic hydro carbyl

n = 0 or 1

R=4-9C cycloalkyl or cycloalkenyl,
optionally substituted by 1-3 or
1-4 alkyl.



P80C104. Substituted 3-aryloxy-1-amino propan-2-ols— β -adrenergics.

Hassle AB, USSR 577-971, 28.10.77,
Neth 7501-785.

R = 3-6C alkyl, cycloalkyl or $CMeR_3$
 CHR_2R_4

R_1 =H, $PhCH_2$, $PhSO_2$, $MeSO_2$, or
 COR_5

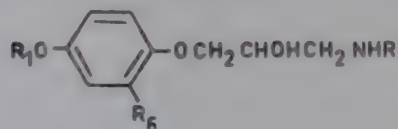
R_2 =H or OH

R_3 =H or Me

R_4 =phenyl, hydroxyphenyl, etc.

R_5 =H, 1-7C alkyl

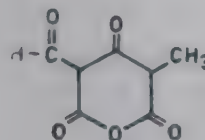
R_6 =H, halo or alkyl.



D. RESPIRATORY SYSTEM

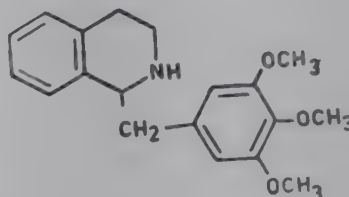
P80D046. 3-Acyl-5-alkyl-tetrahydro-pyrantrione—antiallergics.

Smithkline Corp, US 4153-720, 8.5.79.



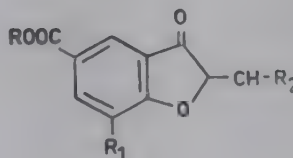
P80D047. 1-(3,4,5-Trimethoxy benzyl)-1,2,3,4 tetrahydro isoquinoline—antiallergic.

Tanabe Pharmaceutical KK, Jpn 4084-035, 4.7.79, Ger, 2854-343.



P80D048. 5-Carboxy-2-benzylidene-2H-benzofuran-3-one derivatives—antiallergics and bronchodilators.

Farmitalia Erba, Neth 7900-692, 2.8.79, Belg 873-826.



R =H, 1-2C alkyl optionally substituted by NR₃R₄

R₁=H, 3-6C alkenyl or 1-6C alkyl

R₂=thienyl or furyl optionally substituted

R₃ & R₄=H or 1-6C alkyl.

The compounds have got antiulcerative activity also.

**P80D049. Imidazo-(2,1-c) (1,4)-benzo-
xazine-2-carboxylic acid derivatives—
antiallergics.**

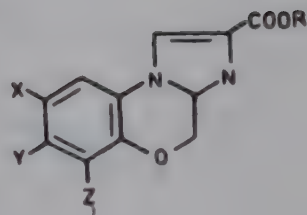
Roussel Uclaf, Belg 872-109, 17.5.79.

X=H, halo, 1-5C alkyl

Y=H or 1-5C alkyl

Z=H or 1-5C alkoxy

R=H or 1-5C alkyl.



DRUGS & PHARMACEUTICALS
CURRENT HIGHLIGHTS—R&D

alerts you with recent articles of interest

A useful tool in research

For details, contact NICDAP

E. GASTROINTESTINAL DISORDERS

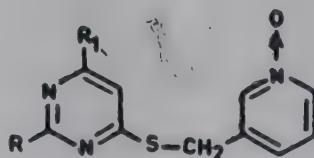
P80E021. Orally administrable compositions—gastric acid secretion inhibitors.

Armour Pharm, Brit 1550-473, 15.8.79,
US 4151-276.

The composition comprises a pharmaceutical carrier and calcitonin or its pharmaceutically acceptable salts. The calcitonin may be synthetic or may be obtained from mammalian thyroid tissue or anion or piscine ultimobranchial glands.

P80E022. 4-Pyridylmethylthio pyrimidine derivatives—useful for treating ulcers and gastric hypersecretion.

Poli Ind Chim SPA, Ger 2901-629,
9.8.79, Belg 873-838.



$R=R_1=H, NH_2 \text{ or } CH_3.$

F. METABOLIC AND DEGENERATIVE DISORDERS

P80F041. Acyl carnitine compounds as racemates or optical isomer—used to treat hyperlipaemia, hypercholestrolaemia and other lipid metabolism defects.

Sigma Tau Ind Farm SPA, Ger 2903-579, 9.8.79, Belg 873-915.

The composition contains an effective quantity of an acyl carnitine derivative of the formula $(\text{CH}_3)_3\text{N}^+-\text{CH}_2-\text{CH}(\text{OR})-\text{CH}_2\text{COO}-$ negative charge where R is acetyl, propionyl, butyryl, hydroxybutyryl hexanoyl, octanoyl etc. or their salts esters and amides with a suitable vehicle or support.

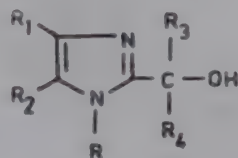
P80F042. Imidazolyl 2-carbinols—hypolipidemics.

Hoechst AG, Ger 2164-919, 9.8.79, Belg 793-407.

R = H, 1-3C alkyl, phenyl or benzyl
 R_1 & $\text{R}_2 = \text{H}$ or together $-\text{CH}=\text{CH}-\text{CH}=\text{CHR}_3$

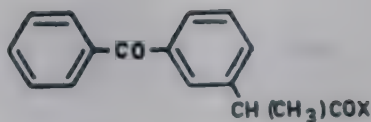
$\text{R}_3 = 1-4\text{C}$ alkyl, phenyl, mono or disubstituted with F, Cl or Br.

$\text{R}_4 = \text{trichloromethyl}$, methoxy substituted with 1-3 F, Cl, or Br.



P80F043. 2-Benzoyl phenyl substituted propionic acid amides—blood platelet aggregation inhibitors.

Lab Lafon L, Brit 1550-406, 15.8.79,
Belg 853-321.



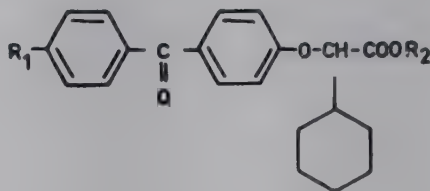
$X = \text{NHCH}_2\text{CH}_2\text{OH}$ or $\text{O-A-S}-(\text{CH}_2)_n\text{-S-A-OH}$

$n = 1-15$

$A = 2-6\text{C}$ hydrocarbyl optionally substituted by OH.

P80F044. 2-Benzoylphenoxy-2-cyclohexyl acetic acid derivatives—anti-hyperlipaemic agents.

Kaken Chem Ind, US 4153-724, 3.5.79,
Ger 2757-459, Jpn 156286, 27.12.76.

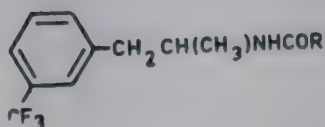


$R_1 = \text{H}$, halogen, lower alkyl or lower alkoxy

$R_2 = \text{lower alkyl or alkenyl}$.

P80F045. N-acyl norfenfluramine derivatives—for control of obesity and hypercholestrolaemia.

Robins AH Co Inc, Brit 1550-543, 15.8.79,
Ger 2704-744.

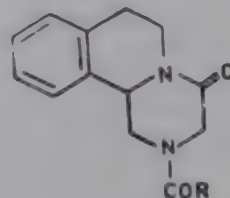


$R = \text{optionally substituted 12-22C alkyl}$.

G. INFECTIOUS DISEASES

**P80G053. 2-Acyl-4-oxohexahydro
pyrazinoisoquinolines—antihelmin-
tics.**

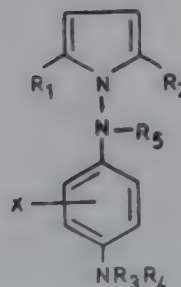
Merck Patent GmbH, USSR 631-070,
10.11.78 Belg 823-400.



R = 1-26 C alkyl, phenyl or substituted
phenyl.

**P80G054. 1-Arylamino pyrroles—
antibacterials, antitubercular, and
antiseptics.**

Sterling Drug Inc, US 4167-015, 31.5-79.



$R_1 \& R_2 = \text{H or lower alkyl}$

$R_3 = \text{H}$

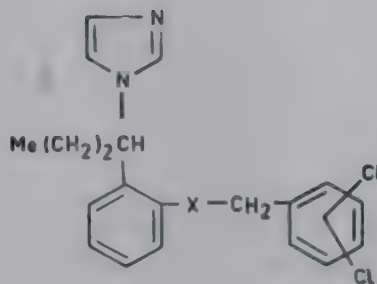
$R_4 = \text{pyrrole or } R_3 \text{ and } R_4 \text{ together with}$
N to which they are attached represent
nitroso

$R_5 = \text{lower alkyl or phenyl}$

X = represents 2H atoms.

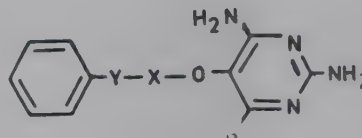
**P80G055. Dichlorobenzyl-2-(imido-
zoly butyl)-1-phenyl ethers and thio
ethers—active against dermatophytes,
yeasts, protozoa, bacteria and fungal
diseases.**

Schering AG, Ger 2418-502, 9.8.79, Belg
827-870.


$$X=O \text{ or } S.$$

P80G056. 2,4-Diaminopyrimidines—antibacterials and antimalarials.

Beecham Group Ltd., Neth 7801-001,
31.7.79, Ger 2733-001.

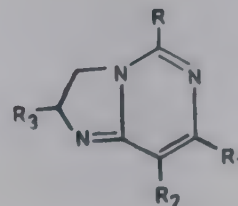

$$R = \text{H, CH}_3 \text{ or C}_2\text{H}_5$$

X=1-10 C alkylene

$$Y=O, S \text{ or a direct bond.}$$

P80G057. 2,3-Dihydroimidazo (1,2-c) pyrimidines—antivirals.

Eli Lilly & Co, US 4153-695, 8.5.79.



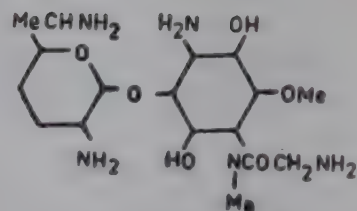
R=H, 1-5 C alkyl, aminophenyl

$$R_1 = \text{Cl}$$

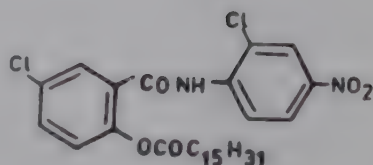
R_2 & $R_3 = H, CH_3$ or phenyl.

P80G058. Fortimycin A—antibacterial.

Kyowa Hakko Kogyo (ABBO), Ger 2435-160, 9.8.79, Belg 817-954.

**P80G059. 2-Palmitoyl-N-phenyl benzamide compounds—antihelmintics.**

Med Parasite Study, USSR-563-414, 28.9.77.

**P80G060. Production of ribostamycin-antibiotic.**

Shionogi K. K., Jpn. 4084-095, 4.7.79.

The process of production comprises culturing circulars B-15M-451 and removing ribostamycin from the obtained cultured mixture. Ribostamycin is aminoglycoside series of antibiotics which is produced by *Streptomyces ribosidificus*.

H. ANTINEOPLASTIC AGENTS

P80H043. Pharmaceutical composition containing protected fluorouracil derivatives and medium chain fattyacid triglycerides— antitumor.

Asano O, Jpn., 4084-036, 4.7.79.

5-Fluorouracil is metabolism antagonistic against neoplasm diseases but it yields various side reactions. The novel composition has strong antitumor reaction and little side reactions such as acute hinderance on gastrointestinal tract.

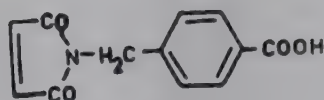
P80H046. Low-toxicity antitumor agents—containing vitamin A/acid tocopheryl esters.

Nisshin Flour Mill KK, Jpn 4084-035, 4.7.79.

Antitumor drug containing α -tocopherol vitamin-A acid esters as active component is new. The ester (tocopheryl retinoate) has antitumor activity and very low toxicity.

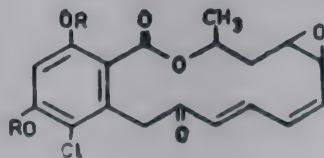
P80H044. 4-N-Maleyliminomethyl benzoic acid—anti-cancer.

Takatori Y, Jpn 9020-490, 23.7.79, Jpn 4009-266.



P80H045. Dialkoxy monordene derivatives—antitumors.

Grace W. R. Co, Neth 7900-817, 3.8.79, Belg 873-856.



R=2-8C alkyl.

P80H047. Immunotherapeutic agent for treating cancer.

Zaidan Hojin Gan (CANC) Jpn 4084-017,
4.7.79, Brit 2010-089.

Production of anticancer sensitized lymphocytes comprises: (1) culturing a cancer cell suspension from focus of progressive cancer patient, irradiation with 3000-5000 rads over cancer cells, removing culture liquor and separating cell pieces in cultured liquor (macrotizer), (2) adding macrophage cells to the supernatant liquor and removing cultured supernatant liquor and separating cell pieces in culture liquor (lymphotiser), (3) adding lymphocytes separated from peripheral blood of healthy human and suspending in the supernatant liquor to culture and suspending lymphocytes after culture in isotonic solution.

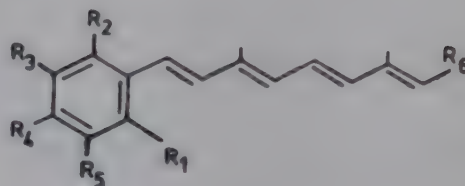
P80H048. Polyene compounds—antineoplastics.

Hoffmann La Roche AG, USSR 613-718,
3.6.78, Neth 7404-324.

R_1 & R_3 = lower alkyl

R_2, R_4 & R_5 = H, lower alkyl, lower alkoxy, etc.

R_6 = formyl, hydroxymethyl alkoxyethyl, etc.



J. MOLECULAR BIOLOGY

P80J011. Bacterial antigen production for use in medicine.

MOSC Vaccine Serum, USSR 631-157, 10.11.78.

Antigen with high specific immunological activity for use in medicine is obtained by combining bacterial polysaccharide with high molecular weight protein. The polysaccharide component and protein fraction ratio is 3.1-2. The resulting complex is heated for 20-24 hrs. at 35-40°C to give final product. The complex is used in serological reaction. It is very specific.

P80J013. Immunological determination of antibodies and antigens.

Technicon Instrumen, Brit 2013-688, 15.8.79, Belg 873-674.

The reagent used in immunological determination comprises a proteic antigen antibody or F(ab)₂ antibody fragments joined covalently to water substrate by a bridging group which is fixed directly to S atoms in the antigen antibody or antibody fragments.

P80J012. Isolation of immunostimulating agents from animal spleen cells.

Inst Pasteur, Ger 2262-427, 9.8.79, Neth 217-588.

Non specific stimulants are obtained from animal spleen cells, Ehrlich ascities cells or bacteria. Preparation of these immunostimulants comprises of dispersion of CHCl₃ and MeOH (1:1 by volume, removal of insoluble matter and evaporation at reduced pressure. The residue is dissolved in CHCl₃, the solution is filtered and optionally treated with a physiologically compatible carrier solution and all CHCl₃ vaporised.

P80J014. Immunological determination of antigens and haptens.

Technicon Instr Corp, Neth 7900-586, 3.7.79 Belg 877-673.

Immunological determination process comprises reaction of an antigen (or hapten) in a liquid with F (a b')₂ fragments of an immunoglobulin specific for that antigen and effecting the reaction in the absence of total immunoglobulin G or F (c) fragments.

Used in immunological determination of antigens and haptens in human serum.

K. PHARMACEUTICS

P80K007. Pharmaceutical composition containing liposomes consisting of sterols and aliphatic micellar lipid.

Sandoz A G, Neth 7900-545, 31.7.79,
Belg 873-715

Composition consisting of a pharmaceutical encapsulated in liposomes comprising a sterol and a micelle forming aliphatic lipid and water.

Specified are cholestrol, β -sitosterol, desmosterol, 7-keto-cholestrol, β -cholestanol and oestradiol are preferred Na or K soaps of 4-18C optionally unsaturated fatty acids.

They are used for transporting drugs such as insulin or ergot alkaloids. The liposomes may be administered parenterally or orally with conventional excipients and are more effective than those made using phospholipids.

L. NATURAL PRODUCTS

P80L007. Material prepared by extraction of plant mixture with hot ethanol followed by concentration—anti-inflammatory.

Lion Dentifric KK, Jpn 9020-596, 24.7.79.

Cimicifuga foetida, *C. dahurica*, *Sophora japonica* and *Plantueodon grandflorum* are subjected to extraction with 60-80% ethanol under heat followed by concentration to give anti-inflammatory agent.

P80L008. Pharmacologically active compounds from Labiaceae plants—hypotensives, positive conotropies, vasodilating and sedative activities.

Hoechst AG, Brit, 1550-410, 15.8.79, Belg 847-417.

A novel pharmacologically active substance is obtained from a plant of the Labiaceae family. Its formula is $C_{23}H_{34}O_7$ and it melts at 230-232°C. Specific rotation, $(\alpha)_{D}^{25} -20^{\circ}$ (C 1.7 $CHCl_3$).

M. GENERAL

P80M013. Glucose isomerase enzyme complex immobilised with anion exchange cellulose.

GB Fermentation Ind (Baxt) Ger, 2061-371, 9.8.79.

Immobilised glucose isomerase enzyme is prepared by reacting dextranase containing glucose isomerase from streptomyces phaeochromogenes with DEAE/TEAE/ECTEOLA cellulose at pH 7-10 in aqueous buffer.

The enzyme is used in the conversion of D-glucose to D-fructose. The enzyme has excellent heat resistance and can be used repeatedly.

P80M015. Relieving back pain by intervertebral disc injection of an aqueous cysteine solution containing no potentially allergic enzymes.

Baxter Travenol Lab, Brit 1550-706, 15.8.79, US 4039-682.

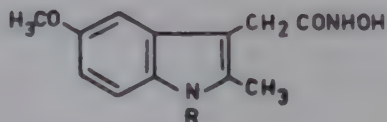
A formulation for injection into an intervertebral disc of the back for relief of pain and related symptoms comprises an aqueous solution containing cysteine and disodium edetate. The formulation is free from proteolytic enzymes and has a neutral pH (6-7).

P80M014. 2-Methyl-5-methoxy-3-indolyl acetohydroxamic acid.

Ist Biologico Chimi SPA, Ger 2008-332, 9.8.79, Neth 6810-284.

R = H, benzyl, allyl or p-chlorobenzyl.

The compounds have antiphlogistic, antipyretic and analgesic activities.



N. NEW TECHNIQUES

P80N019. Industrial fermentative amino acids preparation from cheap carbon sources using propionic acid or propionate and corynebacterium.

Ajinomoto KK, Jpn 9020-600, 24.7.79, Jpn 52001-091.

The method involves culturing of corynebacterium to produce amino acids such as alanine, arginine etc. Propionic acid or propionate is used as a major carbon source for the culture medium. The culturing is generally effected at 24-37°C for 2-5 days at pH 5-9.

Propionic acid and propionate are petrochemical products which previously had no worth while use.

P80N020. Diagnosis of myasthenia gravis.

Salk Inst, USRE30-059, 31.7.79, US 4033-722.

A biochemical assay system for diagnosis of myasthenia gravis comprises a complex of acetylcholine receptor protein derived from mammalian muscle with toxin labelled with a radioactive isotope pref. ^{125}I . The toxin is derived from a cobra or sea snake—especially from *Naja naja siamensis* or *Bungarus multicinctus*. In the diagnostic test, the complex is incubated with a serum sample from a patient so as to join antibodies engendered by myasthenia gravis to receptor sites in the complex, the antibody complex is precipitated with anti-immunoglobulin and the radioactivity of the precipitate is measured.

P80N021. Glutathione containing liquor production.

Indemitsu Industries KK, Jpn 4084-093, 4.7.79, Ger 2850-467.

Process comprises adding liquor containing ≥ 1 aliphatic carboxylic acid to yeast fungi body and extracting resultant mixture at $\geq 40^\circ\text{C}$. Preferential acids used are formic, acetic, propionic, butric, valeric, oxalic etc. Preferential acid concentration of the mixture after addition of liquid to yeast fungi body is 2wt per cent water content is 5wt per cent and pH is ≤ 2 . When the (hydroxy) carboxylic acid used is solid at ordinary temperature, it is used as mixture with water or organic solvent.

P80N022. Interferon production using established human cells.

Hayashibara K, Ger 2902-136, 9.8.79, Belg 873-594.

Production of interferon from established human cells comprises transplanting these cells in order to allow their multiplication in the body of a warm blooded animal or innoculating them into a diffusion chamber placed in the animal so that they are fed by the nutritive body fluids. The multiplied cells *in vitro* or *in vivo* are subjected to the action of interferon inductor and then interferon is recovered.

**P80N023. Phloroglucinol preparation
—from symmetrical triacetyl
benzene.**

AKZO NV, Ger 2621-431, 9.7.79.

Preparation of phloroglucinol comprises condensation of 1,3,5 triacetyl benzene with hydroxylamine hydrochloride in the presence of alkali or alkaline earth metal hydroxide and ethylene glycol, diethylene glycol, propanediol butanediol or glycerol at 70-170°. The tris-oxime is treated with CF_3COOH at 80-150° under pressure, followed by hydrolysis with aqueous mineral acid at 100-200°.

P80N024. Separation of physiologically active substance from mammal pancreas extract.

Amano Pharm KK, Jpn 4081-087, 4.7.79.

Separating out physiologically active substances from mammalian pancreas comprises contacting mammal pancreas extract with methacrylic acid based porous type cation exchange resins to allow the physiologically active substance in extract to be adsorbed and then separating out the active substance from the resin.

INFORMATION ABOUT INDIAN PATENTS

(Source: Gazette of India, Part-III—Section-2, February 23, April 5, 12, 19 and 26, May 3 and 10, 1980)

A. APPLICATION FOR PATENTS FILED

Jan. 24, 1980.

50/Del/80, Pfizer Inc.

A process for preparing antiviral amine and amidine derivatives of glycerols and propanediols.

Feb. 2, 1980.

72/Cal/80, Aktiengesellschaft.

Process for the preparation of 4,5-dinitro-1, 8-dihydroxy anthraquinone.

Feb. 11, 1980.

95/Del/80, Pfizer Inc.

6- β -Substituted penicillanic acids as β -lactamase inhibitors.

Feb. 18, 1980.

116/Del/80, Biogen M. V.

Recombinant DNA molecules and their use in producing human interferon like polypeptides.

Feb. 23, 1980.

63/Cal/80, K. Hayashibara and S. Ashida.

Type-II interferon and agents thereof.

Feb. 25, 1980,

130/Del/80, Imperial Chemical Industries Limited.

Process for the manufacture of guanidine derivatives.

Feb. 27, 1980.

144/Del/80. Council of Scientific and Industrial Research.

Process for the production of 17- α -methyl-3- β -pyrrolidino-17- α -Aza-D homo-5 α -androstane dimethiodide.

Feb. 29, 1980.

239/Cal/80, Anic SPA.

Process for preparing 2-methyl-2-cyanomethyl isopropyl-tetrahydrofuran.

March 6, 1980.

263/Cal/80, The Upjohn Company.

Controlled drug delivery device.

March 25, 1980.

116/Cal/80, Biogen M. V.,

Encapsulation by interfacial polycondensation.

B. COMPLETE SPECIFICATIONS ACCEPTED

1. A process for preparing histamine H₂-antagonists.

Applicant: Smith Kline and French Laboratories Ltd., Welwgl Garden City, Hertfortshire, England.

Application No.; 201/Del/78, Filed, March 16, 1980.

2. A method for the preparation of antihemolytic serum.

Applicant: Institut Zoologii I Parazitologii Akademii Nauk Litovskoi SSR, of Vinjus, Ulitsa K., Pozhelos, 54, USSR.

3. Process for preparing-3-methyl-2-cyanomethyl-5-isopropyl tetrahydrofuran.

Applicant: Anic SPA, VIA M. Stablie, 216, Palmermo, Italy.

Application No.: 101/Cal/78, filed January 27, 1978.

4. Process for the preparation of aziridine derivatives.

Applicant: Boehringer Mannheim GmPh, Mannheim-Waldhof, Federal Republic of Germany.

Application No.: 231/Cal/78, filed on March 3, 1978.

5. A process for the preparation of 17A-(2-acetoxymethyl)-17A aza-D-homoandroster-5-enyl acetate methiodide.

Applicant: Council of Scientific and Industrial Research, Rafi Marg, New Delhi-1, India.

Application No.: 62/Del/78, filed January 21, 1978.

6. Process for the production of pharmacologically active new nitroimidazoles.

Applicant: Ciba Geigy of India Limited, Aarey Road, Goregaon East, Bombay 400063.

Application No.: 122/Bom/77, filed March 25, 1977.

7. Process for making a spermatozoa controlling pill.

Applicant: Pritamlal Rajah, 322, Uprenganj, Divitpura, Jabalpur-2.

Application No.: 78/Bom/1978, filed March 16, 1978.

8. A method for preparing a non-irritating compositions for the prophylactic treatment of mastitis.

Applicant: Minneota Mining and Manufacturing Company 3M center, Saint Paul, Minnesota 55101, USA.

Application No.: 29/Cal/78, filed January 9, 1978.

A. ENDOCRINE SYSTEM

P80A019. 2-Cyano-4, 5-epoxy steroid derivatives—useful for inducing abortion.

Sterling Drug Inc, Belg 872-886, 20 6.79.

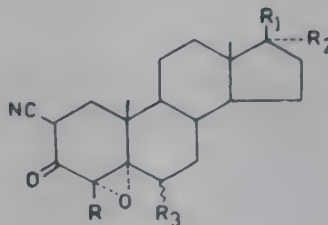
$R = H$ or CH_3

$R_1 = OH$ or lower alkanoyloxy

$R_2 = H$, lower alkyl or alkynyl or

$R_1 + R_2 = \text{oxo}$ or ethylenedioxy

$R_3 = H$ or CH_3 .



P80A020. 13- β Ethyl-17 α -methyl-18,19-dinorpregna-4,9-diene-3,20-dione—antiandrogenic.

Roussel Uclaf, Ger 2109-853, 21.6.79,
Belg 763-660

The preparation of the compound comprises oxidation of corresponding 20- β -ol using $[CrO_3]$ in Me_2CO .

P80A021. 2,3-Isoxazol-4,5-epoxy steroids—useful for inducing abortion.

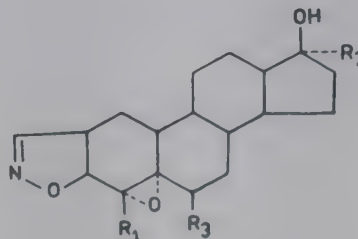
Sterling Drug Inc, Belg 872-886, 20.6.79.

$R_1 = H$ or CH_3

$R_2 = H$, lower alkyl, alkenyl alkynyl or

$R_1 + R_2 = \text{oxo}$ or ethylenedioxy

$R_3 = H$ or CH_3 .



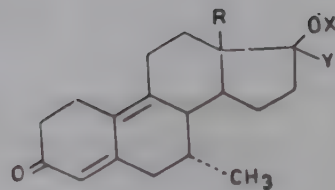
P80A022. 3-oxo-7- α -methylgona-4,9-dienes—androgenic and anabolic agents.

Roussel Uclaf, Neth 160-568, 15.6.79,
Belg 690-368.

X=H, organic carboxylic acid residue,
substituted carbonic acid residue,
etc.

Y=H or optionally substituted and
saturated hydrocarbyl

R=2-4 C alkyl.



B. NERVOUS SYSTEM

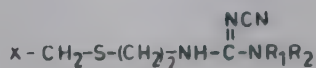
P80B210. Acylaminoalkyl and acyloxyalkyl-N-cyano guanidine derivatives—histamine H_1 receptor blockers, antacids and anti-inflammatory agents.

Deutsche Gold and Silber, Ger 2805-827, 16.8.79.

X = 5-6 membered heterocyclic ring linked via a C atom containing 1-3 N atoms or a O or S atom.

R_1 = H or 1-4 C alkyl

R_2 = 2-6 C alkanoyloxy, 2-6 C alkyl, 2-6 C alkanoylamino.



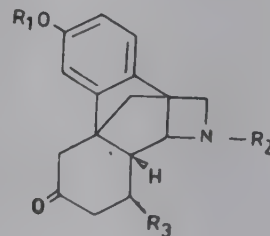
P80B211. 8-Alkyl-17-cycloalkyl methyl morphin-6-one derivatives—analgesics and narcotic antagonists.

Miles Laboratories Inc, Ger 2835-433, 16.8.79.

R_1 = H or Me

R_2 = cyclobutylmethyl, or cyclopropyl methyl

R_3 = methyl, ethyl or n-propyl.



P80B212. N-Amino cyclopentyl anilide derivatives—antidepressants.

Upjohn and Co, Ger 2817-112, 16.8.79, Belg 867-554.

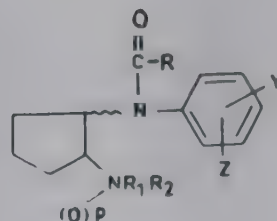
P = 0 or 1

Q = oxygen or sulphur

R = 1-3 C alkyl

$R_1 = R_2$ = H or 1-3 C alkyl

Y = Z = H, F, Cl, Br, CF_3 , 1-2 C alkyl, 1-2 C alkoxy, etc.



P80B213. 7-Aminoalkoxy-3-phenyl-2,2-dimethyl chroman derivatives—antidepressants and appetite suppressants.

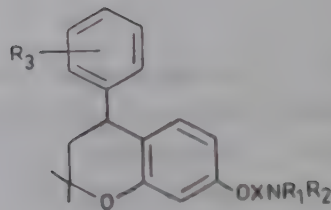
Beecham Group Ltd., Fr 2408-690, 13.7.79, Ger 2844-338.

$R_1 = \text{H}$ or 1-4 C alkyl

$R_2 = 1-4$ C alkyl or $R_1 + R_2$ is 5 to 6 membered ring

$R_3 = \text{H}, \text{F}, \text{Cl}, \text{Br}, \text{CH}_3, \text{OCH}_3$ or CF_3

$X = 2-4$ C alkylene.



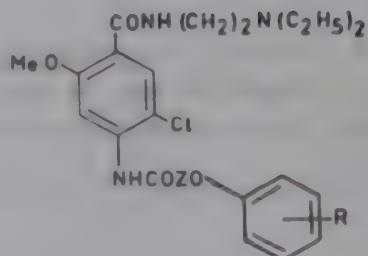
P80B214. 4-(N-Acylamino)benzamides—anti-inflammatories and muscle relaxants.

Merckle Chem Pha KG, Ger 2623-228, 21.6.79, Belg 21.6.79.

$R = \text{H}$, halogen, CF_3 , 1-5 C alkyl

$Z = 1-5$ C alkylene chain.

The Compounds have got antiallergic action also.



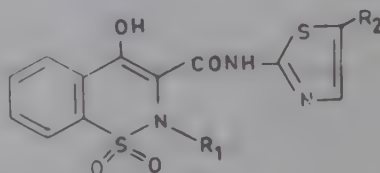
P80B215. Benzothiazine derivatives—anti-inflammatories.

Thomaek GmbH, Ger 2756-113, 21.6.79.

$R_1 = \text{H}, \text{Me}$ or Et

$R_2 = \text{Me}, \text{Et}$ or n -propyl

The compounds have very low ulcerogenic activity.

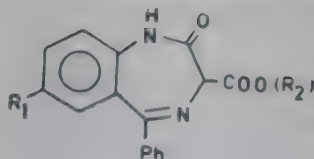


P80B216. Benzodiazepine derivatives—psycholeptics and muscle relaxants.

CM Industries SA, Ger 1518-764, 21.6.79,
Neth 6507-637.

$R_1 = \text{Cl or NO}_2$

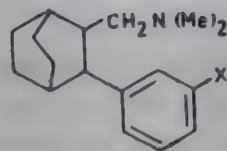
$R_2 = \text{Me, Et or cation}$



P80B217. Bicyclo [2,2,2] octyl methylamine compounds—antidepressants.

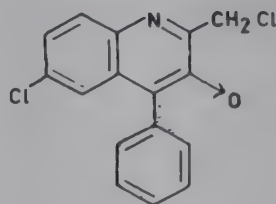
Lilly Ind Ltd, Brit 1551-035, 22.8.79,
Belg 844-429.

$X = \text{halogen.}$



P80B218. 6-Chloro-2-chloromethyl-4-phenyl quinazoline-3-oxide—psychotherapeutic agent.

Pfizer Inc, Neth 160-554, 15.6.79, US
3932-325.



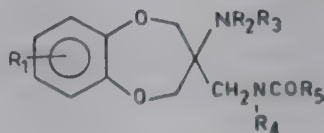
P80B219. 3,4-Dihydro 2H-1,5-benzodioxepin derivatives—analgesics, sedatives and antiarrhythmics.

$R_1 = \text{H, halogen, amino, nitro, lower alkyl or alkoxy}$

$R_2 = R_3 = \text{H, lower alkyl lower alkanoyl}$
or NR_2R_3 may form a heterocyclic ring

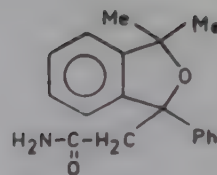
$R_4 = \text{H, lower alkyl, lower alkenyl, etc.}$

$R_5 = \text{optionally substituted aromatic hetero ring.}$



P80B220. 3,3-Dimethyl-1-phenyl phthalane-1-acetamide—spasmolytics and anticonvulsants

Roussel Uclaf, Ger 2048-547, 21.6.79,
Belg 757-085.

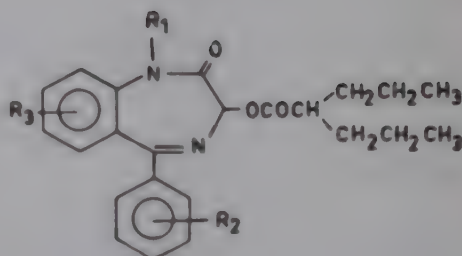


P80B221. 3-Dipropyl acetoxy benzodiazepine derivatives—spasmolytics and anticonvulsants.

Gerot Pharmazeutika, Ger 252-606,
21.6.79. Belg 872-597.

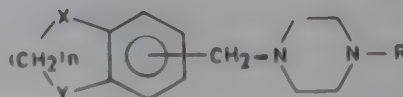
$R_1 = H$, lower alkyl, lower alkoxy,
dialkyl amino, etc.

$R_2 = R_3 = H$, halogen, CF_3 , or NO_2 .



P80B222. Di-substituted piperazine derivatives—dopaminergic antagonists, effective against parkinsons disease and CNS disorders.

Science Union & Cie, Fr 2408-605,
13.7.79, Ger 2848-139.



$X = O$, S or SO_2

$Y = S$ or SO_2

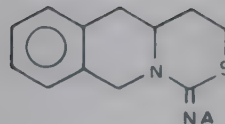
$n = 1$ or 2

$R =$ optionally substituted 5 or 6 membered heterocyclic ring with 1-3 N or S.

P80B223. 4-Imino thiazino isoquinoline derivatives—anti-inflammatories, analgesics & antipyretics.

Rhone Poulenc Industries, Fr 2408-615, 13.7.79, Belg 871-890.

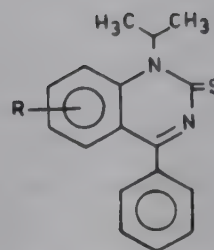
A=3-pyridyl, 3-isoquinolyl or 3-alkyl isoquinolyl.



P80B224. 1-Isopropyl-4-phenyl-2 (1H) quinazolinethiones—anti-inflammatories.

Sandoz Patent GmbH, Ger 1932-401, 16.7.79, Fr 2012-060.

R=H or methyl.



P80B225. 16- α -Methyl-17 α -bromopregna-1,4-diene-21-ol-3,20 dione derivatives—anti-inflammatories.

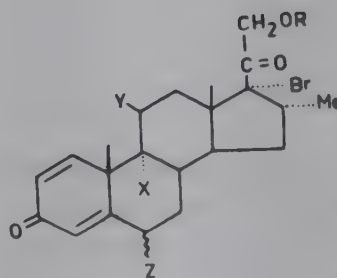
Lark SPA, Ger 2603-545, 16.8.79,

R=H or acyl

X=H, Br or F

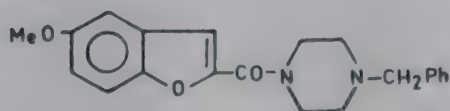
Y=H, oxo or CHOH

Z=H or F.



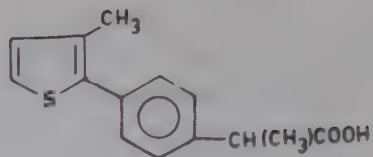
P80B226. 1-(5-Methoxycoumaroyl)-4-benzyl piperazine—antidepressant.

Hoechst AG, Belg 873-054, 22.6.79.



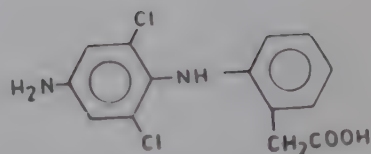
180B227. 4-(3-Methyl-thienyl) phenyl propionic acid—analgesics and anti-inflammatories.

Toyama Chemical KK, Brit 2010-254,
27.6.79, Ger 2850-485.



P80B228. 2-(4-Amino-2,6-dichloroanilino) phenylacetic acid—antipyretic and anti-inflammatory.

Nissan Chem Ind KK, Jpn 4063-042,
21.5.79.

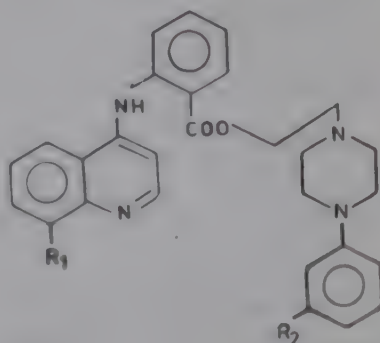


P80B229. 2-(4-Phenyl piperazino) ethyl 2-(8-substituted quinolinyl)-4-amino benzoates—analgesics and anti-inflammatories.

Synthelabo, Ger 2462-460, 21.6.79, Belg
812-848.

$R_1 = CF_3$ or SCF_3 ,

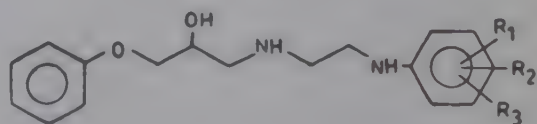
$R_2 = H, Cl, CF_3$ or SCF_3 .



P80B230. 3-Phenylamino ethylamino-2-hydroxy-1-phenoxypropane derivatives—sympathomimetics with selective adrenergic— β -receptor inhibitors.

Veb Arzermittel Dresden, Brit 2010-261,
27.6.79, Belg 872-342.

R_1, R_2 and $R_3 =$ independently H, halo,
1-2C alkyl, methoxy,
nitro, amino acylamino,
etc.,

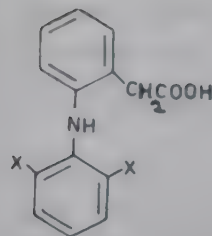


(Patent included in P80C119 page 269).

P80B231. Anilino phenylacetic acids—anti-inflammatories, analgesics and antipyretics.

Daito Koeki KK, Jpn 4061-143, 17.5.79.

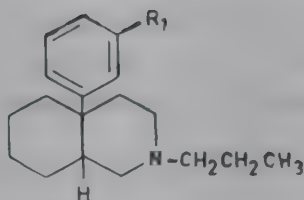
X=halogen.



P80B232. 2-Propyl-4a-substituted phenyl perhydroisoquinolines—analgesics.

Eli Lilly & Co, USRE 622-400, 22.8.70,
Neth 7508-141.

R₁=1-3 C alkoxy, OH or 2-4C
alkanoyloxy.

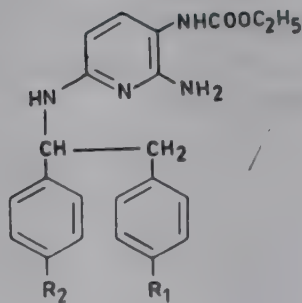


P80B233. 2-(Substituted benzylamino) pyridine derivatives—antiphlogistics and analgesics.

Deutsche Gold & Silber, Ger 1770-892,
21.6.79.

R₁=Me or H

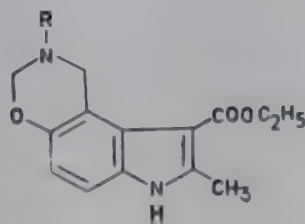
R₂=H, halogen, Me or OMe.



P80B234. Substituted 1,3-oxazino (5,6-e) indoles—analgesics and anti-inflammatories.

Delande SA, Neth 160-565, 15.6.79, Belg
784-197.

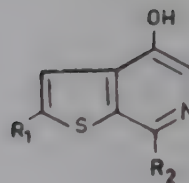
R=optionally substituted 2-3C alkyl.



P80B235. Thienopyridine derivatives—anti-inflammatories.

Parcor, Brit 2010-249, 27.6.79, Belg 872-836.

$R_1=R_2=H$, lower alkyl or phenyl
(optionally substituted by halo or lower alkyl).



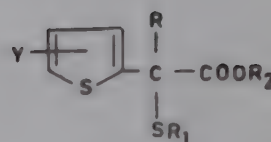
P80B236. α -Thio- α -(2-thienyl) carboxylic acid derivatives—anti-inflammatories and analgesics.

Sagami Chem Res Centre, Jpn 4061-169, 17.5.79.

$R \& R_1=H$ or alkyl

$R_1=$ alkyl or phenyl

$Y=H$ or acyl.

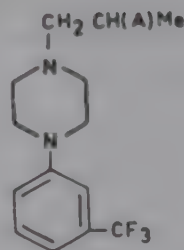


P80B237. 1-(3-Trifluoromethylphenyl) 4-propyl piperazine derivatives—analgesic, anti-inflammatory and anorexigenic agents.

Bouchara E, Ger 2348-973, 16.8.79, Belg 805-100.

$A=CH_2OR_1$

$R_1=H$, lower alkenyl or alkynyl,
phenylalkyl, nicotinyl, etc.



C. CARDIOVASCULAR SYSTEM

P80C105. Thiobutyramide compounds—antihypertensives.

Science Union & Cie, Belg 872-972,
21.6.79.

$R = H$, alkenyl or 1-10C acyl derivatives

$R_1 = OH$, alkoxy, optionally mono or disubstituted amino

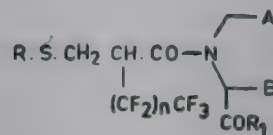
$A + B = (CH_2)_m CH_2$, $ZCHR_2R_3$
etc.

$m = 0, 1$ or 2

$n = 0$ or 1

R_2 & $R_3 =$ one is H other is alkyl

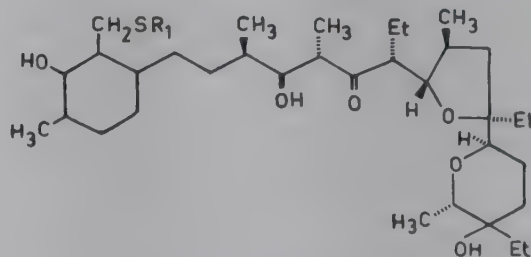
$Z = S$, SO or SO_2 .



P80C106. Thiomethyl-1-decarboxy lasaloside derivatives—antihypertensives and cardiovascular agents.

Hoffmann La Roche AG, Fr 2404-008,
25.5.79.

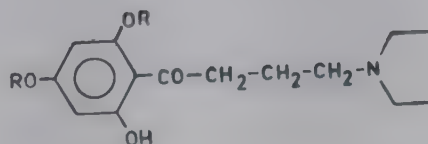
$R_1 =$ optionally substituted aryl,
heteroaryl, arylalkyl, cycloalkyl,
lower alkyl, etc.



P80C107. 2, 4, 6,—Trihydroxyphenyl-3-pyrrolidinopropyl-ketone derivatives—vasodilators.

Lab Lafon L, Fr 2404-003, 25.5.79, Belg
870-717.

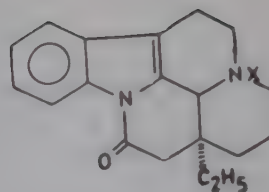
$R = H$ or methyl.



P80C108. Vincamone salts—with lasting cardiovascular activity.

Corvi Mora E, Ger 2905-329, 16.8.79,
Belg 874-154.

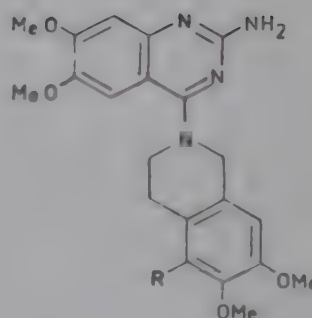
X=residue of glucose-1 phosphate acid,
2, 4-dihydroxy benzoic acid, acetyl
salicylic acid, etc.



P80C109. 2-Amino-6, 7-dimethoxy-4-(6, 7-dimethoxy-1,2,3,4-tetrahydrois-quinolin-2-yl) quinazolines—cardio-vascular regulators and antihyper-tensives.

Pfizer Inc, Ger 2366-105, 21.6.79, Belg
804-558.

R=H or OMe.



P80C110. 1-Aryloxy-3-alkylamino-2-propanols— β adrenergic blocking agents.

Merck AG, Ger 2805-404, 16.8.79.

Ar=phenyl, mono or polysubstituted
by halogen 1-7C acylamino 1-4C
alkanoyl amino, etc.

R =2-10C nitroalkyl



These compounds are peripheral vaso-dilators, blood cholesterol and triglyceride level lowering agents.

P80C111. 1-Aryloxy-3-amino-2-propanol derivatives—cardioselective β -blockers.

Imperial Chemical Indust., Fr 2403-993, 25.5.79, Ger 2841-397.

$n = 2$ or 3

A = 2-6C alkylene

$A_1 = 1-6C$ alkylene

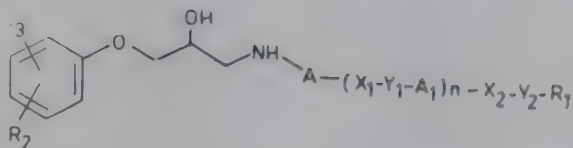
$R_1 = H$, 1-10C alkyl, halo alkyl, etc.

$R_2 = R_3 = H$, halogen, OH, NH_2 , NO_2 , etc.

$X_1 = X_2 = NHCO, NHSO_2$ or $CONH$

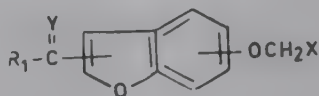
$Y_1 =$ direct bond or NH , but not a direct bond when X_1 is $CONH$

$Y_2 =$ direct bond, 1-6C alkylene, oxyalkylene or alkyleneoxy group.



P80C112. Benzofuran derivatives— β -adrenergic blockers and local anaesthetics.

Kaken Yaku Kako, Ger 2223-184, 16.8.79, Belg 783-440.



$X = CH(OH)CH_2NHR$

$Y = O$ or NR

$R = 3-4C$ branched alkyl

$R_1 = 1-4C$ alkyl or 3-4C alkoxy.

P80C113. Compositions containing dihydroergocristine, papaverine and aescine—for treating cerebral and peripheralvascular disorders.

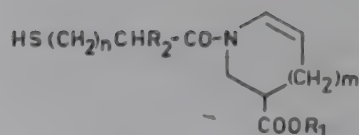
Cherqui JS, Fr 2408-350, 13.7.79.

Each unit dosage contains dihydroergocristine 0.5-2mg or its salts, papaverine or its salts 20-150mg and aescine. 5-30mg The compositions may be formulated for oral, parenteral, sublingual, precutaneous or rectal administration.

**P80C114. Dehydroproline and
pipecolic acid derivatives—hypoten-
sives.**

Squibber & Sons Inc, Ger 2904-823,
16.8.79, US 4129-566.

$R_1 = R_2 = H$, a cation or 1-7C alkyl
 $m = n = 0$ or 1.



**P80C115. Hepta and octapeptides—
antihypertensives.**

Norwich Pharmacal Co, Jpn 9014-103,
5.6.79, Belg 767-784.

$R = H$, succinyl, succinamyl, Asp, Ser,
Pro, Gly, Asn or D-Asn

$R_1 = \text{Ala, Leu, D-Leu, Gly, Ileu or}$
 $\beta\text{-Ala}$

$R_2 = \text{Val, Ileu or Ala.}$

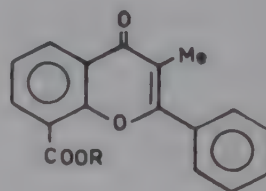


**P80C116. 3-Methylflavone-8-carboxy-
lic acid esters—diuretics.**

Nippon Shinyaku KK, Jpn 9014-104,
5 6.79, Jpn 49080-035.

$R = (CH_2)_2NR_1$

$R_1 = \text{Me, Et, Pr, CHMe}_2$ or together
with N forms morpholino, piperi-
dino, etc.



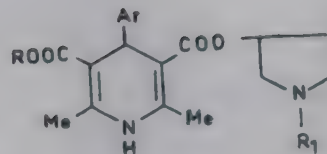
P80C117. 4-Nitrophenyl-1,4 dihydro-pyridine 3, 5-dicarboxylate esters—hypotensives and vasodilators.

Yamanouchi Pharm KK, Ger 2904-55, 16.8.79.

Ar = nitrophenyl

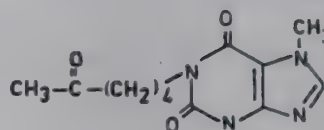
R = lower alkyl

R₁ = H, lower alkyl or arylalkyl.



P80C118. 1-(5-Oxohexyl) theobromine—vasodilator.

Asahi Chemical Ind, KK, Jpn 4061-192, 17.5.79.



P80C119 3-Phenylamino ethylamino-2-hydroxy-1-phenoxy propane derivatives—sympathomimetics with selective adrenergic β -receptor inhibitors.

Veb Arzneimittel Dresden, Brit 2010-261, 27.6.79, Belg 872-342.

(For details refer to patent P80B230, page 262)

P80C120. Phenyl ethanolamine derivatives—antihypertensives.

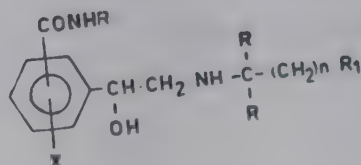
Yamanouchi Pharm KK, Jpn 4061-139, 17.5.79.

X = lower alkyl, lower alkylthio, H or halogen

R = H or lower alkyl

R₁ = aryl, benzodioxane rings, aryloxy or arylthio

n = 0-3.

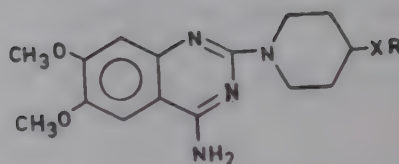


P80C121. 2-Piperidino-4-aminoquinazoline derivatives—antihypertensives.

Synthelabo, Fr 2408-603, 13.7.79.

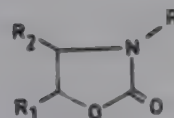
$X = CH_2$, $CHOH$ or CO

$R = \text{furyl}$ or tetrahydrofuryl .



P80C122. 5-Substituted-3-alkyloxazolidone derivatives— β -adrenolytics and vasodilators.

Menarini A SAS, Fr 2404-001, 25.5.79,
Belg 871-675.



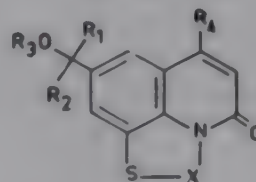
$R = \text{isopropyl}$, $n\text{-octyl}$ or isobutyl

$R_1 = 1\text{-naphthyloxymethyl}$, $2\text{-alkyl-phenoxymethyl}$

$R_2 = H$ or OCH_3 .

P80C123. 1,4-Thiazino and thiazepino (2,3,4-i,j) quinolone derivatives—antihypertensives.

Du Pont De Memours Co, Belg 872-955,
21.6.79



$R_1 = CF_3$ or CHF_3

$R_2 = CF_3$, CF_2H or CF_2Cl

$R_3 = H$, 1-6C alkyl or acyl

$R_4 = \text{methyl}$ or ethyl

$X = CHR_5-CH_2-$ or $CHR_5-CH_2-CH_2-$

$R_5 = H$, methyl or ethyl .

D. RESPIRATORY SYSTEM

P80D050. Cycloalkeno-chromene derivatives—antiallergics and for treating asthma.

Miles Laboratories Inc, Belg 873-043, 22.6.79.

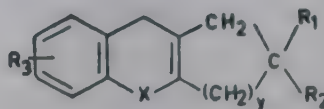
$X=O$ or SO_2

$R_1=H$ or alkyl

$R_2=H$, alkyl, aryl, $COOH$, alkoxy, aralkoxy, etc.

$R_3=COOH$, alkylthio, alkyl sulphonyl, alkyl sulphinyl, etc.

$y=1-4$.



P80D051. 3-Oxime derivatives of pregn-4-ene-3, 20-dione—antiallergics.

Roussel Uclaf, Fr 2408-622, 13.7.79, Belg 866-758.

$X_1=oxo$ or $CHOH$

$X_2=H$ or OH

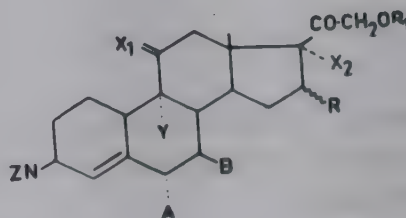
$Y=H$ or halo

$R=H$, OH or CH_3

$R_1=H$ or 1-18C acyl

$Z=OH$, 1-2C alkoxy or cycloalkoxy

A & B =both H or double bond or A is CH_3 , Cl or F and B is H .



**P80D052. 3-Substituted-4-oxo
pyrimido isoquinoline derivatives—
antiallergics.**

Bristol Mayer & Co, Fr 2404-010, 25.5.79,
US 4127-720.

A=tetrazol-5-yl or COOR₅

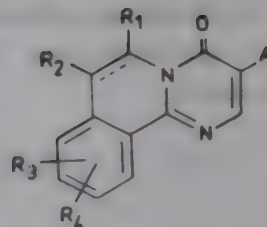
R₁=H, lower alkyl or phenyl or
alkynyl, etc.

R₂=lower alkyl, alkenyl or alkynyl, etc.

R₃=R₄=H, lower alkyl, OH, etc.

R₅=H lower alkyl, pivaloyloxy methyl

X & Y=1-6 C alkyl or together form a
heterocyclic ring.



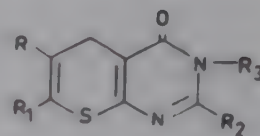
**P80D053. Thieno (2, 3-d) pyrimidine
—antiallergics.**

Bristol Myers Co, Jpn 4061-168, 17.5.79,
Belg 859-818.

R=R₁=each independently H, 1-8
C alkyl, lower alkenyl 1-6C,
alkoxy, hydroxy, nitro, NH₂,
etc.

R₄=COOR₃-CH₂OH, 5-tetrazolyl, etc.

R₃=H, 1-8Calkyl or inactive metal
cation.



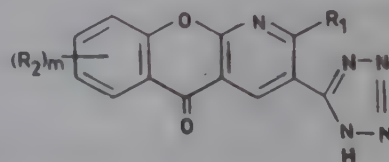
**P80D054. 3-(1H-Tetrazol-5-yl)-1-
azaxanthone derivatives—
antiallergics.**

Takeda Chemical Ind KK, Fr 2404-011,
25.5.79, Belg 870-736.

R₁=H, amino or hydroxy

R₂=alkyl, alkoxy, halo, nitro, etc.

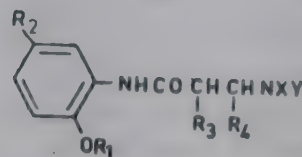
m=0, 1 or 2.



E. GASTROINTESTINAL DISORDERS

P80E023. N Acyl-aniline derivatives—gastric secretion inhibitors and stomach evacuation accelerators.

Science Union & Cie, Neth 160-486,
15.6.79, Ger 2605-824.



R_1 = 1-6C alkyl, 2-6 C alkenyl,
phenylalkyl or cycloalkyl

R_2 = CF_3 , $COCH_3$, etc.

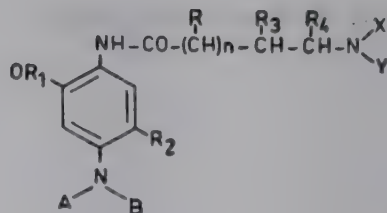
R_3 = H or 1-6C alkyl

R_4 = H or 1-6C alkyl

$X=Y$ = 1-6C alkyl or with N atom
forms a heterocyclic ring.

P80E024. Alkoxyanilides—gastric secretion inhibitors and antiemetics.

Science Union and Cie, Jpn 9014-095,
5.6.79, Ger 2511-802.



R = H or lower alkyl

R_1 = lower alkyl, alkenyl or phenyl
alkyl

R_2 = lower alkoxy, halogen, alkylthio,
CN or F_3O

R_3 = H, lower alkyl, etc.

R_4 = H, lower alkyl or forms 2-4C
alkylene chain interrupted by hetero
atom

X & Y = lower alkyl or form saturated
heterocyclic ring

A & B = together form an alkylene
chain of 2-6C
 $n=0-3$.

P80E025. Pepsin inhibition using sulphonated polystyrenes—for preventing gastric and duodenal ulcers.

Nat Starch & Chemical Corporation, Ger 2450-148, 16.8.79.

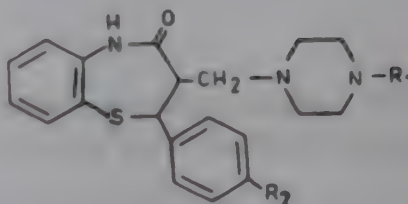
Process for inhibiting pepsin activity comprises treating aqueous pepsin solution at pH 5.0 with a water soluble sulfonated polymer of styrene or sulfonated styrene or sulfonated styrene copolymer with maleic acid, acrylic acid methylstyrene or methyl methacrylate having about 0.7-1.3 SO_3H group per styrene unit and $\text{Mr} > 600$.

P80E026. 3-Methylpiperazinyl derivatives—antiulcers, gastric secretion inhibitors and spasmolytics.

Maruko Seiyaku KK, Ger 2905-637, 16.8.79.

$\text{R}_1 = \text{H}$, halogen, alkyl or alkoxy

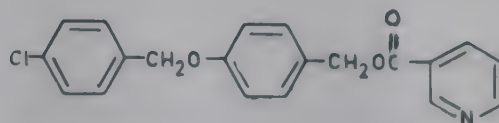
$\text{R}_2 = \text{H}$, alkyl or hydroxy alkyl.



F. METABOLIC AND DEGENERATIVE DISORDERS

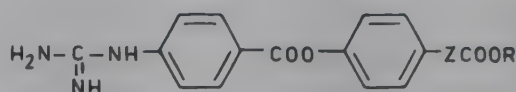
P80F046. 4-(4'-Chlorobenzyloxy)benzyl nicotinate—hypolipidaemic agent.

Kyorin Pharmaceutic Ltd, Brit 1550-866,
22.8.79, Belg 844-513.



P80F047. Guanidino benzoic acid esters—antiplasmin and antitrypsin agents.

Ono Pharmaceutical KK, Fr 2408-584,
13.7.79, Ger 1846-251.

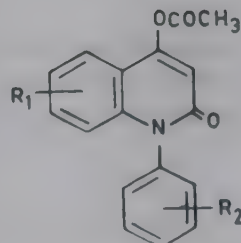


$Z = C_6H_5$

$R = H$ or lower alkyl.

P80F048. 1-Phenyl-4-acetoxy-dihydroquinoline-2-one derivatives—thrombocyte aggregation inhibitors, antiphlogistics and hypoglycaemics.

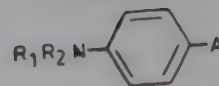
Merck Patent GmbH, Brit 1547-729,
Belg 860-707.



$R_1 = R_2 = H, F, Cl, Br, CF_3$ or CH_3O .

P80F049. 4-Substituted N-alkyl aniline derivatives—antiatherosclerotic agents.

American Cynamid Co, Fr 2408-561,
13.7.76, Belg 870-687.



R_1 = 8-19C alkyl

R_2 = H, Me, Et, CH_2COOH , COMe,
 COCF_3 , succinyl, etc.

A = widely defined set of groups.

P80F050. TAI-A and TAI-B-amylase inhibitors—used to control obesity and hyperglycaemia.

Taisho Pharmaceut KK, Ger 2903-710,
16.8.79, Belg 874-037.

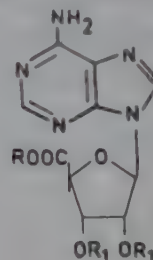
The inhibitors are prepared by fermentation of *Streptomyces calvus* TM-521, aerobically and inhibitors are isolated from the culture filtrate by absorption on charcoal. TAI-A and TAI-B are eluted from the charcoal with aqueous solution of acetone, methanol or ethanol, concentrated and separated chromatographically on exchange resin silica gel or cellulose derivatives. The inhibitors are amino sugars having no methyl group in the molecule.

P80F051. Compositions containing adenosine-5'-carboxylic acid esters—treatment of proliferative skin diseases.

Abbott Laboratories, Fr 2408-348,
13.7.79, Ger 2745-705.

R = 1-4C alkyl or 3-6C cycloalkyl

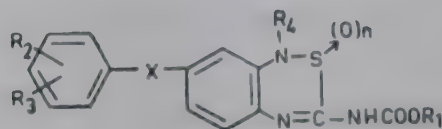
R_1 = H, acetyl or propionyl.



G. INFECTIOUS DISEASES

P80G061. Benzothiadiazine carbamate derivatives—anthelmintics.

Hoechst AG, Brit 1547-841, 27.6.79, Ger 2541-742.



$R_1 = 1-4\text{C alkyl}$

$R_2 = R_3 = \text{H, halogen, 1-4C alkoxy, 1-4C alkyl, etc.}$

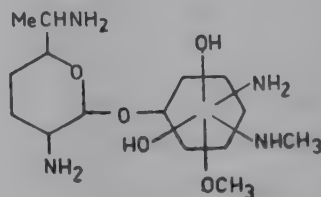
$R_4 = \text{H, saturated 2-4C alkyl or benzoyl}$
 $n = 0 \text{ or } 1$

$X = \text{OSO}_2 \text{ or } \text{SO}_2\text{O-O.}$

P80G062. Fortimycine B—antibiotics.

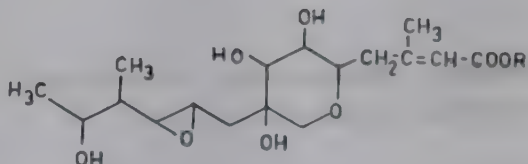
Kyowa Hakko Kogyo, Ger 2418-349, 16.8.79, Belg 813-772.

The antibiotic is obtained from cultures of micromonospora especially *M. olnoasterospora*.



P80G063. Monic acid compounds—antibacterials.

Beecham Group Ltd, Fr 2408-604, 13.7.79, Ger 2848-687.



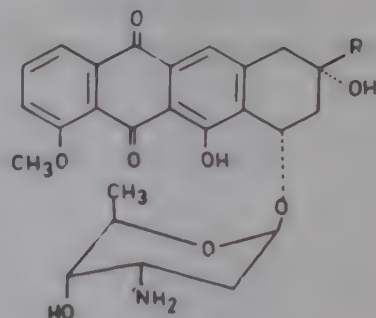
$R = \text{H, salt forming ion or a pharmacologically acceptable ester forming group.}$

H. ANTINEOPLASTIC AGENTS

**P80H049. Anthracycline glucosides—
antibacterials and antitumors.**

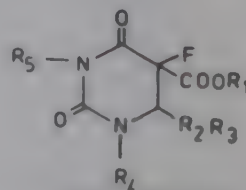
Farmitalia Eraba C, Ger 2904-186,
16.8.79.

$R = \text{COCH}_2\text{OH}, \text{CHOH.CH}_3, \text{COCH}_3,$
or C_2H_5 .



**P80H050. Fluorouracil derivatives—
used to inhibit propagation of tumor
cells in culture cell system.**

Takeda Chemical Inds KK, Jpn 4063-091,
21.5.79.



$R_1 = \text{lower alkyl}$

$R_2 = \text{O or S}$

$R_3 = 1-6\text{C alkyl}, 3-6\text{C cycloalkyl},$
phenyl or naphthyl

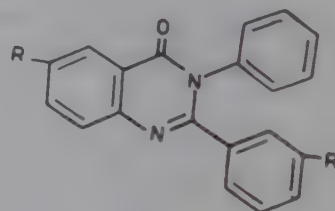
$R_4 = R_5 = \text{R}_6\text{CO or R}_6\text{CS}$

$R_6 = \text{optionally substituted 1-17C alkyl}$
or 3-6C cycloalkyl, thienyl, phenyl
etc.

**P80H051. Quinazalone diurethane—
cytostatic agents.**

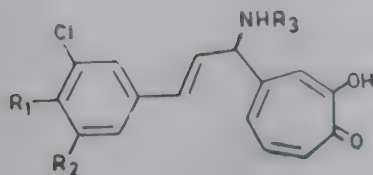
Bayer A. G., Ger 2050-092, 16.8.79,
Belg 773-818.

$R = \text{NHCOOCH}_3$.



P80H052. 4-[1-Substituted-3-(dichlorophenyl) prop-2-enyl] tropolone compounds—intermediates for anticancer agents.

Indemitsu Industries KK, Jpn 406-157, 17.5.79.



$R_1 R_2$ = one is Cl and other is H

R_3 = H or acetyl.

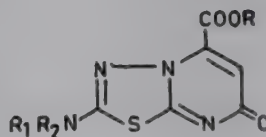
J. MOLECULAR BIOLOGY

P80J015. 2-Amino-7-oxo-1, 3, 4 thiadiazolo pyrimidine-5-carboxylic acid derivatives—immunostimulants.

Grunenthal GmbH, Ger 2755-615, 21.6.79.

R = H or 1-5C alkyl

$R_1 = R_2$ = 1-5C alkyl, or 5-7 membered heterocyclic ring, 1-3C alkyl etc.

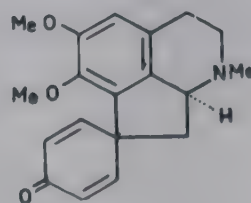


L. NATURAL PRODUCTS

P80L009. Alkaloid from *Ocotea* species.

Siphara SA, Ger 1695-781, 21.6.79, Belg 688-814.

Glaziovine and its nontoxic salts are used as the active component in psychotropic medicinal compositions.

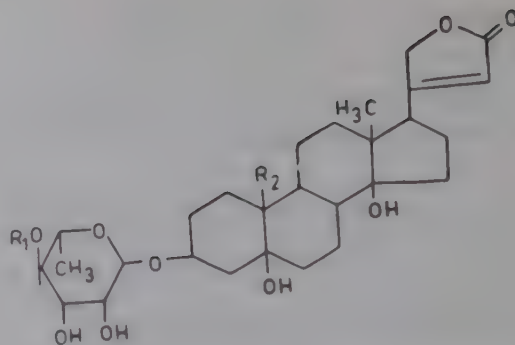


P80L010. Convallatoxin derivatives—oral cardiotonics.

Warner Lambert Co, Neth 160-569,
15.6.79, Neth 7116-195.

R_1 =lower alkyl or acyl

R_2 =formyl or optionally acylated
hydroxy methyl.



M. GENERAL

P80M016. Test reagent for uric acid determination.

Miles Laboratories Inc, Ger 2855-433,
16.8.79.

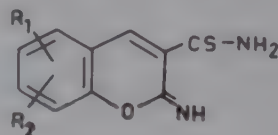
Test reagent comprises a uricase of animal origin, chromogen and a peroxidase. The improvement comprises using a uricase which is free of pH sensitive impurities with the mol. wt. of ≤ 6000 .

The reagent can be used in the diagnosis of uraemia, gout, leukemia, pulmonary inflammations, etc.

P80M017. 2-Imino-3-thiocarbamoyl chromene—pharmaceutical intermediates.

Godecke AG, Ger 2801-353, 16.8.79.

R_1 & R_2 =H, halogen, OH or 1-6C
alkoxy,



N. NEW TECHNIQUES

P80N025. Determination of antigens and antibodies by measuring percentage absorption.

Mitsubishi Chem Ind KK, Ger 2905-434, 16.8.79.

Process comprises: (A) contacting a liquid medium containing an antigen and/or antibody with the correspondence antibody or antigen immobilised in insoluble carrier particles having an average diameter of ≤ 1.6 (0.1-1.0 esp 0.2-0.87 μm ; (B) illuminating the resulting mixture with light having a wavelength of 0.6-2.4 μm ; and (C) measuring the percentage absorption of the mixture.

Process is more rapid than the conventional radioimmunoassay methods and has comparable sensitivity and accuracy.

P80N026. Morphine isolation from plant extracts by chromatography on functionally blocked ion exchanger.

Kutnowskie Zaklady, Ger 2905-468, 16.8.79.

Isolation of morphine from aqueous or alcoholic plant extracts is carried out by passing the extract over a prewashed ion exchanger.

P80N027. Mutant microorganism for selectively degrading steroids to androstane-3, 17-dione derivatives by aerobic fermentation.

Upjohn Co, Fr 2408-621, 13.7.79.

New mutants of the genera *Arthrobacter*, *Bacillus*, *Brevibacteria*, *Corynebacterium*, *Microbacterium*, *Micobacterium*, *Nocardia*, *Rotaminobacter*, *Serratia* & *Streptomyces* are able to selectively degrade steroids having a 2-10C alkyl side chain at the 7-position so as to accumulate androsta-1, 4-diene-3, 7'-dione and androsta. 4-ene-3, 17-dione in the fermentation medium.

These mutants are useful for producing androstane from sitosterol, cholesterol, stigmasterol and campesterol.

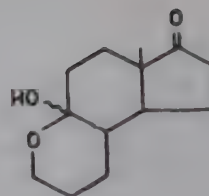
P80N028. Reagent for assaying cyclic nucleotides.

Yamasa Shoyu KK, Ger 2705-897, 21.6.79, Ger 2705-897.

Process for immunological determination of cyclic adenosine monophosphate and/or guanosine monophosphate in samples from living organisms comprises treatment with succinic anhydride in the presence of a tertiary amine followed by addition of an antigen antibody reagent specific for the cyclic nucleotide and radioactive isotopically labelled succinyl-adenosine or guanosine methyl ester and a suitable buffer. The radioactivity of antigen antibody complex is measured.

P80N029. Substituted methylhexahydro indanones preparation by culturing *Mycobacterium fortuitum* NRRL B-8128 in the presence of steroids.

Upjohn Co, Ger 2660-011, 16.8.79, Neth 7612-754.



P80N030. Trimethoprim production from diamino hydroxy pyrimidine.

Lentia Gmbh, Ger 2530-814, 16.8.79.

Preparation of 2, 4 diamino-5-(3, 4, 5-trimethoxy benzyl pyrimidine comprises condensation of 3, 4, 5 trimethoxy benzyl chloride (1 mole) with 2, 4 diamino-6-hydroxy pyrimidine (2.5-5 moles) in the presence of basic catalyst and Me_2SO as solvent at temperature between -10 to $+50^\circ$. The crystalline 5, 5-di (3, 4, 5 trimethoxy benzyl) 5, 6-dihydro-6-one derivative is removed and hydrogenated in the presence of Pd/C at elevated temperature and pressure to obtain the desired product.

P80N031. Urokinase production from a contiguous live kidney cell culture—whose nutrient contains glycine.

Abbott Laboratories, Jpn 9014-190, 5.6.79, US 3930-945.

Urokinase is produced from a contiguous culture of living kidney cells in an aqueous nutrient containing 0-3. 1.2 pts wt glycine per 100 pts vol nutrient in addition to the usual culture maintenance additives.

The urokinase is fibrinolytic enzyme used for human blood clot treatment. Inclusion of the glycine in the (kidney) cell culture increases the yield with no reduction in the qualities.

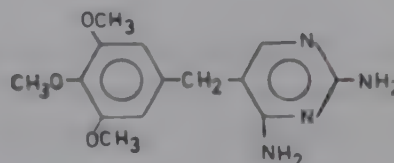
P. NEW REACTIONS

P80P004. Trimethoprim production From guanidine.

Gea AS, Neth 160,553, 15.6.79, Ger 2443-080.

The title compounds were prepared by condensing 3, 4, 5 trimethoxy benzaldehyde with malonitriles to give 3, 4, 5 trimethoxy malononitrile. This is hydrogenated and product condensed with guanidine in basic medium to yield the desired product.

The compound has antibacterial activity and synergises the activity of sulphonamides.



INFORMATION ABOUT INDIAN PATENTS

(Source: Gazette of India, Part III, Section-2, May 17, 24 and 31, June 7, 14, and 21, 1980)

A. APPLICATION FOR PATENTS FILED

13th March 1980.

185/Del/80, Pfizer Inc,
Oxytetracycline compositions.

22nd April 1980.

262/Cal/80, Ciba-Geigy A. G.,
Guanidine derivatives—process for
their preparation, pharmaceu-
tical preparations containing
such compounds and the use
thereof.

3rd May 1980.

510/Cal/80, Stamicarbon B. V.,
Method for the preparation of
melamine.

5th May 1980.

519/Cal/80, University of Minnesota,
Controlled protein fractionation.

520/Cal/80, The Dow Chemical
Company,
Electrolytic production of certain
trichloropicolenic acids and
3, 6-dichloropicolinic acid.

6th May 1980.

525/Cal/80, Mitrokemia Ipartelepek.
Process for the preparation of
N-phosphono methyl glycine.

526/Cal/80, Basf Aktienges-eleschaft.
trans-3-(4)-*ter*-tbutyl-cyclohexyl)-2-
methyl-1-(3'-methylpiperidino-3', 5'-
dimethylpiperidino and 2', 6'-dime-
thyl morpholino) propane, their
preparation in a pure form, anti-
mycotic agents containing these
compounds and their use.

18th March 1980.

205/Del/80, CM Industries,
5''-(2-hydroxy-3-thiopoxy)
chromone-2-carboxylic acid
derivatives-chemical process and
pharmaceutical compositions.

19th March 1980.

209/Del/80, Bayer Aktiengesell-schaft.
Microbial agents and its use.

7th April 1980.

253/Del/80, Siciete D' Etudes,
De Produits Chimiques prepara-
tion of hydroxy derivatives of
isopropylamino pyrimidine.

22nd April 1980.

108/Bom/80, Ciba Geigy India Ltd.,
Process for the manufacture of
novel guanidine derivatives.

25th April 1980

114/Bom/80, Hoechst Pharmaceu-
ticals Ltd.,

A process for the preparation of
novel pharmacologically active
substituted triazino (2, 1-a)
isoquinolin-4-ones.

B. COMPLETE SPECIFICATION
ACCEPTED

1. A method for preparing a synergistic fungicidal formulation.

Applicant: Lilly Industries Ltd., Henrietta Place, London.

Application No. 295/Cal/78, filed on March 20, 1978.

2. Bio-control calculator for indicating the safe period in a woman's monthly cycle.

Applicant: Adil Shahryar, R/O C391, Defence Colony, New Delhi 110024, India.

Application No., 12/Del/76, Filed October 26, 1976.

3. Process for the preparation of-1, 4-ethano-6-oxo-7-alkyl or -7-aryl perhydroquinoline.

Applicant : Mundipharma A. G., St. Albanvorstadt Postfach CH-4006, Basel, Switezerland.

Application No., 730/Cal/78 filed on July 1, 1978.

4. Process for the preparation of pyrrolidine derivatives.

Applicant: I. S. F. SPA of Via Leonardo da Vinci 1, 20090 T-Trezzano S/M. Milan, Italy.

Application No. 103/Del/78, filed on February 8, 1978.

5. Process for the preparation of substituted quinolizidine and indolized derivatives.

Applicant: Hokuriki Pharmaceutical Co. Ltd., Fukui, Japan.

Application No., 469/Cal/78, filed on April 29, 1978.

A. ENDOCRINE SYSTEM

P80A023. Obstetric composition— increasing uterus contraction.

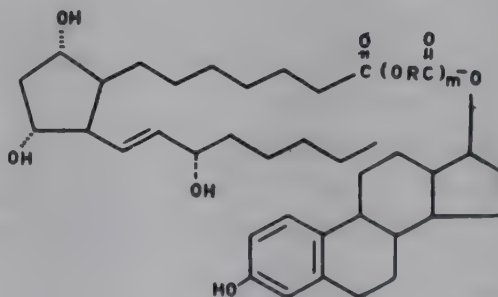
Obstetric Gynaecol, USSR 639-552,
30.12.78.

The composition contains the juice of *Petroselinum sativum* (70-85%), glycerol (15-30%), ascorbic acid (0.1-0.2%) and NaCl (0.8-0.9%) and stimulates the child delivery by enhancing the contraction of uterine muscles.

P80A024. Prostaglandin derivatives of steroid hormones—contraceptives, labour inducers and abortifacient agents.

Kureha Chem Ind KK, Jpn 4103-858,
15.8.79, Belg 873-38.

R = $-\text{CH}_2-$ or $-\text{CH}_2\text{CH}_2-$
m = 0 or 1.



B. NERVOUS SYSTEM

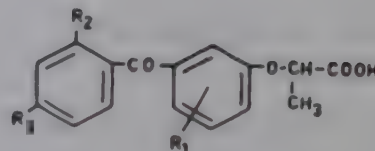
P80B238. *m*-Bonzoyl phenoxypropionic acid derivatives—analgesics.

Unicler SA, Brit 2016-460, 26.9.79.

$R_1 = \text{H or } \text{CH}_3$

$R_2 = \text{H, CH}_3 \text{ or Cl}$

$R_3 = \text{H, F, Cl, Br or CF}_3$.



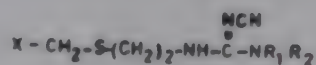
P80B239. Acylaminoalkyl and acyloxy-alkyl-N-cyano guanidine derivatives—histamine H_1 receptor blocking, antacid and anti-inflammatory agents.

Deutsche Gold & Silber, Ger 2805-827, 16.8.79.

X = 5-6 membered heterocyclic ring linked via a carbon atom containing 1-3N atoms or a N atom and O or S atom.

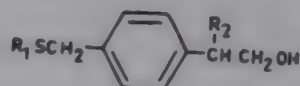
$R_1 = \text{H or 1-4C alkyl}$

$R_2 = \text{2-6C alkanoyloxy, 2-6C alkyl, 2-6C alkanoyl amino or 2-6C alkyl.}$



P80B240. *p*-Alkylthiomethyl phenylethyl alcohol derivatives—anti-inflammatories, analgesics, antithrombotics and anthrogenics.

Teijin KK, Jpn 4092-930, 23.7.79.



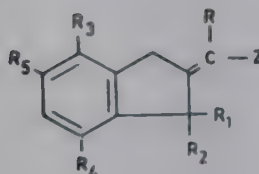
$R_1 = \text{lower alkyl}$

$R_2 = \text{H or lower alkyl.}$

**P80B241. 2-Aminomethylene
indanone derivatives—analgesics.**

Pfizer Inc, US 4164-514, 14.8.79, Belg
808-275.

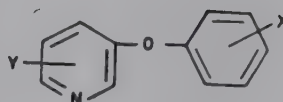
R = lower alkyl or phenyl
 R_1 & R_2 = H or lower alkyl
 R_3 , R_4 & R_5 = H or F
 $Z = NH(CH_2)_n NR_6R_7$
 R_6 & R_7 = each lower alkyl
 $n = 1, 2, 3, 4$ or 5



**P80B242. 3-Aryloxyamino pyridine
derivatives—activating cognition and
treating memory disorders.**

Warner Lambart Co, Belg 876-389,
17.9.79.

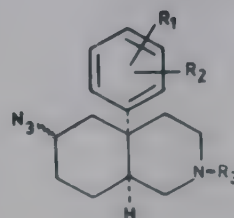
X = H, F or Cl
Y = 1-piperazinyl, 3-methyl-1-pipera-
zinyll, etc.



**P80B243. 6-Azido-4-α-phenyl
decahydro isoquinoline compounds—
analgesics.**

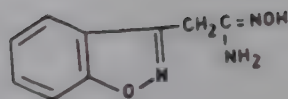
Sandoz Patent GmbH, Ger 2907-461,
20.9.79, Belg 874-704.

$R_1 = R_2$ = independently H, halo, 1-6C
alkyl, CF_3 or 1-4C alkoxy
 R_3 = H, methyl, furyl, benzyl, etc.



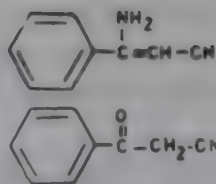
P80B244. 1, 2-Benzisoxazole-3-amidoxime — antidepressant.

Dainippon Pharm KK, Ger 2313-256,
20.9.79.



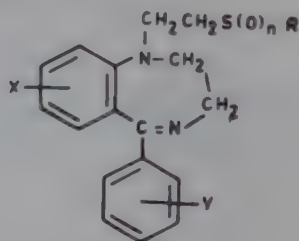
P80B245. Benzoyl and benzimidoyl acetonitrile derivatives — anti-inflammatories and antiarthritic agents.

American Cynamid Co, Ger 2807-144,
23.8.79.



P80B246. 2, 3-Dihydro-1H-1,4-benzodiazepine derivatives — sedatives, antispasmodics and muscle relaxants.

Sumitomo Chemical KK, Jpn 4090-188,
17.7.79.



R = lower alkyl

n = 1 or 2

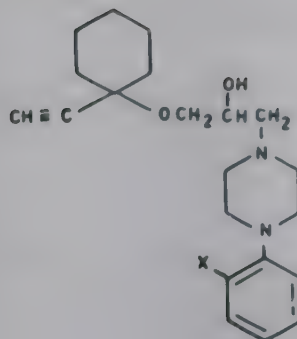
X = H, halogen or NO₂

Y = H or halogen.

P80B247. 1-[3-(Ethynyl) cyclohexyloxy-2-hydroxypropyl]-4-phenyl piperazine—psycholeptics, antitussive, antiemetics and hypotensives.

Cent Euro Rech Mauvernay, Ger 2366-224, 20.9.79, Belg 798-067.

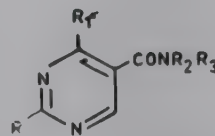
X=1-4C alkoxy.



P80B248. 2, 4-Disubstituted pyrimidine-5-carboxamide derivatives—neuroleptics.

Delalande SA, Ger 2906-461, 23.8.79.

R & R₁=NH₂ or OCH₃,
R₂ & R₃=azcridimyl.



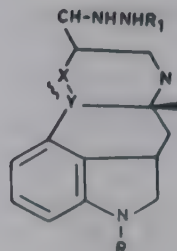
P80B249. 8-β-Hydrazinomethyl ergoline derivatives—serotonin receptor antagonists, antidepressants and hypotensives.

Richter Gedeon Vegy, Belg 874-932, 19.9.79.

X & Y = -CH₂ -CH or -CH=C-
R =H or CH₃

R₁=H, lower acyl, lower dialkyl, aminocarbonyl, etc.

(Patent included at P80C129 page 296).



P80B250. Indoline spiro piperidine derivatives—antidepressants and antihypertensives.

Sumitomo Chemical KK, Neth 7805-814,
15.8.79, Belg 867-517.

R_1 =H, 1-4C alkyl, optionally substituted phenyl, etc.

R_2 =H or together with R_1 form 1-4C alkylene

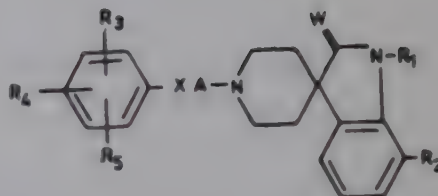
R_3, R_4 & R_5 =H, 1-4C alkyl, 1-4C alkoxy, etc.

W =O or H ,

A =1-4C alkylene

X =O, CO, single bond, $>CHOH$ or $-CH=CH-$

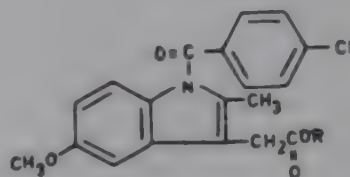
(Patent included at P80C130 page 296).



P80B251. Indomethacin derivatives—anti-inflammatory and analgesics.

Kanebo KK, Jpn 4090-174, 17.7.79.

R =lower alkyl, phenyl lower alkyl
carbonylmethyl.

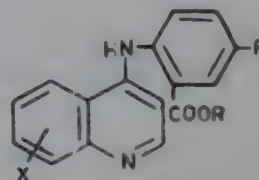


P80B252. 2-(4-Quinolylamino)-5-fluorobenzoic acid derivatives—analgesics.

Roussel Uclaf, Fr 2411-832, 17.8.79, Belg
872-773.

R =H or 1-8C alkyl

X =in the position 7 or 8 and is Cl or CF_3 .



P80B253. 4-Oxo-6, 7-dihydro-5H-pyrido [1, 2-a] pyrimidine derivatives—intermediates for anti-inflammatories, analgesics, tranquilisers, etc.

Chinoïn Gyogyszer, Ger 2852-940,
23.8.79, Belg 873-140.

R = H, 1-6C alkanoyl, benzoyl or heterocyclyl

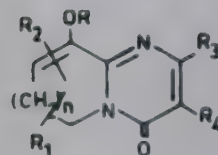
R₁ = H, 1-6C alkyl, 2-7C alkoxy carbonyl, etc.

R₂ = H or 1-6C alkyl

R₃ = H, halo, 1-6C alkyl, 6-10C aryl

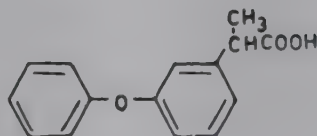
R₄ = H, 1-6C alkyl, 6-10 C aryl etc.

n = 0, 1 or 2.



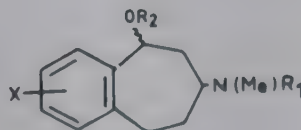
P80B254. α-[m-Phenoxyphenyl] propionic acid derivatives—antiphlogistic, analgesic and antipyretic agents.

Hamari Yakuhin Kogy KK, Jpn 4090-141, 17.7.79.



P80B255. 5-Phenoxy-7-amino-benzocycloheptane derivatives—serotonin antagonist and anorexigenic agents.

Roussel Uclaf, Fr 2409-256, 20.7.79.



R₁ = H or Me

R₂ = mono or disubstituted phenyl

X = H or halogen.

**P80B256. 3-Phenyl-3-phenoxy propylamine derivatives—
anorexigenic, antidepressant and
serotonin antagonists.**

Roussel Uclaf, Belg 874-416, 23.8.79.

X = H, F, Cl or Br

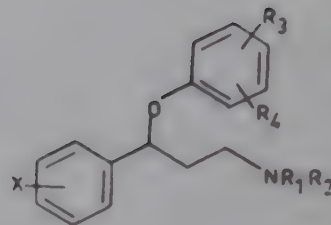
R₁ = H or 1-5C alkyl

R₂ = 1-5C alkyl

R₃ = H, Cl, Br, CF₃ or Me

R₄ = NO₂, NH₂ or acetamido.

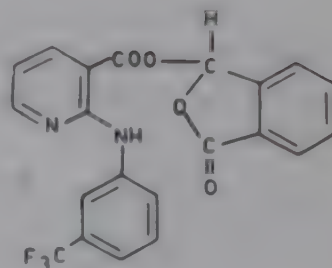
The compounds are useful for treating obesity and depression.



P80B257. Phthalidyl 2-(3'-trifluoromethyl anilino) pyridine-3-carboxylate—anti-inflammatory.

Bago S, US 4168-313, 18.9.79.

The title compounds have got no ulcerogenic effects.



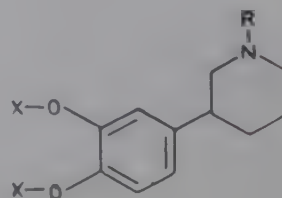
P80B258. 1-Substituted 3-(3, 4-dihydroxyphenyl) piperidine derivatives—dopaminergic and hypotensive agents.

Roussel Uclaf, USSR 633-474, 20.11.78,
Ger 2621-536.

X = H or acetyl

R = 1-5C alkyl, 2-5C alkenyl, phenylalkenyl, etc.

(Patent included at P80C133 page 297).



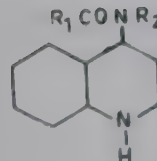
**P80B259. 4-Substituted amino—
decahydroquinolines—analgesics and
antihypertensives.**

Lab Labaz USSR, 633-476, 16.11.78,
Belg 849-431.

R_1 = 1-4C alkyl, 1-4C alkoxy, dialkyl
amino or a saturated heterocyclic
ring

R_2 = 1-4C alkyl, optionally substituted
naphthyl or phenyl, etc.

(Patent included at P80C134 page 297).



**P80B260. 5-Substituted naphthyl
acetic acid derivatives—anti-
inflammatories, analgesics and
antipyretics.**

Inst Resch Scientifique, Ger 2903-094,
23.8.79, Belg 873-890.

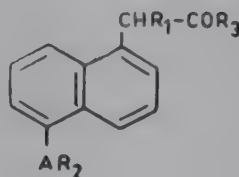
R_1 = H, 1-6C alkyl

R_2 = 1-12C alkyl or cycloalkyl

R_3 = H, 1-6C alkoxy or NR_4R_5

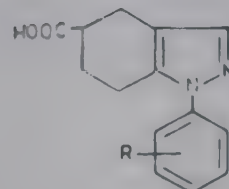
R_4 & R_5 = H, 1-6C alkyl or phenyl

A = Co or CH_2 .



**P80B261. Tetrahydroindazole
derivatives—anti-inflammatories.**

Kowa KK, Jpn 9026-538, 4.9.79.

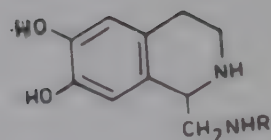


R = H, lower alkyl, halogen, haloalkyl,
alkoxy, etc.

P80B262. 1, 2, 3, 4-Tetrahydro-isoquinoline compounds—smooth muscle relaxants.

Fujisawa Pharma KK, Brit 1553-230,
26.9.79, Belg 844-472.

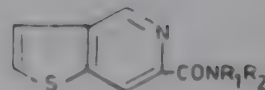
R=optionally saturated mono or
fused heterocyclic group.



P80B263. Thieno [3, 2-c] pyridine amide derivatives—sedatives, anti-inflammatory and anticonvulsants.

Parcor, Brit 2014-576, 30.8.79.

$R_1=R_2=H$, alkyl, alkenyl, alkynyl,
cycloalkyl, etc.



P80B264. Triazolobenzodiazepinones—tranquillizers.

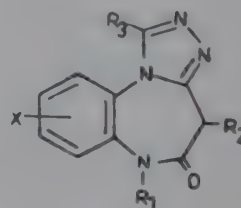
Squibber and Sons Inc, US 4164-498,
14.8.79, Neth 7407-111.

$R_1=H$ or substituted benzyl

$R_2=H$, optionally substituted 1-4C
alcohol

$R_3=H$ or phenyl

X=substituted phenyl, 2, 3 or 4
pyridyl, 3-5C cycloalkyl, etc.



C. CARDIOVASCULAR SYSTEM

**P80C124. Carbostyryl derivatives—
antithrombotic and cardioactive
agents.**

Thomaek Gmbh, Ger 2806-721, 23.8.79.

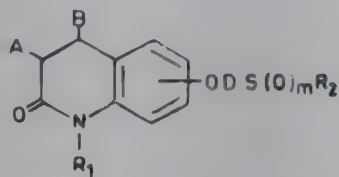
A & B=H or together form a bond

D=2-6C alkylene (optionally substituted by OH)

R₁=H or 1-3C alkyl

R₂=H, 1-6C alkyl, 3-6C cycloalkyl

m = 0, 1 or 2.



**P80C125. 4-Amino-6, 7-dialkoxy-2-
(4-alkoxy piperidino) quinazoline
derivatives—antihypertensives.**

Pfizer Corp, Fr 2409-267, 20.7.79, Belg
872-023.

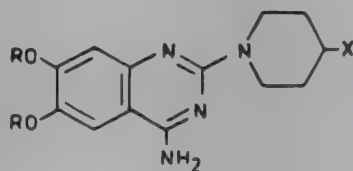
where,

R =lower alkyl

X =-O-Y-OR₁

Y =ethylene (optionally substituted by
1 or 2 lower alkyl groups)

R₁=H, lower alkyl, 3-6C cycloalkyl,
etc.



**P80C126. 5 or 6-Aminoalkyl-2, 3-
dihydroxy naphthalene derivatives—
cardiotonic agents.**

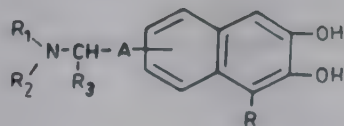
Sterling Drug Inc, US 4169-108, 25.9.79.

A =CR₃R₄

R =H or Me

R₁ & R₂=H, 1-4C alkyl, benzyl or
optionally substituted phenyl

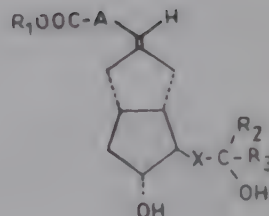
R₃ & R₄=H or Me.



P80C127. Carboxy alkylidene bicyclo-[3, 3, 0] octane derivatives—thrombocyte aggregation inhibitors.

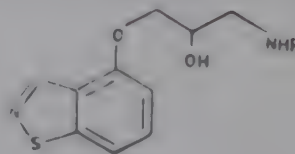
Sumitomo Chemical KK, Neth 7901-818,
11.9.79, Belg 874-683

A = 1-4C alkylene or a bond
 R_1 = H or 1-4C alkyl
 R_2 = H or 4-7C alkyl
 R_3 = H or 1-4C alkyl
 X = ethylene, vinylene or bonds.



P80C128. 4-Hydroxyaminopropoxy benzisothiazole derivatives—cardio-selective sympatholytics.

Basf AG, US 4168-317, 18.9.79, Belg 863-622.



R = 3-6C alkyl or methylbutynyl.

P80C129. 8-β-Hydrazinomethyl ergoline derivatives—hypotensives and serotonin receptor antagonists.

Richter Gedeon Vegy, Belg 874-932,
19.9.79.

(For details refer patent P80B249
page 289).

P80C130. Indoline spiro piperidine derivatives—antihypertensives and antidepressants.

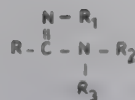
Sumitomo Chemical KK, Neth 7805-814,
15.8.79, Belg 867-517.

(For details refer patent P80B250
page 290).

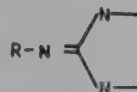
P80C131. Perhaloalkyl amidine derivatives—cardiotonics.

Merck and Co. Inc, USSR 633-471,
16.11.78, Ger 2601-137.

R = 1-5C perhaloalkyl
 R_1 = H, phenyl carbamoyl, lower alkyl,
 lower alkanoyl, etc.
 R_2 = H or lower alkyl
 R_3 = lower alkyl, phenylalkyl, alkenyl,
 etc.

**P80C132. 2-Substituted mino-imidazolidine derivatives—hypotensives.**

Boehringer CH Sohn, Ger 2806-811,
23.8.79.



R = 2-6 dichloro-4-hydroxymethyl-
 phenyl, 2-chloro-4-methyl-5-
 aminophenyl, etc.

P80C133. 1-Substituted 3-(3, 4-dihydroxyphenyl) piperidine derivatives—hypotensives and dopaminergic substances.

Roussel Uclaf, USSR 633-474, 20.11.78,
Ger 2621-536.

(For details refer patent P80B258
page 292).

P80C134. 4-Substituted amino decahydroquinolines—antihypertensives and analgesics.

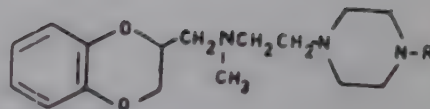
Lab Labaz, USSR 633-476, 16.11.78,
Belg 849-431.

(For details refer patent P80B259
page 293).

P80C135. Substituted piperazino-1,4-benzodioxane derivatives—antihypertensives.

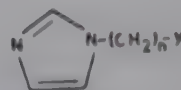
Morishito Pharm KK, Jpn 4103-893,
15.8.79.

R = H, methyl, phenyl or 2-pyridyl.



P80C136. Substituted imidazole derivatives—thromboxane synthetase inhibitors.

Ono Pharmaceuticals KK, Ger 2905-811,
23.8.79.



Y = COOH, CH₂OH, CH₂NH₂, CH₂NH
(lower alkyl), etc.
n = 3-20.

D. RESPIRATORY SYSTEM

P80D055. 5-Carboxy-2-arylidene-2H-benzofuran-3-one derivatives—anti-allergics, bronchodilators and anti-ulcers.

Farmitalia Erba C SPA, Brit 2014-566,
30.8.79, Belg 873-826.

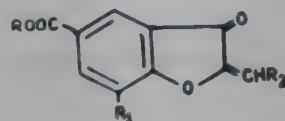
R=H, 1-12C alkyl optionally substituted or NR_2R_4

R_1 =H, 3-6C alkylene or 1-6C alkyl

R_2 =thienyl or furyl.

R_2 & R_4 =independently H or 1-6C alkyl

(Patent included at P80E028 page 301)

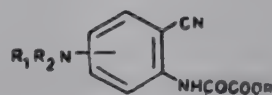


P80D056. Amino-substituted 2-cyano-oxanilic acid derivatives—antiallergics.

American Home Prod Corp, Brit 1553-299, 26.9.79, Ger 2641-291.

R=H, acceptable cation, 1-6C alkyl, 7-8C arylalkyl or 3-6C cycloalkyl

R_1 & R_2 =H, alkyl, alkenyl or alkynyl etc.



P80D057. Cyclohexene carboxylic acid derivatives—antiallergics.

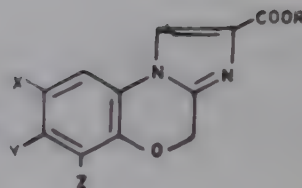
Hisamitsu Pharm KK, Jpn 4103-845,
15.8.79.



R = lower alkyl.

P80D058. Imidazo-[2, 1-c] [1, 4] benzooxazine carboxylic acid derivatives—antiallergics.

Roussel Uclaf, Fr 2409-268, 20.7.79, Belg 872-109.



X = H, halo or 1-5C alkyl

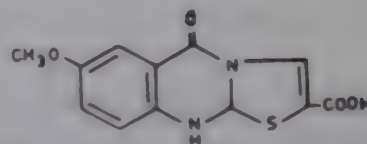
Y = H or 1-5C alkyl

Z = H or 1-5C alkoxy

R = H or 1-5C alkyl.

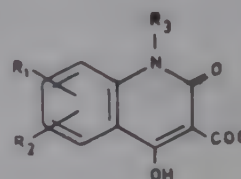
P80D059. 7-Methoxy-5-oxo thiazolo [2, 3-b] quinazoline-2-carboxylic acid—antiallergic.

Hoffmann La Roche Inc, US 4168-380, 18.9 79.



P80D060. 2-Oxo-4-hydroxy quinoline-3-carboxylic acid derivatives—anti-histaminic agents.

Sandoz Patent GmbH, Ger 2806-819, 23.8.79.



R = 1-4C alkyl

R₁ & R₂ = H, F, Cl, Br, 1-4C alkyl, 1-4C alkoxy, etc.

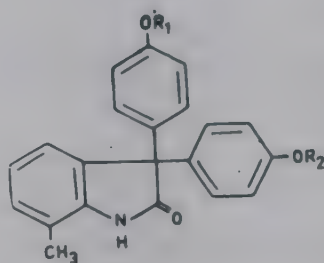
R₃ = H, 1-6C alkyl, 3-6C cycloalkyl, etc.

E. GASTROINTESTINAL DISORDERS

P80E027. 3, 3-Bis-(4-hydroxyphenyl)-7-methyl indoline-2-one derivatives — laxatives.

Andreu SA, Ger 2521-966, 23.8.79.

R_1 & $R_2 = \text{COOEt}$, SO_3H or their salts.



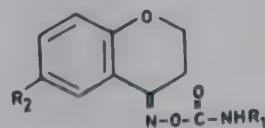
P80E028. 5-Carboxy-2-arylidene-2H-benzofuran-3-one derivatives — anti-allergics, bronchodilators and anti-ulcers.

Farmitalia Erba C SPA, Brit 2014-566, 30.3.79, Belg 873-826.

(For details refer patent P80D055 page 299).

P80E029. 2, 3-Dihydro-4H-1-benzopyran-4-one-O-carbamoyl oximes — gastric antisecretory agents.

Morton Norwich Prod Inc, US 4169-097, 25.9.79.



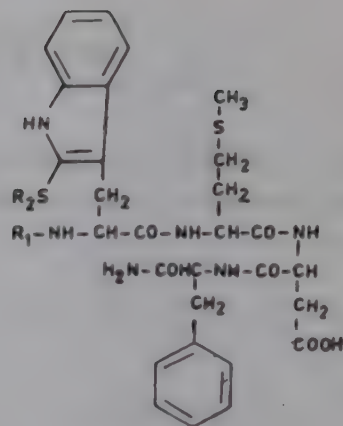
$\text{R}_1 = \text{H}$, 1-3C alkyl or 2-propenyl
 $\text{R}_2 = \text{H}$, Cl, OCH_3 or NH_2 .

P80E030. Peptides with 2-phenylthio substituent on tryptophan residue—gastrin antagonistic peptides.

Commiss Energie Atomique, US 4164-571,
14.8.79, Belg 858-507.

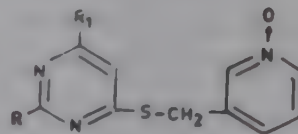
$R_1 = H$, aminoacid, N-tertbutyloxycarbonyl etc.

R_2 = 2-nitrophenyl or 2,4-dinitrophenyl.



P80E031. 4-Pyridyl methylthio pyrimidine derivatives—for treating ulcers and gastric hypersecretion.

Poli Ind Chim SPA, Brit 2014-560, 3.8.79,
Belg 873-838.

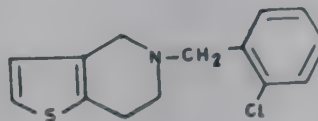


R & R₁=H, CH₃, or NH₂.

F. METABOLIC AND DEGENERATIVE DISORDERS

P80F052. 5-(2-Chlorobenzyl)-4,5,6,7-tetrahydro thieno [3, 7-c] pyridine—thrombosis inhibitors.

Sopharma SA, Jpn 4105-236, 18.8.79.



P80F053. Compositions containing *p*-aminobenzoic acid rhamnoside—hypoglycaemic and hypolipaeamic agents.

Kureha Kagaku Nogyo, Belg 876-544, 17.9.79.

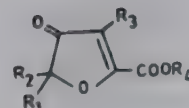


R_1 = is a radical formed by removing 1-OH from the rhamnose

R_2 = H, Na, K, Mg, Ca.

P80F054. 4,5-Dihydro-4-oxo-furan-2-carboxylic acid derivatives—hypolipidaemics.

American Home Prod Corp, US 4169-202, 25.9.79.



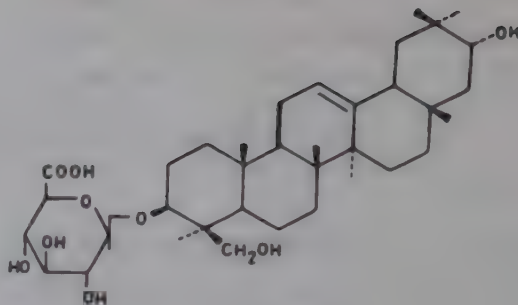
R_1 & R_2 = lower alkyl, lower cycloalkyl, lower alkoxy, lower alkyl, phenyl, etc.

R_3 = H or lower alkyl

R_4 = lower alkyl, lower cycloalkyl, etc.

P80F055. Glucoropyranosylsoyasapogenol—useful in the treatment of autoimmune diseases.

Otsuka Pharma, KK, Belg 875-105,
26.9.79.



P80F056. 2-Hydroxymethyl pyrazine derivatives—hypolipaeic agents.

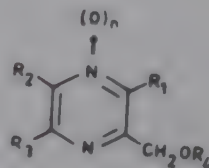
Farmitalia C Erba, Belg 875-013, 24.9.79.

$n=0$ or 1

R_1, R_2 & $R_3 = H$, halogen, 1-6C alkyl,
16C alkoxy, OH, SH, NH_2 or
2-6C acylamino

$R_4 = H$ or COR_5

$R_5 = 2-6C$ alkyl, 2-6C alkenyl or 3-8C
cycloalkenyl.



P80F057. Malonic acid diesters and tartronic acid diesters—lipase inhibitors.

Gercken G, Ger 2806-804, 23.8. 79.

The ester groups of these acids are long chain alkyl groups so that their properties are similar to those of natural triglyceride substrates.

The substances are useful for treating obesity and hyperlipaemia.

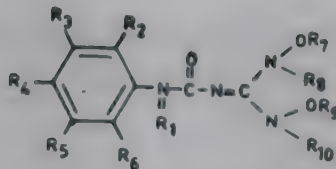
P80F058. N-oxygenated amidine ureas—antisecretory, antispasmodic, antiulcers and antidiarrhoeals.

Rorer WH Inc, US 4169-155, 25.9.79,
Belg 853-027.

$R_1 = \text{H or lower alkyl}$

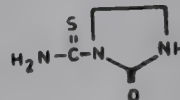
$R_2 \text{ to } R_6 = \text{H, halo, lower alkyl, NO}_2, \text{NH}_2 \text{ etc.}$

$R_7\text{-}R_{10} = \text{H, alkyl, alkenyl, alkynyl, cycloalkyl or arylalkyl, etc.}$



P80F059. 1-Thiocarbamoyl-2-imidazolidinone—immunosuppressive agent.

Northwestern Univ, US 4168-316, 18.9.79.

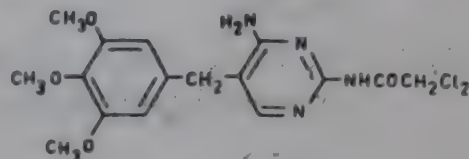


G. INFECTIOUS DISEASES

**P80G064. 4-Amino-2-dichloroaceta-
amino-5-trimethoxybenzyl pyrimidine
—antibacterial.**

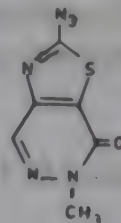
Basf AG, Ger 2823-715, 23.8.79.

The title antimicrobial substance potentiates the activity of sulfonamides. It is more active *in vivo* than trimethoprim.



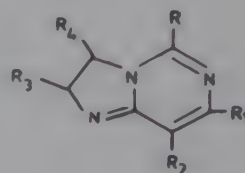
**P80G065. 2-Azido-6-methylthiazolino
[4, 5-d] pyridazine-7-ones—antifun-
gals.**

Morishita Pharma KK, Jpn 4103-896,
15.8.79.



**P80G066. Disubstituted-2, 3-dihydro-
imidazo pyrimidine compounds—
antivirals.**

Eli Lilly and Co, Belg 874-430, 27.8.79,
US 4153-695.



R = H, 1-5C alkyl, phenyl, amino, etc.

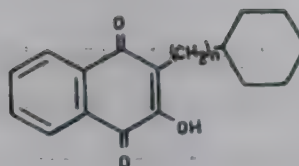
R₁ = Cl

R₂, R₃ & R₄ = H, CH₃ or phenyl.

P80G067. 2-Hydroxy-3-cyclohexyl-alkyl-1, 4-naphthaquinone derivatives—antiprotozoals.

Wellcome Foundation Ltd., Brit 1553-424,
26.9.79, Ger 2705-599.

$n=0-12$.

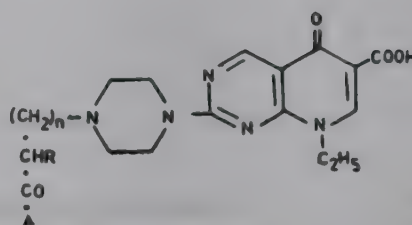


P80G068. Substituted pipemidic acid derivatives—antibacterial.

Lab Roger Bellon, Brit 1553-436, 26.9.79,
Fr 2364-920.

R=H, 1-5C alkyl or phenyl
A=1-5C alkyl pyridyl, thienyl
or benzofurnyl.

$n=0$ or 1.



H. ANTINEOPLASTIC AGENTS

P80H053. Aromatic fluorinated polyene compounds—antineoplastics.

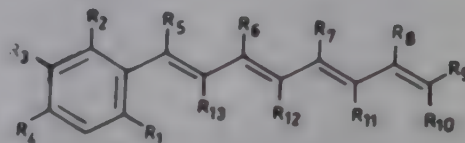
Hoffman La Roche Inc, US 4169-100,
25.9.79.

R_1 to R_4 = each lower alkyl

$R_6 = R_8 = \text{CH}_3$ or CF_3

R_9 = alkanoyloxy, methylcarboxyl, carbamoyl etc.

R_5, R_7 & $R_{10-19} = \text{H}$ or F .

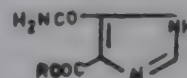


P80H054. 4-Carboxamido-5-acyloxy imidazole derivatives—antimitotic and immunosuppressants.

Sumitomo Chemical KK, Belg 876-625,
17.9.79.

$R = 1-17\text{C}$ alkyl, adamantyl or optionally substituted phenyl

The compounds have got antirheumatic and antinephritis activity.



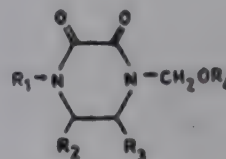
P80H055. 2, 3-Dioxopiperazine derivatives—anticancers.

Toyama Chem KK, Jap 4106-481,
21.8.79.

$R_1 = \text{H}$, alkyl or alkenyl

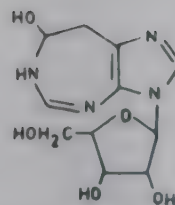
$R_2 = R_3 = \text{H}$, alkyl or aryl

$R_4 = \text{H}$, alkyl, cycloalkyl, etc.



P80H056. 3-β-D-ribofuranosyl-3,6,7,8-tetrahydro imidazo [4, 5-d] [1, 3]-diazepin-7-ol—antitumors.

Zaidan Hojin Biseib, Neth 7802-475,
11.9.79, Belg 864-711.



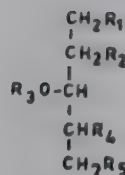
P80H057. Xylitol derivatives—useful as cytostatic agents.

Chinoïn Gyogyszer, Belg 876-166, 3.9.79.

$R_1=R_4$ =halo, syloxy or mesyloxy

$R_3=R_4$ =OH or R_1+R_3 and R_4+R_5
are oxygen bridges

R_3 =H, alkanoyl, arylalkaneyl or aroyl.



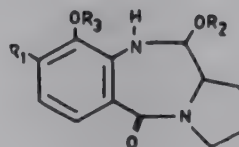
P80H058. Pyrrolo benzodiazepine derivatives—anticancers.

Green Cross Corp, Jpn 54090-195, 17.7.79,
Ger 2844-292.

R_1 =alkyl, hydroxy or alkoxy

R_2 =H, alkyl, phenyl or phenyl alkyl

R_3 =H or acyl.



K. PHARMACEUTICS

P80K008. Controlled release formulations.

Merck Patent, US 4169-069, 25.9.79,
Ger 2642-032.

Active materials are incorporated into SiO_2 containing carrier materials by encapsulating the material with liquid polysiloxane and polyorganoalkoxysiloxane by dissolving, suspending, emulsifying or dispersing the active material in the liquid siloxane to achieve a uniform distribution and then effecting hydrolytic polycondensation of the siloxane.

P80K009. Production of liposomes containing biologically active substance.

Papahadjopoulos DP, Belg 874-408,
23.8.79.

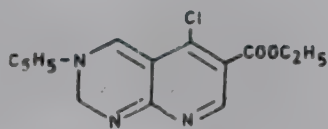
The process comprises : i) mixing a solution of the lipid (especially phospholipid) in an organic solvent with an aqueous solution of biologically active material in the proportions required to obtain water/oil emulsion, ii) the emulsion is homogenised by exposure to ultrasonic waves, iii) removing the solvent to form gel like mixture, iv) converting this into biologically active substance containing liposomes.

M. GENERAL

P80M018. Ethyl-1-phenyl-4-chloropyrazolo-[3,4-b] pyridine-5-carboxylate—intermediate for the biologically active compounds.

Med Parasit Tropic, USSR 639-892, 13.12.78.

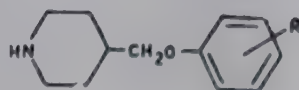
The compound is produced by heating 3-amino-1-phenyl pyrazole with diethyl ethoxymethylene malonate for 2 hr at 120°C to yield diethyl-1-phenyl-3-pyrazolyl aminomethylene malonate which on heating in ether at 250-280°C, followed by reaction with POCl_3 yielded the title compound.



P80M019. 4-Phenoxy phenyl piperidines—intermediates for antiallergics.

Boehringer Mannheim GmbH, USSR 633-473, 18.11.78, Belg 847-973.

R=H, halo, alkyl or alkoxy.



**DRUGS & PHARMACEUTICALS
CURRENT HIGHLIGHTS—R&D**

alerts you with recent articles of interest

A useful tool in research

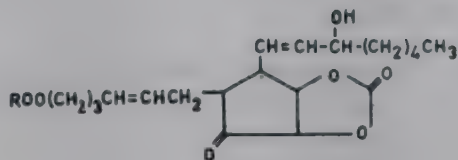
For details, contact NICDAP

A. ENDOCRINE SYSTEM

**P80A025. Alkylhydroxy octenyl-dioxo
cyclopenta dioxolyl heptenoates—
counteract conception and suppress-
3-methyl glutaryl coenzyme A
reductase.**

Searle GD & Co, US 4171-312, 16.10.79.

$R = (CH_2)_nCH_3$
 $n = 1-8.$



B. NERVOUS SYSTEM

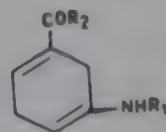
P80B265. 3-Amino-1, 4-cyclohexadiene carboxylic acid derivatives— α -amino butyric acid transaminase inhibitors, used to treat CNS disorders.

Merrell Toraude, Fr 2414-493, 14.9.79,
Belg 873-411.

$R_1 = \text{H}$, optionally branched 2-5C alkyl
carbonyl, 2-5C alkoxy carbonyl or
 $\text{COCH}(R_2)\text{-NH}_2$

$R_2 = \text{hydroxy}$, optionally branched 1-4C
alkoxy

$R_3 = \text{H}$, optionally branched 1-4C alkyl,
benzyl, *p*-hydroxybenzyl.



P80B266. 5-(α -Amino arylmethyl)-1, 3-dioxans—analgesics.

Eli Lilly & Co, USSR 648-081, 19.2.79,
Ger 2361-340.

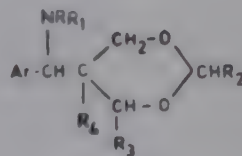
$R = \text{H}$, 1-4C alkyl, 2-4C alkenyl

$R_1 = 1\text{-}4\text{C}$ alkyl or 2-4C alkenyl or
 NRR_1 together form aziridino,
pyrrolidino, piperidino

$R_2 = \text{H}$, 1-3C alkyl, 2-3C alkenyl or
phenyl

$R_3 = R_4 = \text{H}$ or Me

Ar = Aryl.

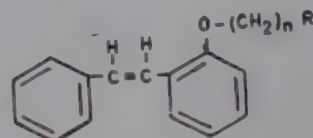


P80B267. Aminoalkoxy stilbene derivatives—anticonvulsants and skeletal muscle relaxants.

Mitsubishi Chem Ind KK, Jpn 4119-440,
17.9.79.

$R = \text{disubstituted amino group}$

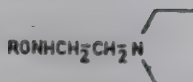
$n = 2\text{-}8$.



P80B268. N-(2-Aminoethyl) pyrrolidine derivatives—antitissuive agents.

Faber SA, Brit 1554-912, 31.10.79, Belg 844-664.

R = phenyl, benzyl, ethylbenzyl, diphenylmethyl, etc.

**P80B269. 6-Alkyl-7, 8-dihydroxy, benzazepine derivatives—dopaminergic agents.**

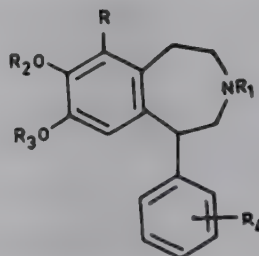
Smith Kline Corp, Fr 2415-104, 21.9.79, Ger 2849-766.

R = 1-6C alkyl

R₁ = H, benzyl, phenylethyl, 1-5C alkanoyl, etc.

R₂ and R₃ = H, 1-5C alkyl, 2-5C alkanoyl or benzyl, etc.

R₄ = H, halogen, CF₃, CH₃, OCH₃, etc.

**P80B270. 5-Aryl-3-alkoxycarbonyl-1,4-benzodiazepineones—CNS depressants.**

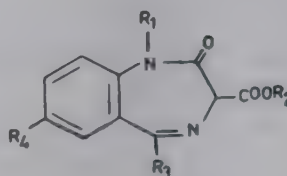
CM Industries SA, Belg 877-567, 5.11.79, Ger 2900-017.

R₁ = H or lower alkyl

R₂ = 3-10C alkyl

R₃ = phenyl or halo phenyl

R₄ = H, halogen or NO₂.



P80B271. Arylaminopyrimidines—
sedatives, anti-inflammatories, vaso-
dilators, anticholinergics, antibron-
choconstricting and antiulcer agents.

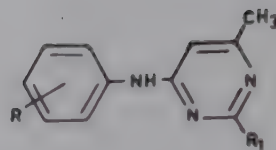
Delalande SA, USSR 645-569, 30.1.79,
Belg 819-057.

$R = \text{CONR}_2\text{R}_3$

R_1 = optionally substituted phenyl

$R_2 = R_3 = \text{H}$, 1-4C alkyl, pyrrolidino,
morpholino, piperidino, piper-
razino, etc.

[Patent included at P80C154, page 329
and P80E032, page 332].



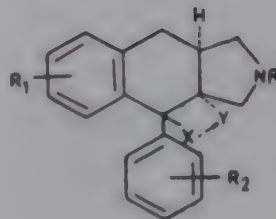
**P80B272. Benzoisindoline deriva-
tives—antidepressants and analgesics.**

Sandoz Ltd, US 4171-369, 16.10.79, Ger
2348-593.

$R = \text{H}$, 1-5C alkyl, 3-5C alkylene or
alkynyl etc.

R_1 & $R_2 = \text{H}$, halo, 1-4C alkyl etc.

$X = Y = \text{H}$ or form additional bond.



**P80B273. Benzopyrano pyridinyl
acetylurea derivatives—analgesics,
tranquillisers and hypnotics.**

Abbott Laboratories, US 4172-943,
30.10.79.

$R = 3\text{-}20\text{C}$ alkyl

$R_1 = \text{H}$ or 1-6C alkyl

$R_2 = \text{halogen substituted 1-6C alkyl}$

$R_3 = \text{H}$ or COXNR_4R_5

R_4 & $R_5 = \text{H}$ or 1-6C alkyl or together
with N form piperidino,
morpholino etc.

$X = 3\text{-}4\text{C}$ alkylene.



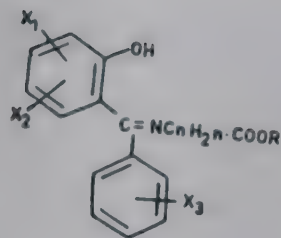
P80B274. Benzlidene amino ester derivatives—anticonvulsants and antipsychotics.

Synthelabo, Ger 2914-801, 18.10.79.

X_1, X_2 and $X_3 = H, CH_3, OCH_3$, halogen, etc.

$R = 1-16C$ alkyl

$n = 1-10$.



P80B275. Imino heterocycles—anti-inflammatories and diuretics.

Egyt Gyogyszervegyeszeti, Neth 7903-129, 23.10.79, Belg 875-695.

R_1 & R_5 = optionally substituted phenyl

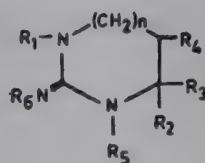
$R_2 = H$, formyl, $COOH$, etc.

R_3 and $R_4 = H$ or alkyl or $R_3 + R_4$ are together oxo or $-CH_2-$

$R_6 = H$, CN , alkyl, carbamoyl, thiocarbamoyl, etc.

$n = 0$ or 1

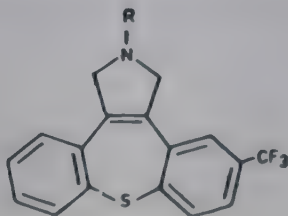
(Patent included at P80C146, page 327).



P80B276. 2, 3-Dihydro-5-trifluoromethyl-1H-dibenzo thiepino [4, 5-c] pyrroles—for treating excitement and psychosis.

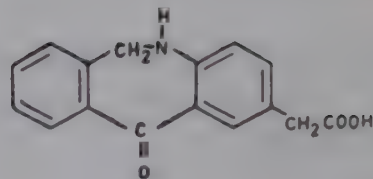
Ciba Geigy AG, Ger 2125-892, 18.10.79, Belg 767-702.

$R = H$, linear 1-4C alkyl, $CHMe_2$ or $CH_2=CHCH_3$.



P80B277. 2-(5, 6-Dihydro-11-oxo dibenz [b, e] azepine) acetic acid—analgesic and anti-inflammatory.

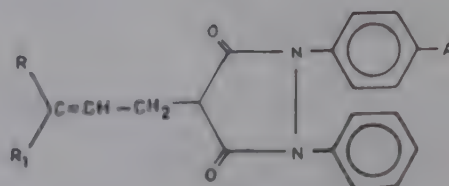
Teikoku Hormone Mfg Ltd, Jpn 4119-481, 17.9.79.



P80B278. 1, 2-Diphenyl-3, 5-dioxo pyrazolidine derivatives—antiphlogistics.

Ist De Angeli SPA, Neth 7902-731, 10.10.79, Ger 2815-302.

R and R₁ = H, lower alkyl, phenyl, etc.
A = H or OH.



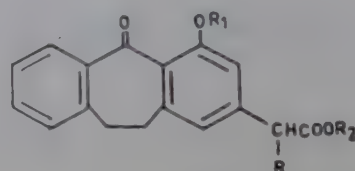
P80B279. 2, 4-Disubstituted-5-oxo-5H-dibenzo cycloheptene derivatives—anti-inflammatories, analgesics and antipyretics.

Syntex (USA) Inc, US 4172-949, 30.10.79.

R = H or Me

R₁ = H, 1-8C alkyl, or 1-8C alkanoyl

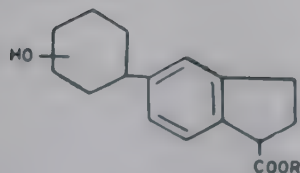
R₂ = H or 1-8C alkyl.



P80B280. 5-(Hydroxy cyclohexyl)-indane-1-carboxylic acid derivatives—analgesics and antipyretics.

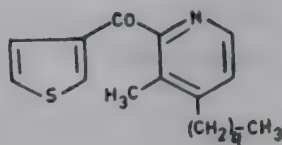
Takeda Chem Ind KK, Jpn 4117-449, 12.9.79.

R = H or alkyl.



P80B281. (3-Methyl-4-pentyl) pyridyl thienyl ketone derivatives—analgesics.

Lab Made SA, US 4172-894, 30.10.79, Ger 2826-683.

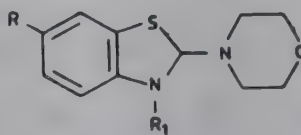


P80B282. 2-Morpholino benzothiazolines—anti-inflammatories.

Nippon Shinyaku KK, Jpn 9032-789, 16.10.79, Jpn 8075-582.

R = H, halogen or alkoxy

R₁ = lower alkyl.



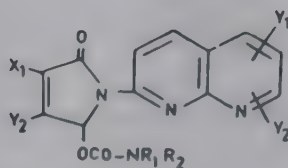
P80B283. 1,8-Naphthyridine derivatives—tranquillisers, hypnotics and antiepileptics.

Rhone Poulenc Industries, USSR 648-102, 15.2.79, Belg 840-428.

X₁ and X₂ = together form isoindoline, 6, 7-dihydropyrrolopyrazine, 6, 7-dihydropyrrolopyridine, etc.

Y₁ = Y₂ = same or different H, halo, 1-4C alkyl, etc.

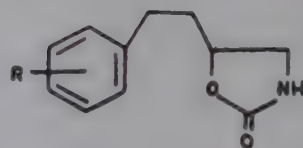
R₁ = R₂ = H, 1-12C alkyl, 3-4C alkenyl, etc.



P80B284. 5-Phenethyl-2-oxazolidone derivatives—muscle relaxants, analgesics and anti-inflammatories.

Nippon Chemifar, Fr 2415-107, 21.9.79,
Ger 2902-129.

R = H, halogen or lower alkoxy.

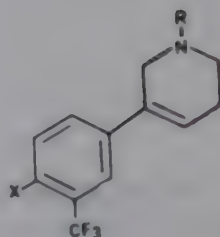


P80B285. 3-Phenyl-1, 2, 5, 6-tetrahydro pyridine derivatives—anorectics and antidepressants.

Roussel Uclaf, Brit 2018-757, 24.10.79,
Ger 2904-826.

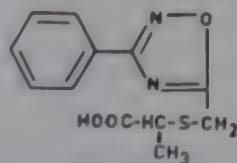
X = H or Cl

R = H, optionally branched 1-5C alkyl,
3-5C alkenyl, etc.



P80B286. 3-Phenyl-5-thiomethyl-1, 2, 4-oxadiazole—anti-inflammatory and antitissuive agent.

Lab Cassenne, USSR 648-095, 15.2.79,
Belg 840-719.



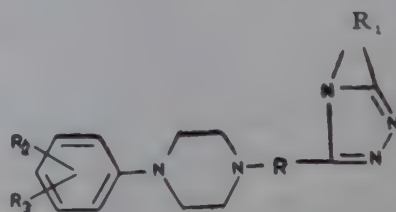
P80B287. Piperazinoalkyl triazole derivatives—anti-psychotics and anti-glaucoma agents.

Angelini Inst, Belg 877-161, 15.10.79.

R = 1-10C optionally branched alkyl
chain

R₁ = 1-5C bivalent aliphatic chain

R₂ & R₃ = H, alkyl, halo, alkoxy, OH,
CF₃ or methylthio.



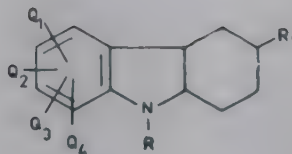
P80B288. 3-Piperidinyl or pyrrolidinyl-1, 2, 3, 4-tetrahydrocarbazole derivatives—analgesics, psychotropics and antihistamines.

Sterling Drug Inc, US 4172-834, 30.10.79.

R = H, lower alkyl or lower alkenyl

R₁ = 1-piperidinyl, pyrrolidinyl

Q₁, Q₂, Q₃ & Q₄ = lower alkyl, alkoxy, trihalomethyl, etc.



P80B289. 4-Pyridone-3-carboxylic acid derivatives—CNS stimulants.

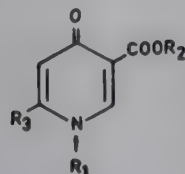
Hoffmann La Roche AG, Fr 2415-103, 21.9.79.

R₁ = 1-8C alkyl, 3-10C cycloalkyl, 1-6C alkoxy, etc.

R₂ = H or 1-6C alkyl

R₃ = phenyl, phenethyl, etc.

The title compounds have antibacterial properties also.

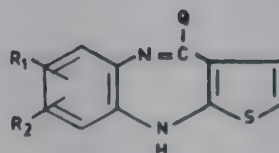


P80B290. 4-Substituted thieno [2,3-b] benzodizepines—CNS active agents, sedatives and antiemetics.

Lilly Ind Ltd, US 4172-831, 30.10.79, Belg 835-932.

R₁ and R₂ = H, 1-4C alkyl, halo, 1-4C haloalkyl, NO₂, NH₂ etc.

Q = OH, SH, halo, NH₂, etc.



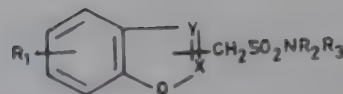
P80B291. Sulphamoyl alkyl-1, 2-benzisoxazole derivatives—anticonvulsants.

Dainippon Pharm KK, US 4172-896, 30.10.79, J 3077-057.

$R_1 = \text{H or halogen}$

$R_2 \text{ and } R_3 = \text{H or 1-3C alkyl}$

$X \text{ and } Y = \text{one is C and other is N.}$



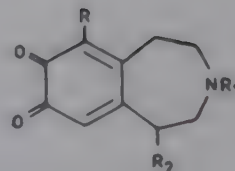
P80B292. 2,3,4,5-tetrahydro-1H-3-benzazepine -7-8-diones—dopaminergic agents.

Smithkline Corp, US 4172-890, 30.10.79.

$R = \text{H or halogen}$

$R_1 = \text{H, 1-5C alkyl, benzyl, phenethyl or hydroxyethyl}$

$R_2 = \text{thienyl, methylthienyl or furyl.}$

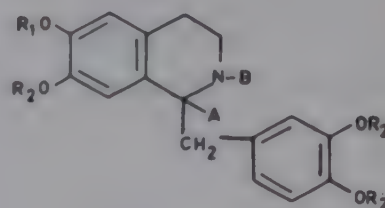


P80B293. Tetrahydro isoquinoline derivatives—spasmolytics and hypotensives.

Lab Sabio SA, Neth 7804-202, 23.10.79, Belg 866-208.

$R_1 \text{ and } R_2 = \text{1-6C alkyl}$

$A \text{ and } B = \text{H or together form a bond.}$

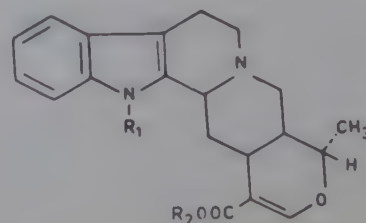


P80B294. Tetrahydro olstonine esters—antianoxic, psychotropics and anti-depressants.

Synthelabo, Belg 875-591, 15.10.79, Ger 2914-805.

$R_1 = \text{H, hydroxyalkyl, alkyl or alkyl-carbonyl}$

$R_2 = \text{OH, 1-6C alkoxy, cycloalkoxy, etc.}$

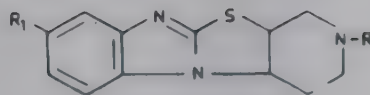


P80B295. 1, 2, 3, 4, Tetrahydropyrido thiazolo benzimidazole derivatives—anti-inflammatories.

Squibber & Sons Inc, Fr 2414-511, 14.9.79, US 4122-083.

R = H, 1-7C alkanoyl, 2-7C alkenyl, alkynyl, etc.

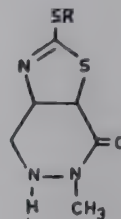
R₁ = H, halogen, NO₂ or 1-7C alkoxy.



P80B296. Thiazolo (4, 5-d) pyridazine-7 (6H)-one derivatives—analgesics.

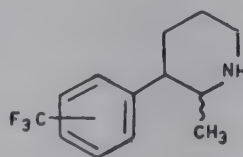
Morishita Pharm KK, Jpn 4119-489, 17.9.79.

R = lower alkyl, allyl, benzyl, benzoyl, alkoxycarbonyl, etc.



P80B297. (Trifluoro-methylphenyl) piperidines—anorexic agents.

Roussel Uclaf, Fr 2415-101, 21.9.79.



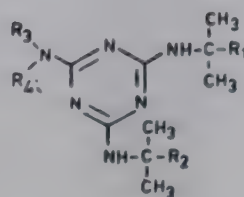
P80B298. Trisubstituted amino triazine derivatives—anti-inflammatories and antiarthritic agents.

American Cynamid Co, Ger 2914-051, 25.10.79.

R₁ and R₂ = *t*-butyl or neopentyl

R₃ = H or 1-4C alkyl

R₄ = tetramethyl propyl, tetramethyl butyl, etc.

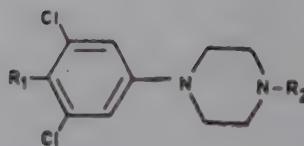


**P80B299. 3, 4, 5-Trisubstituted phenyl
piperazine derivatives—tranquil-
lisers.**

Beecham Group Ltd, Brit 1554-897,
31.10.79, Belg 861-514.

$R_1 = \text{F, Cl, CH}_3, \text{NO}_2, \text{OH, OCH}_3, \text{CN}$
etc.

$R_2 = \text{H, tetrahydropyranyl, 1-4C alkyl,}$
etc.



C. CARDIOVASCULAR SYSTEM

P80C137. 2-N [Substituted piperazino]-4-amino-6, 7-dimethoxy quinazoline derivatives—antihypertensives.

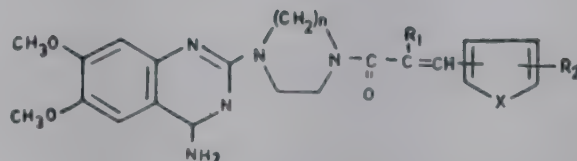
Mitsubishi Yuka Yak, Jpn 4125-688,
29.9.79, Belg 871-821.

$R_1 = \text{H or 1-5C alkyl}$

$R_2 = \text{H, 1-5C alkyl or alkoxy}$

$X = \text{O or S}$

$n = 2 \text{ or } 3.$



P80C138. Alkanolamine derivatives—cardioselective β -adrenergic blocking agents.

Imperial Chem Ind Ltd, US 4171-374,
16.10.80, Ger 2362-568.

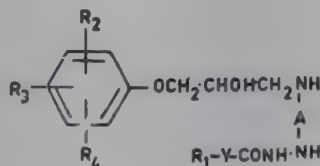
$R_1 = \text{H, alkyl, haloalkyl, alkenyl or cycloalkyl}$

$R_2 = R_3 = \text{H, halogen, hydroxy, amino, etc.}$

$R_4 = \text{H, OH, hydroxymethyl}$

$A = \text{2-12C alkylene}$

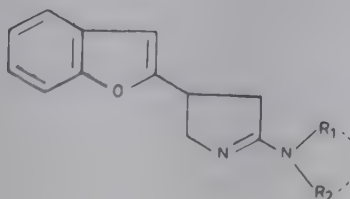
$Y = \text{alkylene, alkyleneoxy, alkylencarbonyloxy, etc.}$



P80C139. 2-Amino-4-benzofuranyl-1-pyrroline, derivatives—cardiovascular agents.

Soc Expl Lab Logeais J, Fr 2431-494,
21.3.80.

$R_1 = R_2 = \text{H, 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, phenyl etc.}$



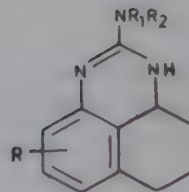
P80C140. 2-Amino-3, 4, 5, 6-tetrahydro pyrimidine derivatives—antihypertensives and coronary vasodilators.

Bayer AG, Ger 2816-123, 25.10.79.

R = H or halogen

R₁ = H, aryl or alkyl

R₂ = H, alkyl, alkenyl, cyloalkyl, aryl, etc.



P80C141. 3-Amino-2-hydroxy propoxy benzoheterocyclic compounds— β -adrenergic blockers and cardiac stimulants.

Ciba Geigy AG, USSR 648-091, 19.2.79
Belg 850-166.

R₁ = optionally substituted lower alkyl
branched at the bonding carbon
atom

R₂ = H or lower alkanoyl

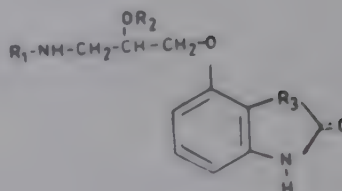
R₃ = R₄ (R₆)_n

R₄ = NR₆ or -O-

R₅ = H or lower alkyl

R₆ = -CH₂- or C=O

n = 0 or 1.



P80C142. 1, 3-Benzodioxin-2-carboxylic acid derivatives—useful for treating cardiac insufficiency and angina.

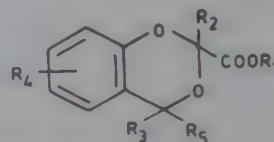
Roussel Uclaf, Belg 875-986, 15.11.79.

R₁ = 1-5 C alkyl, dialkylamino, 2, 3,-
dihydroxy propyl, etc.

R₂ = H or 1-5C alkyl

R₃ & R₆ = H, 1-6C alkyl, ethenyl,
cyclohexyl, etc.

R₄ = H or halo.



**P80C143. Carbazolyloxy propano-
lamine derivatives—vasodilators and
 β -adrenergic blockers.**

Boehringer Mannheim GmbH, Ger 2851-
926, 18.10.79.

$R_1 = H$, lower alkanoyl or aroyl

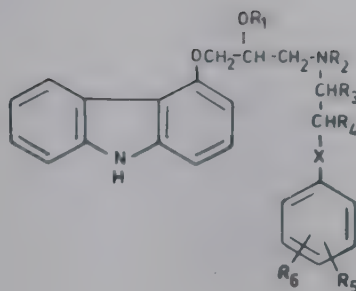
$R_2 = H$, lower alkyl or arylalkyl

$R_3 = H$ or lower alkyl

$R_4 = H$ or lower alkyl

$X = CH_2$, O, S or a direct bond

R_5 & R_6 = methylene dioxy.

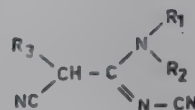


**P80C144. Cyanoamidines—
hypotensives.**

Upjohn Co, USSR 645-570, 30.1.79, US
3910-928.

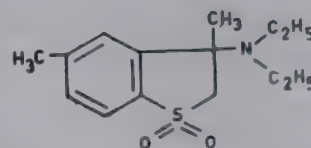
$R_1 = R_2$ = same or different H, 1-10 C alkyl,
2-10C alkenyl, 5-8C cycloalkyl,
etc.

$R_3 = H$, 1-6C alkyl 2-6C alkenyl, 5-8C
cycloalkyl, etc.



**P80C145. Diethylamino dihydro
benzothiophenedioxide—diuretic.**

As Tadz Chem Inst, USSR 644-791,
30.10.79.



**P80C146. Imino heterocycles—
diuretics and anti-inflammatories.**

Egyt Gyogyszerkeszleti Neth 7903-129,
23.10.79, Belg 875-695.

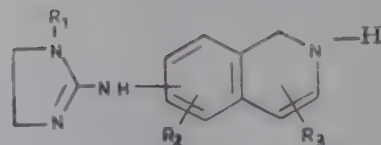
(For details refer patent P80B275, page 317)

P80C147. 4, 5-Dihydro-2-imidazolyl amino isoquinoline derivatives — antihypertensives.

Rhone-Poulenc Industries, Belg 875-797, 23.10.79.

$R_1 = \text{H}$ or 1-4C hydroxyalkyl

$R_2 = R_3 =$ independently H, halo, 1-4C alkyl, 1-4C alkylthio or 1-4C dialkyl amino.



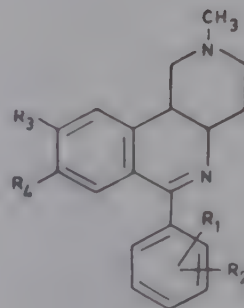
P80C148. Hexahydro [1, 2, 3, 4, 4a, 10b]-2-methyl-6-phenyl benzonaphthyridine derivatives—blood platelet aggregation inhibitors.

Sandoz SA, Jpn 9032-799, 16.10.79, 767-031

$R_1 = \text{H}, \text{F}, \text{Cl}$, lower alkyl, alkoxy or alkylthio

$R_2 = \text{H}, \text{Cl}$, lower alkyl or alkoxy

R_3 and $R_4 = \text{H}, \text{OCH}_3$ or together represent $-\text{OCH}_2\text{O}-$.



P80C149. 3-Isobutoxy-2-pyrrolidine-N-phenyl-N-benzyl propyl amines—cardiovascular agents.

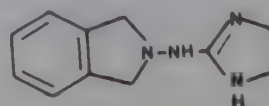
Cent Euro Rech Mauvernay, Ger 2310-918, 25.10.79, Belg 795-735.

Ph = substituted phenyl.



P80C150. Isoindolinylamino imidazoline -- antihypertensive.

Beiersdorf AG, Ger 2816-627, 25.10.79.



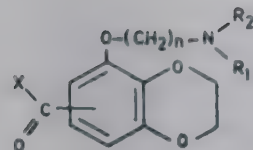
P80C151. Substituted-5-aminoalkoxy-1, 4-benzodioxane—vasodilators, bronchodilators and hypotensives.

Delalande SA, USSR 645-576, 30.1.79, Belg 835-828.

X=methyl, styryl or optionally substituted phenyl

R₁ & R₂=dimethylamino, diethylamine, pyrrolidino, piperidino etc.

n=2 or 3.

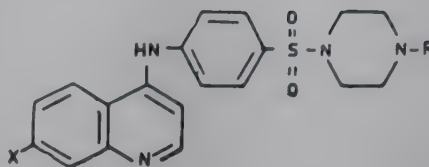


P80C152. 1-Substituted-4-quinolinyl-aminophenyl sulphonyl piperazines—antihypertensives.

Upjohn Co, Belg 876-040, 5.11.79, US 4159-331.

X=Cl or CF₃

R=optionally substituted triazinyl, pyrazinyl or pyrimidinyl.



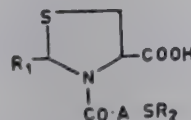
P80C153. Thiazolidine carboxylic acid derivatives—antihypertensives.

Santen Pharm KK, Neth 7902-710, 10.10.79, Belg 875-365.

R₁=mercaptoalkyl, alkyl mercaptoalkyl, higher alkyl, cyanoalkyl etc.

R₂=H or benzoyl

A = 1-3-alkylene.



P80C154. Arylaminopyrimidines—sedatives, anti-inflammatories, vasodilators, anticholinergics, antibronchoconstricting and antiulcer agents.

Delalande SA, USSR 645-569, 30.1.79, Belg 819-057.

(For details refer patent P80B271—page 316)

D. RESPIRATORY SYSTEM

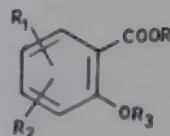
P80D061. Benzoic acid derivatives—pronounced antiallergic activity when administered orally.

Hisamitsu Pharm KK, Jpn 4119-428,
17.9.79.

R = H or lower alkyl

R₁=R₃=H, halogen, lower alkyl

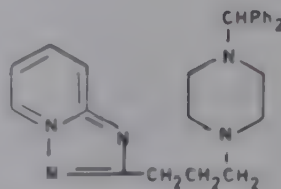
R₂=arylalkyl, benzoyl or amino alkyl.



P80D062. 2-(3-[C4-Diphenylmethyl peperazino] propyl) triazolo [1, 5a] pyridine—anti-allergics.

Kyorin Seiyaku KK, Ger 2449-270,
15.10.79, Belg 820-656.

Ph=phenyl.



P80D063. Fused ring quinazolone derivatives—antiallergics.

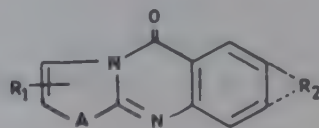
Boehringer Sohn CH, USSR 645-571,
30.10.79, Belg 849-542.

A = CH=CH, CH=N or S

R₁=H, lower alkyl, alkoxy, alkylamino,
etc.

R₂=CN, tetrazolyl or COR₃

R₃=lower alkoxy, NH₂, NHOH, etc.



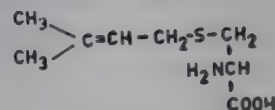
P80D064. Low molecular weight polypeptide—blocking mammalian allergic reactions.

Univ of California, US 4171-299,
16.10.79.

A composition for blocking mammalian allergic reactions comprises the polypeptide Asp-Ser-Asp-Pro-Arg and a suitable carrier.

P80D065. 2-Amino-3-prenyl thiopropionic acid—used to treat respiratory track troubles.

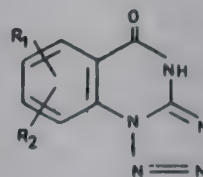
Investigacion Tecn, Brit 2019-389,
31.10.79, Belg 875-717.



P80D066. Tetrazolo [a] quinazoline-5-one derivatives—antiallergics.

Pfizer Inc, Jpn 9032-798, 16.10.79, Ger
2630-053.

$R_1=R_2=\text{H}$, 1-4C alkyl, 1-4C alkoxy,



The title compounds have got antiulcerative properties also.

E. GASTROINTESTINAL DISORDERS

P80E032. Arylamino­pyrimidines—antiulcers, sedatives, anti-inflammatory, vasodilators, etc., anticholinergics and antibronchoconstricting agents.

Delalande SA, USSR 645-569, 30.1.79,
Belg 819-057.

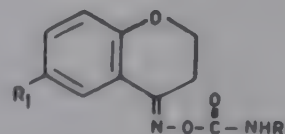
(For details refer patent P80B271, page 319).

P80E033. 2, 3-Dihydro-4H-1-benzopyran-4-one-O-carbamoyl oximes—gastric antise­cretory agents.

Morton Norwich Prod Inc, Brit 2018-769,
24.10.79.

R = H, 1-3C alkyl or 2-propenyl

R₁ = H, Cl, NH₂, or OCH₃.

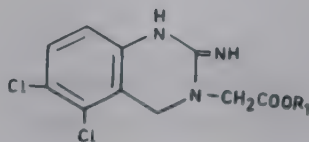


F. METABOLIC AND DEGENERATIVE DISORDERS

P80F060. 5, 6-Dichloro-dihydro-imino quinazoline-3-acetate salts—blood platelet aggregation inhibitors.

Bristol Myers Co, Neth 7902-825,
12.10.79, US 4146-718.

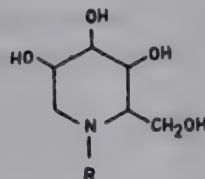
R_1 =lower alkyl.



P80F061. 1-Alkenyl-3, 4, 5-trihydroxy-2-hydroxymethyl piperidines—useful in prevention and treatment of hyperglycaemia.

Nippon Shinyaku KK, 31.10.79, Belg
875-799.

R=unsaturated alkyl chain.



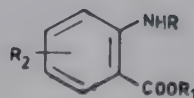
P80F062. Anthranilic acid derivatives—hypoglycaemics.

Sandoz Patent GmbH, Ger 2914-935,
31.10.79, Belg 875-669.

R =H, 1-6C alkyl or 2-4C alkanoyl

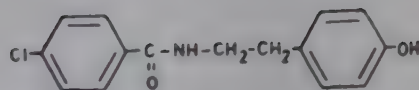
R_1 =H or 1-6C alkyl

R_2 =F, Cl, Br, NO_2 or CF_3 .



P80F063. 2-(4-[4-chlorobenzoyl-aminoethyl]-phenoxy) 2-methyl propionic acid—hypolipaemic and hypocholestromaemic agent.

Boehringer Mannheim GmbH, Belg 876-162, 12.11.79.



P80F064. 1, 3-Disubstituted propanols—hypolipaemic agents.

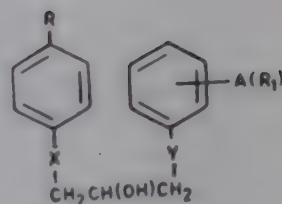
Klinge Pharma GmbH & Co, Ger 2460-689, 18.10.79, Belg 836-870.

$R = \text{Cl or } \text{C}(\text{Me})_3$

$R_1 = \text{COOH, COOH salt or ester etc,}$

$X = Y = \text{O, NH or S}$

$A = \text{is a bond or } \text{CH}=\text{CH or } \text{CH}_2\text{-CH}_2.$



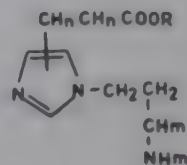
P80F065. Imidazole derivatives—blood sugar level lowering agents.

Ajinmoto KK, Jpn 4119-460, 17.9.79.

$R = \text{H, alkyl, aryl, arylalkyl, ammonium or metal atom.}$

$m = 0 \text{ or } 2$

$n = 1 \text{ or } 2.$

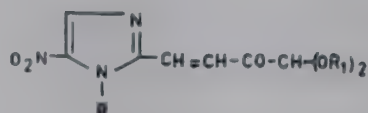


G. INFECTIOUS DISEASES

P80G069. 1-Alkyl-5-nitro imidazole derivatives—antibacterials, antimicrobial and antiprotozoals.

Grissman Chemicals, Belg 877-171,
15.10.79.

R and R₁=1-5C alkyl.



P80G070. N-(4-Aminophenyl)-N, N' disubstituted acetamidines—antihelminthics.

Bayer AG, Ger 2029-299, 18.10.79, Belg
768-403.

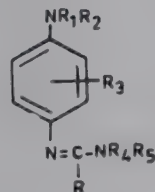
R = 1-4C alkyl

R₁=H, 1-5C alkyl, 2-5C alkenyl or
MeOCH₂CH₂.

R₂=H or 1-4C alkyl

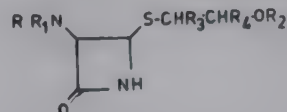
R₃=H or Cl

R₄ and R₅=1-3C alkyl.



P80G071. 3-Amino-4-(2-hydroxyethylthio) 2-oxo azetidine derivatives—antibacterials.

Ciba Geigy Corp, US 4172-832, 30 10.79,
Ger 2151-560.



R =H or aminoprotective group

R₁=R₂=H or acyl

R₃=R₄=organic radical bonded via
C atom.

P80G072. 4-Aryloxyalkyl-1,3,5-trisubstituted pyrazoles—antivirals.

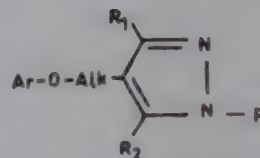
Sterling Drug Inc, US 4171-365, 16.10.79,
Belg 869-509.

R = H, alkyl, hydroxyalkyl, etc.

R₁ = 1-4C alkyl or phenyl

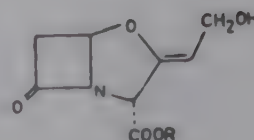
R₂ = alkyl or 1-4C phenyl

Alk = 3-10C alkylene optionally interrupted by oxygen.

**P80G073. Clavulanic acid derivatives—antibacterials and β -lactamase inhibitors.**

Beecham Group Ltd, USSR 648-117,
20.2.79, Ger 2517-316.

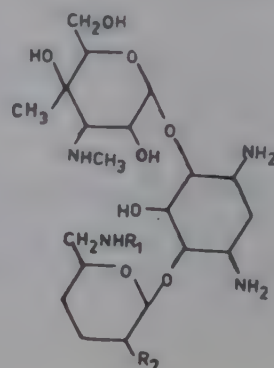
R = salt or ester.

**P80G074. Aminoglycosides (Kanamycin derivatives)—antibacterials.**

Yamanouchi Pharm KK, Fr 2414-513,
14.9.79, Ger 2900-315.

R₁ = H or Me

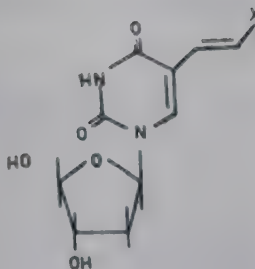
R₂ = NH₂ or OH.



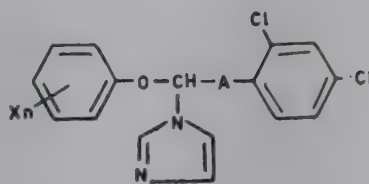
**P80G075. 5-Halovinyl-2-deoxyribo-
uridine compounds—antivirals.**

Univ of Birmingham, Belg 875-773,
23.10.79.

X = halo (Br or I).

**P80G076. 2, 4-Dichlorophenyl etha-
nones and ethanols—antimycotics.**

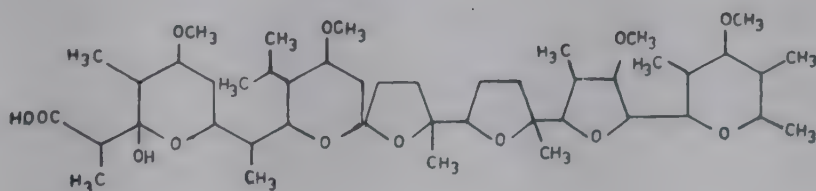
Bayer AG, Brit 1554-841, 31.10.79, Ger
2705-677.



A = keto group or CH(OH)

X = halo, straight or branched 1-4C
alkyl, optionally substituted phenyl
etc.

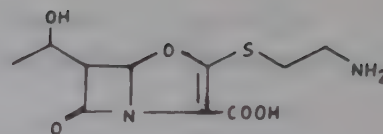
n = 0, 1, 2, or 3.

**P80G077. Lonomycin derivatives—
antibacterials, antiprotozoals and
antivirals.**

Taisho Pharmaceut KK, Jpn 4125-671,
29.9.79, Belg 874-687.

P80G078. Oxapenem carboxylic acid
—antibiotics.

Merck & Co Inc, US 4172-895, 30.10.79.

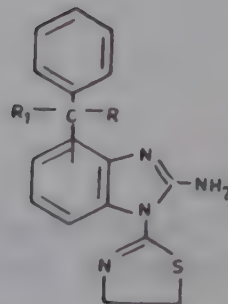


P80G079. 1-Thiazoliny-2-amino
benzimidazole derivatives—antivirals.

Eli Lilly & Co, USSR 645-579, 30.10.79,
Belg 851-631.

$R = OH$

$R_1 = 1-4C$ alkyl or $1-4C$ alkylidene.



H. ANTINEOPLASTIC AGENTS

P80H059. Organ specific human cancer vaccine.

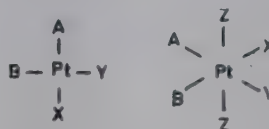
Microlog Ltd, Brit 1554-446, 24.10.79,
Ger 2630-380.

Vaccines for the treatment of human cancer are composed of an undenatured cancer antigen coupled with rabbit globulin formed at 5°C. The vaccines are prepared by (1) taking cancerous tissues from a diseased human organ having a common cancer antigen (2) homogenising at 1500-85000 rpm (3) centrifuging (4) taking the middle layer formed (5) removing from the middle layer normal protein antigen and albumin to give purified cancer antigens (6) coupling the antigen with the globulin by means of bis-diazotised benzdine.

Vaccines can be used to treat cervical, breast and bronchogenic carcinomas.

P80H061. Platinum *cis* co-ordination complexes with amino acids—for the treatment of malignant neoplasm and tumors.

Johnson Matthey Ltd., Neth 7903-047,
23.10.79, Belg 875-739.



X and Y = halo, pseudohalo, sulfate phosphate, nitrate, etc.

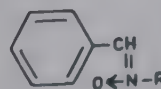
A and B = each are amino acids joined to Pt via N atoms

Z = halo, pseudohalo or OH.

P80H060. α -Phenyl-n-lower alkyl nitron derivatives — carcinostatic agents.

Rikagaku Kenkyusho, Jpn 4126-733,
2.10.79.

R = lower alkyl.

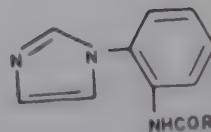


M. GENERAL

P80M020. 1-Acylaminophenyl imidazole compounds—useful in the preparation of biological-active compounds.

Westwood Pharm Inc, US 4172-947,
30.10.79.

R = 1-17C alkyl, 4-17C branched
chain alkyl, decenyl etc.



P80M021. Fermentative production of uricase by cultivating strain of the genus *Trichosporon* for high productivity.

Kyowa Hakko Kogyo KK, Jpn 4119-886,
14.9.79.

The process comprises cultivating a uricase producing strain of the genus *Trichosporon* in a nutrient medium and recovering the uricase from the culture broth. Uricase is useful in the uric acid assay for the diagnostic purposes.

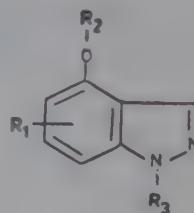
P80M022. 4-Hydroxyindazoles and ethers—pharmaceutical intermediates.

Boehringer Mannheim GmbH, US 4171-
446, 16.10.79, Belg_853-950.

R₁=H or more than 4 C alkyl etc.

R₂=H, 7C alkanoyl, benzoyl, etc.

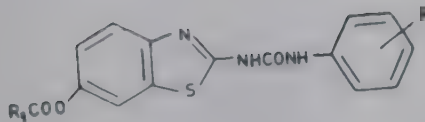
R₃=alkanoyl, benzoyl, etc.



**P80M023. Benzothiazolyl ureas—
immunoregulating agents.**

Eli Lilly & Co, USSR 648-096, 15.2.79,
Belg 840-048.

R = H, halo, 1-3C alkyl or alkoxy
R₁ = 1-3C alkyl or phenyl.



N. NEW TECHNIQUES

**P80N032. Uric acid determination
in blood and urine avoids interference
due to bilirubin by addition of ferro-
cyanid-ions.**

Miles Laboratories Inc, Belg 877-610,
5.11.79.

Compositions for the determination
of uric acid in liquid sample contains
ferrocyanid ions in reagent sensitive to
uric acid, a phenol or naphthol and 4-
aminophenazone.

DRUGS & PHARMACEUTICALS
CURRENT HIGHLIGHTS—R&D

alerts you with recent articles of interest

A useful tool in research

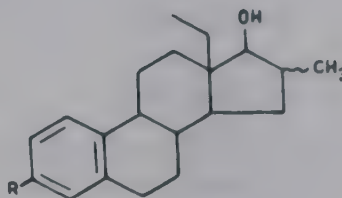
For details, contact NICDAP

A. ENDOCRINE SYSTEM

P80A026. 13-Ethyl-16-methylgona-1,3,5 (10)-trien-17- β -ols—progestational agents.

American Home Prod Corp, Ger 1966-476, 22.11.79, US 3634-468, US 767809, 15.10.68.

R=lower alkoxy.



P80A027. Fertility increasing agents.
Bayer AG, Ger 2357-507, 22.11.79.

Kininogenases and/or kinins in admixture with inert, non-toxic carriers increase the spermatozoal motility, thereby increasing the fertility. The mixture can be administered intramuscularly or may be added to semen after collection and before artificial insemination.

B. NERVOUS SYSTEM

P80B300. Alkanolamine derivatives— anti-inflammatories and hypotensives.

Deutsche Gold & Silber, Ger 2919-495,
22.11.79, Belg 876-300.

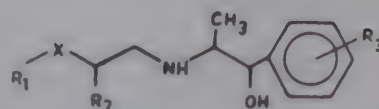
$X = CO$ or $CH(OH)$

R_1 = adamantyl or a 3-16C cycloaliphatic group

R_2 = H or 1-6C alkyl

R_3 = H or OH.

(Patent included at P80C156—page 354).



P80B301. 5-(α -Aminoarylmethyl) 1,3-dioxans—analgesics.

Eli Lilly & Co, USSR 648-081, 19.2.79,
Ger 2361-340.

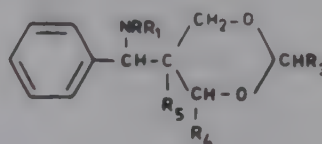
$R = H$, 1-4C alkyl or 2-4C alkenyl

R_1 = 1-4C alkyl or 2-4C alkenyl or
 NRR_1 is aziridino, pyrrolidino or
piperidino, etc.

R_2 = H or 1-3C alkyl

R_3 = H or Me

R_4 = H or Me.

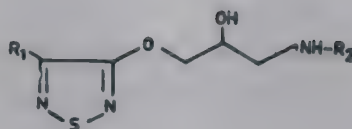


P80B302. 4-(3-Amino-2-hydroxypropoxy)-1, 2, 5-thiadiazoles— β -adrenergic blockers.

Frosst CE & Co, Ger 1925-956, 21.11.79,
Belg 733-390, US 731333, 22.5.68.

$R_1 = -C(CH_3)_3$ or $CH(CH_3)_2$

$R_2 = Cl, C_2H_5, C_2H_5O, \text{phenyl, morpholino, etc.}$



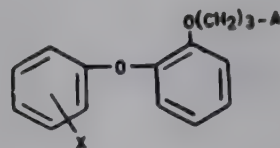
P80B303. 2-(3-Aminopropoxy) diphenyl ether—antidepressants with strong anti-reserpine activity.

Mitsubishi Chem Ind KK, Jpn 4126-732,
2.10.79.

$A = NR_1R_2$ or pyrrolidinyl

$X = 1-3C \text{ alkyl}$

$R_1 = R_2 = H, 1-3C \text{ alkyl.}$

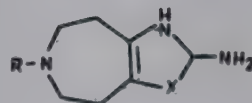


P80B304. 2-Amino-4, 5, 7, 8-tetrahydro-6H-oxazolo or thiazolo [5,4-d] azepins—for prophylaxis and treatment of angina pectoris.

Thomaek Gmbh, Ger 2820-808, 22.11.79.

$R = H, \text{alkyl, substituted } 1-4C \text{ alkyl or substituted benzyl}$

$X = O \text{ or } S.$

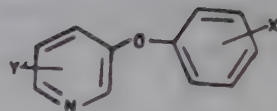


P80B305. 3-Aryloxyaminopyridine derivatives—used for activating cognition and for treating memory disorders.

Warner Lambert Co, Ger 2920-250,
22.11.79, Belg 876-389.

X=H, F or Cl

Y=1-piperazinyl, 3-methyl-1-piperazinyl, hexahydro-2-methyl-1H-1,4-diazepin-1-yl or anilino.



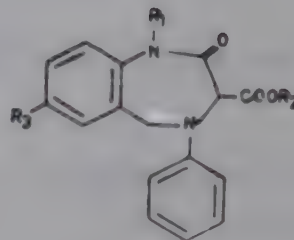
P80B306. 5-Aryl-3-alkoxycarbonyl-1,4-benzodiazepine-2-one derivatives—CNS depressants.

CM Industries SA, Belg 877-567, 5.11.79,
Ger 2900-017.

R₁=H or lower alkyl

R₂=3-10C alkyl

R₃=H, halogen or NO₂.



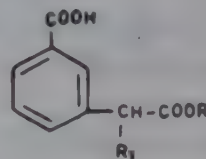
P80B307. 3-Carboxyphenyl acetic acid derivatives—anti-inflammatory and antimicrobial agents.

Rutgerswerke AG, Brit 1555-849, 14.11.79,
Belg 863-209.

R = 2-(3-carboxyphenyl) propionic acid, butyric, valeric or caproic acid

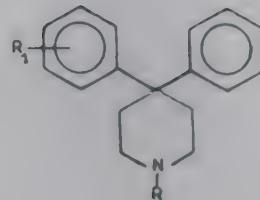
R₁=1-4C alkyl, 5-7C cycloalkyl, etc.

(Patent included at P80G087 page 367).



**P80B308. 4, 4-Diphenylpiperidines—
CNS stimulators.**

Byk Gulden Lomberg, Neth 162-070,
15.11.79, Neth 7010-655.

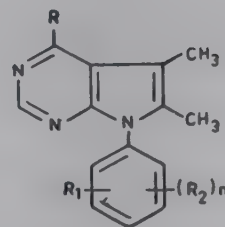


R = H, 2-6C alkyl, aralkyl, hydroxy-
alkyl, alkoxyalkyl, aryloxyalkyl,
t-butyl, etc.

R₁ = H or 1-4C alkyl.

**P80B309. 5, 6-Dimethyl pyrrolo-
pyrimidine derivatives—anti-inflam-
matories and analgesics.**

Troponwerke Gmbh & Co, Ger 2818-676,
8.11.79.

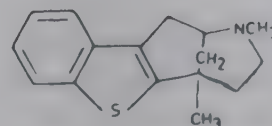


R = NH₂ or OH

R₁ = halogen, NO₂, lower alkyl, lower
alkoxy or CF₃

R₂ = H, halogen, lower alkyl, etc.

n = 0, 1 or 2.

**P80B310. 2, 5-Dimethyl benzothieno
morphan analgesics.**

Lab Made SA, Ger 2807-077, 31.10.79,
Belg 863-266.

**P80B311. Indazole derivatives—
anti-inflammatories.**

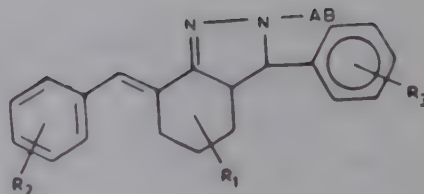
Squibber & Sons Inc, Belg 877-886,
16.11.79, US 4004-007.

$R_1 = \text{H or 1-6C alkyl}$

$R_2 \text{ \& } R_3 = \text{H, F, Cl, CF}_3, \text{ 1-6C alkyl or alkoxy}$

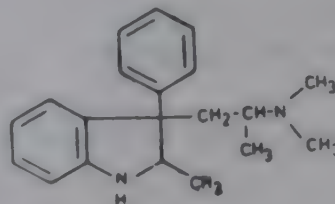
$A = \text{2-8C alkylene}$

$B = \text{piperidinyl, homopiperidinyl, pyrrolidinyl, morpholinyl, piperazinyl, etc.}$



**P80B312. 2-Methyl-3-phenyl-3-(β -dimethylaminopropyl) indoline—
analgesic, antiphlogistic and
antitussive.**

Thomaek Gmbh, Neth 162-069, 15.11.79,
Belg 736-919.

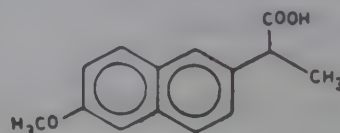


**P80B313. Buspirone or its acid
addition salts—for the treatment of
anxiety neurosis.**

Suitable Hcl salt is employed and is
administered orally. The daily dose for
an adult is 10-60 mgs.

**P80B314. 2-(6-Methoxynaphthyl)
propionic acid—anti-inflammatory,
analgesic, antipyretic and anti-
pruritic.**

Montedison SPA, Belg 876-453, 21.11.79.

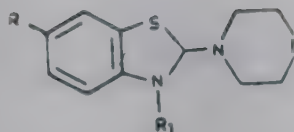


P80B315. 2-Morpholinobenzothiazolines—anti-inflammatories.

Nippon Shinyaku KK, Jpn 9032-789,
16.10.79, Jpn 8075-582.

R = H, halogen or alkoxy

R₁ = alkyl.

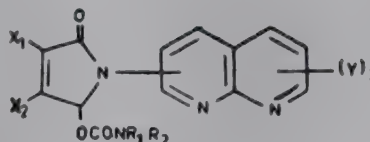
**P80B316. 1, 8-Naphthyridine derivatives—tranquillisers, hypnotics and antiepileptics.**

Rhone Poulenc Industries, USSR 648-102, 15.2.79, Belg 840-428.

X₁, X₂ = together with pyrrolidine ring
are isoindoline optionally
substituted by 1-2 halo, 1-4C
alkyl, etc.

Y = same or different H, halo, 1-4C
alkyl, etc.

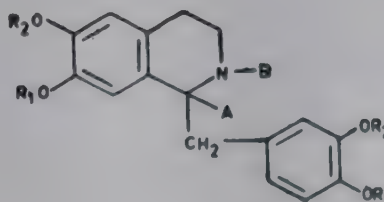
R₁ & R₂ = same or different H, 1-12C
alkyl, mono- or dialkyl-amino,
etc.

**P80B317. Tetrahydroisoquinoline derivatives—spasmolytics and hypotensives.**

Lab Sobio SA, Neth 7802-202, 23.10.79,
Belg 866-208.

R₁ = R₂ = 1-6C alkyl

A = B = H or together form a covalent
bond.



P80B318. Thio-oxo-pyrazolo-[1, 5-c]quinazoline-2-carboxylic acid derivatives—anti-inflammatories and antiallergics.

Squibber & Sons Inc, Brit 2020-282, 14.11.79, Ger 2916-992.

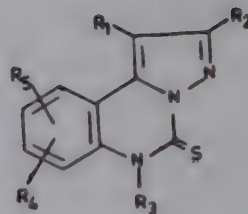
$R_1 = \text{H or lower alkyl}$

$R_2 = \text{COOH, CH}_2\text{OH, lower alkanoyloxymethyl, etc.}$

$R_3 = \text{H, benzyl or lower alkyl}$

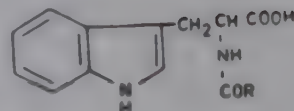
$R_4 = R_5 = \text{H, OH, halo, CF}_3, \text{ etc.}$

(Patent included at P80D070 page 360).



P80B319. L-Tryptophan derivatives—antispasmodics and secretory regulators.

Rotta Research Lab, Ger 2224-130, 8.11.79, Neth 7206-680.



$R = p\text{-chlorophenyl or } p\text{-tolyl.}$

P80B320. 4-Oxo-1,3,8-triazaspiro-4, 5-decanes—neuroleptics, analgesics and anti-apomorphine agents.

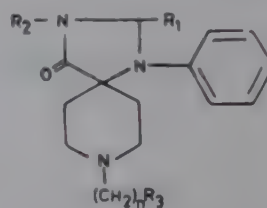
Janssen Pharmaceut NV, Ger 1470-125, 31.10.79, Belg 633-914.

$R_1 = \text{H or lower alkyl}$

$R_2 = \text{H, alkyl, etc.}$

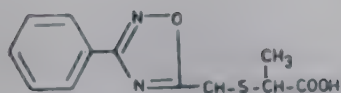
$R_3 = \text{benzoyl, phenoxy, thiophenoxy, etc.}$

$n = 1-5.$



P80B321. 3-Phenyl-5-thiomethyl-1, 2, 4-oxadiazole—anti-inflammatory and antitussive agent.

Lab Cassenne, USSR 648-095, 15.2.79, Belg 840-719.



P80B322. Quinolone derivatives—analgesics and anti-inflammatories.

Imperial Chem Inds Ltd, USSR 648-090, 19.2.79, Ger 2611-824.

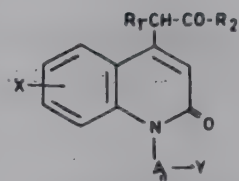
A = direct bond or 1-4C alkylene

R_1 = H or 1-4C alkyl

R_2 = OH, amino, hydroxylamino, etc.

X = one or two halogen, 1-6C alkyl, 1-4C alkoxy, etc.

Y = aryl, naphthyl, mono- or heterocyclic aromatic radical.



P80B323. 1-Substituted cyclohexane carboxylic acid derivatives—anticonvulsants, antiepileptics, anxiolytics and antipsychotics.

Labaz SA, Ger 2916-588, 8.11.79, Belg 875-882.

Z = OH, OM or NH₂

M = alkali metal or alkaline earth metal.

R = optionally branched and substituted 1-9C alkyl.



P80B324. 5-Substituted-1-naphthyl acetic acid derivatives—anti-inflammatories, antipyretics and analgesic.

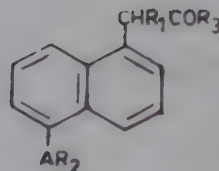
Inst Rech Scientifique, Fr 2416-214,
5.10 79, Belg 873-890.

$R_1 = \text{H}$, 1-6C alkyl

$R_2 = 1\text{-}12\text{C}$ alkyl or cycloalkyl

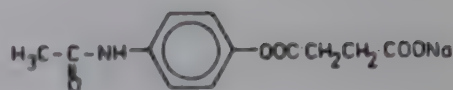
$R_3 = \text{OH}$ or 1-6C alkoxy

$A = \text{CO}$ or CH_2 .



P80B325. Sodium paracetamol hemisuccinate—analgesic and antipyretic.

Bottu, Fr 2417-494, 19.10.79.



P80B326. 2-Substituted-2-aminoalkyl-benzodioxoles—anti-inflammatories, analgesics, antipyretics etc.

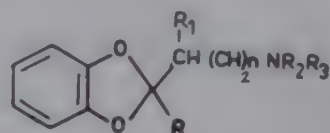
Ist Luso Farmaco Italia, Ger 2318-273,
31.10.79, Belg 798-090.

$R = \text{Me}$, ethyl, phenyl or halophenyl
or with C_2 of side chain represents
spiro cyclohexane ring

$R_1 = \text{H}$ or CN

$R_2 = R_3 = \text{H}$, 1-3C alkyl, benzyl,
pyrrolidino, morpholino, etc.

$n = 1$ or 2 .

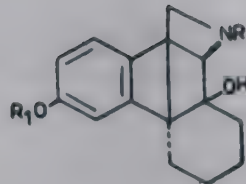


**P80B327. N-substituted-14-hydroxy-
3-substituted morphinanes—
analgesics, narcotic agonists and
antagonists.**

Bristol Myers Co, Neth 162-072, 15.11.79,
Neth 7212-176, US 178837, 8.9.71.

R = cyclopropylmethyl or cyclobutyl-
methyl

R₁ = H or CH₃.

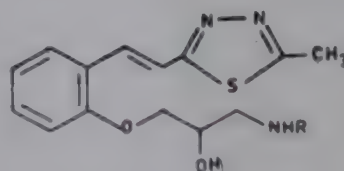


C. CARDIOVASCULAR SYSTEM

P80C155. Amino-3-phenoxy-2-propanol derivatives — β -adrenergic blocking agents.

Basf AG, Ger 2818-765, 8.11.79.

R=H, 1-8C alkyl (optionally substituted) by OH, 1-3C alkoxy or 3-8C cycloalkyl).



P80C156. Alkanolamine derivatives — hypotensives and anti-inflammatories.

Deutsche Gold & Silber, Ger 2919-495, 22.11.79. Belg 876-300.

(For details refer patent P80B300 page 344).

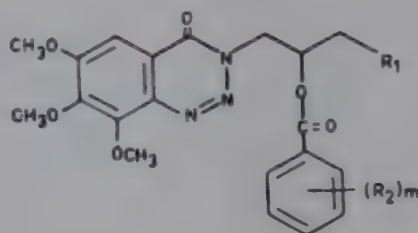
P80C157. 3-(3-Amino-2-benzoyloxypropyl)-6, 7, 8-trimethoxy-3H-1, 2, 3-benzotriazin-4-ones — vasodilators.

Cassella AG, Ger 1926-075, 22.11.79, Belg 750-841.

R₁ = 2-20C residue bonded via N atom of dialkylhydroxyalkylamine, N-benzylethanolamino, pyrrolidino, piperidino, morpholino, etc.

R₂ = 1-4C alkoxy

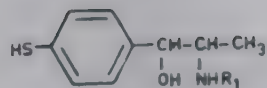
m = 2 or 3.



**P80C158. Mercapto alkylaminoalcohol
—blood platelet aggregation inhibitors.**

Mitsubishi Petroch KK, Jpn 4125-626,
29.9.79.

$R_1 = H$, 1-10C straight or branched
alkyl.



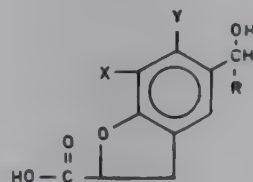
**P80C159. 5-Arylhydroxymethyl-2, 3-
dihydrobenzofuran-2-carboxylic acid
derivatives—antisaluretic, diuretic,
uricosuric and antihypertensives.**

Merck & Co Inc, Brit 1555-837,
14.11.79.

$X = H$, halogen or methyl

$Y = \text{halogen or methyl}$

$R = \text{unsubstituted, monosubstituted or
disubstituted hydrocarbon radical.}$



**P80C160. 1, 3-Benzodioxin-2-carboxylic
acid derivatives—for treating cardiac
insufficiency and angina.**

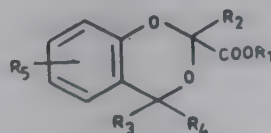
Roussel Uclaf, Belg 875-986, 15.11.79.

$R_1 = H$, 1-5C alkyl, dialkylaminoalkyl or
2,3-dihydroxypropyl

$R_2 = H$ or 1-5C alkyl

$R_3 = R_4 = H$, 1-6C alkyl, ethenyl,
cyclohexyl, etc.

$R_5 = H$, halo, CF_3 , etc.



P80C161. 6,7-Dialkoxy-4-amino-2-(substituted piperidino) quinazolines—hypotensives.

Pfizer Corp, Ger 2919-800, 22.11.79, Belg 876-297.

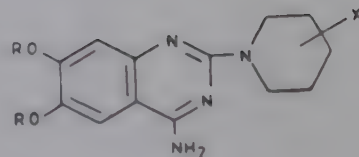
R = lower alkyl

X = $(\text{CH}_2)_n \text{CONR}_1\text{R}_2$, $\text{O}(\text{CH}_2)_n \text{CONR}_1\text{R}_2$ or $\text{OCH}(\text{Ph})\text{CONR}_1\text{R}_2$

R₁ = H or lower alkyl

R₂ = lower alkyl, phenyl, 3-7C cycloalkyl, etc.

n = 0, 1 or 2.



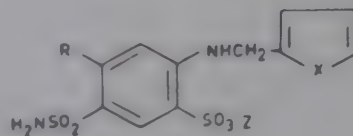
P80C162. N-(2-Furyl or thienyl)-5-sulphamoyl anthranilic acid derivatives—diuretics and saluretics.

Hoechst AG, Ger 2718-871, 31.10.79, Belg 866-565.

X = O or S

Z = H or a non-toxic cation

R = O-phenyl or S-phenyl (optionally substituted by Cl, Br, Me or OMe).



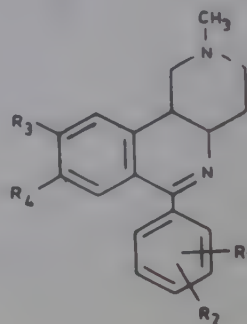
P80C163. 1,3,4,4a,10b-Hexahydro-2-methyl-6-phenyl benzo [c] (1,6) naphthyridine derivatives—blood platelet aggregation inhibitors and useful in the treatment of thromboembolic disorders.

Sandoz SA, Jpn 9032-799, 10.10.79.

R₁ = H, F, Cl, Br, lower alkyl, etc.

R₂ = H, Cl, lower alkyl or alkoxy

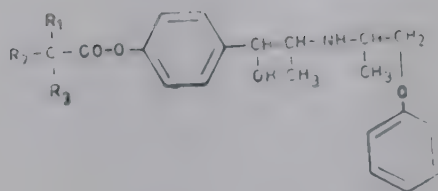
R₃ = R₄ = H or OCH₃.



P80C164. 1-(*p*-Hydroxyphenyl)-2-(1'-methyl-2'-phenoxyethylamino) 1-propanol esters—vasodilators.

Ist Luso Farmaco Italia, Belg 877-510,
5.11.79, Jpn 2148-034.

$R_1=R_2=R_3=1-4C$ alkyl.



P80C165. Heterocyclic amines—antihypertensives.

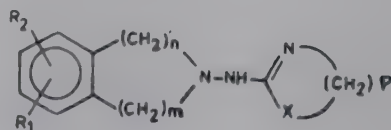
Beiersdorf AG, Brit 2021-100, 28.11.79.

$R_1=R_2=H$, 1-4C alkyl or halogen

$X=O$, S, CH_2 , NH or 2-4C acylimino

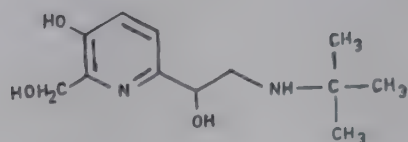
$n=m=1$ or 2

$p=2$ or 3.



P80C166. 2-Hydroxymethyl-3-hydroxy-6-(1-hydroxy-2-*t*-butylaminoethyl) pyridine—for treatment of congestive heart failure.

Pfizer Inc, US 4175-128, 20.11.79.

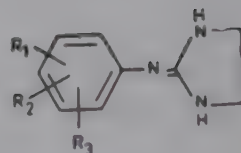


The title compound, a known bronchodilator has now been found to be useful in the treatment of congestive heart failure.

P80C167. Imidazoline derivatives—hypotensives.

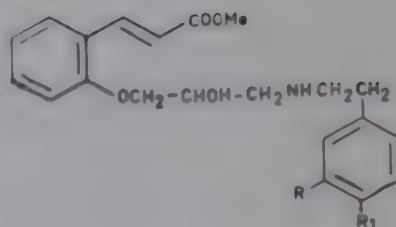
Boehringer Sohn CH, Fr 2417-503,
19.10.79, Ger 2806-811.

R_1, R_2 and $R_3 = \text{Cl}, \text{OH}, \text{CH}_3, \text{NH}_2,$
 $\text{NO}_2, \text{CF}_3, \text{Br}, \text{etc.}$

**P80C168. Methylamino hydroxypropoxy cinnamate derivatives—cardioselective- β -blockers.**

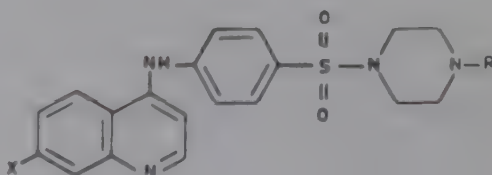
Lipha Lyonnais Ind, Fr 2415-622,
28.9.79.

$R = \text{OMe}$ or acetamido
 $R_1 = \text{H}$ or OMe .

**P80C169. 4-Quinolinylaminophenyl sulphonyl piperazines—antihypertensives.**

Upjohn Co, Belg 876-040, 5.11.79, US
4159-331.

$X = \text{Cl}$ or CF_3
 $R = \text{triazinyl}, \text{pyrazinyl}$ or pyrimidinyl .



D. RESPIRATORY SYSTEM

**P80D067. 5-Arylmethyl or arylmethylidene hydantoin derivatives—
antiasthmatics.**

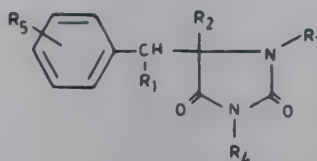
Lilly Ind Ltd, Belg 876-435, 21.11.79.

R_1 & $R_2 = H$ or together form a double bond

$R_3 = H$, 1-6C alkyl or 2-4C alkenyl

$R_4 = 1-6C$ alkyl, 2-4C alkenyl, phenyl or benzyl

$R_5 =$ optionally substituted phenyl or thienyl.



**P80D068. N-substituted-2-halocarboxymethylene indoxyl derivatives—
antiallergics.**

Ciba Geigy AG, Brit 1556-845, 28.11.79,
Ger 2804-823.

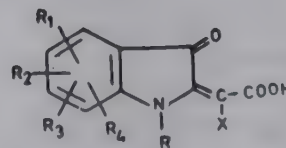
$X = Cl, Br$ or I

$R =$ optionally substituted lower alkyl or 5-7 membered cycloalkyl

$R_1 =$ lower alkyl, halogen, OH , lower alkoxy, substituted phenoxy or cycloalkyl

$R_2 = H$, lower alkyl, OH or alkoxy

$R_3 = R_4 = H$ or lower alkyl.

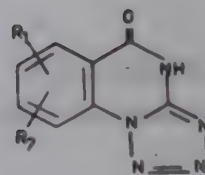


P80D069. Tetrazolo [a] quinazoline-5-one derivatives—antiallergics and antiulcer agents.

Pfizer Inc, Jpn 9032-798, 16.10.79, Ger 2630-053.

$R_1=R_2=H$, 1-4C alkoxy, benzyloxy, OH, etc.

(Patent included at P80E037 page 362)



P80D070. Thio-oxo-pyrazolo [1,5-c] quinazoline-2-carboxylic acid derivatives—antiallergics and anti-inflammatory.

Squibb & Sons Inc, Brit 2020-282, 14.11.79, Ger 2916-992.

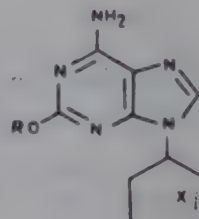
(For details refer patent P80B318 page 350).

P80D071. 2-Alkoxy-9-cycloalkenyl adenine derivatives—nonadrenergic bronchodilators.

Bristol Mayer Co, Belg 876-122, 8.11.79, US 4172-829.

$R=1-6C$ alkyl

$X=$ optionally double bond



E. GASTROINTESTINAL DISORDERS

P80E034. N-(Acyl)-*p*-amino-N'-substituted benzamides—antiulcers.

Pfizer Inc, Ger 2723-051, 22.11.79, US
4044-147.

$R_1 = C_{13}H_{27}$ or $C_{10}H_{21}$

$R_2 =$ phenyl, phenoxy, 3-pyridyl, substituted phenyl, etc.



**P80E035. 4-(Phenyl-ethenyl)-N-alkenyl-1,2,5,6-tetrahydropyridines—
for the treatment of stomach
ulcers and increase the activity of
prostaglandins.**

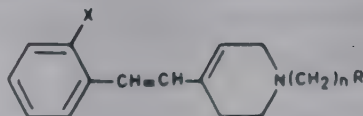
Squibber and Sons Inc, Fr 2416-224,
5.10.79, Ger 2904-451.

X = Cl, Br, F or optionally branched
1-4C alkoxy

R = CH₂R₁, CH R₂R₃, etc.

R₁, R₂, R₃ and R₄ = H or Me

n = 1-3.



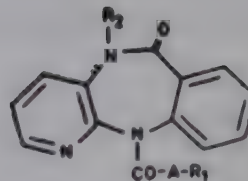
P80E036. Pyrido [2,3-b] (1,4) benzo-diazepines—antiulcers, antiseecretories and spasmolytics.

Thomaack GmbH, Ger 2821-813, 22.11.79.

A = 1-5C alkylene

R_1 = 1, 4-diazabicyclo (4,3,0) nonan-4-yl, 1,2,5,6-tetrahydro-1-pyridyl, (1-alkyl-3-pyrrolidiny) methylamino, etc.

R_2 = H, CH_3 or C_2H_5 .



P80E037. Tetrazolo [a] quinazoline-5-one derivatives—antiulcers and antiallergics.

Pfizer Inc, Jpn 9032-798, 16.10.79, Ger 2630-053.

(For details refer patent P80D069 page 360).

P80E038. Ulcer remedy containing *Panax* saponin.

Arichi S, Jpn 4135-210, 20.10.79.

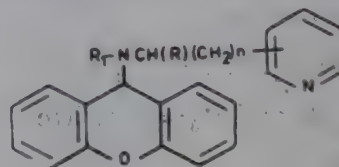
A new ulcer remedy contains an extract of the roots of *Panax* plant. Most commonly used species are: *P. ginseng*, *P. japonicus*, *P. quinquefolium*, *P. pseudoginseng* or *P. notoginseng*.

P80E039. 9-Xanthyl amino alkylpyridine derivatives—gastric acid secretion inhibitors.

Smithkline Corp, Brit 1555-540, 14.11.79, US 3996-364.

$R = R_1 = H$ or optionally branched 1-3C alkyl

$n = 0-3$.



F. METABOLIC AND DEGENERATIVE DISORDERS

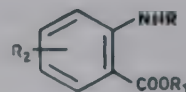
P80F066. Anthranilic acid derivatives —hypoglycaemics.

Sandoz Patent Gmbh, Ger 2914-935,
31.10.79, Belg 875-669.

$R = H$, 1-6C alkyl or 2-4C alkanoyl

$R_1 = H$ or 1-6C alkyl

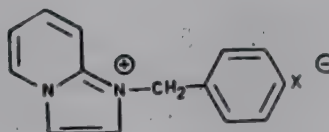
$R_2 = F, Cl, Br, NO_2$ or CF_3 .



P80F067. 1-Benzylimidazo [1,2-a] pyridinium salts—hypoglycaemics.

Pfizer Inc, Ger 2634-910, 22.11.79, Belg
845-767.

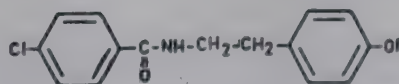
$X = Cl, Br$ or I .



P80F068. 2-[(4-(4-Chlorobenzoyla- minoethyl)-phenoxy]-2-methyl pro- pionic acid—hypolipaemic and hypo- cholesterolaemic agent.

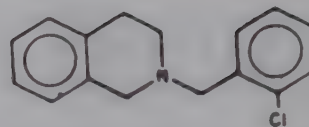
Boehringer Mannheim Gmbh, Belg 876-
162, 12.11.79.

$R = C(CH_3)_2COOH$.



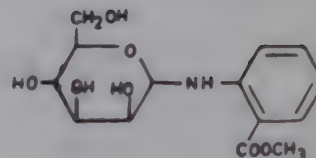
P80F069. N-(2-Chlorobenzyl)-1,2,3,4-tetrahydro isoquinoline—thrombocyte aggregation inhibitor.

Synthelabo, Ger 2919-553, 22.11.79, Belg 876-372.



P80F070. Methylantranilate-N-D-mannoside—hypoglycaemic, hypoli-paemic and antitumour.

Kureha Chem Ind KK, Jpn 4135-231, 20.10.79, Belg 875-344.

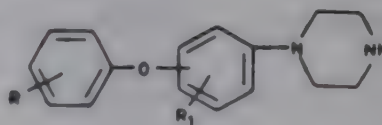


(Patent included at P80H066 page 369).

P80F071. Phenoxyphenyl piperazines— for treating lipid or carbohydrate metabolism disorders.

Science Union & Cie, Neth 162-075, 15.11.79, Belg 844-343.

R & R₁=H, 1-5C alkyl, halogen, alkoxy, alkylthio, alkoxycarbonyl or dimethylsulphamoyl.



P80F072. Oral administration of silica gel—to lower lipid concentration in blood.

Gracewr Co, Ger 2919-291, 22.11.79, Belg 876-242.

Silica gel, preferably a hydrogel containing >50% water and having a pH of 8-10, lowers the lipid concentration in blood when given at a daily dose of 8-40 g. The substance is used for prevention and treatment of coronary artery disorders and other sclerosis.

G. INFECTIOUS DISEASES

P80G080. Benzoylureido phenylacetamido penicillin derivatives—antibacterials.

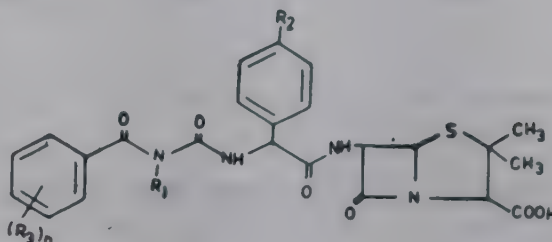
Chugai Seiyaku KK, Belg 876-466, 23.11.79.

$R_1 = \text{H or lower alkyl}$

$R_2 = \text{H or OH}$

$R_3 = \text{H or lower alkanyloxy}$

$n = 2 \text{ or } 3.$



P80G081. Cyclic amidines—anthelmintics.

Pfizer Inc, Neth 162-074, 15.11.79, S.Afr. 6804-589.

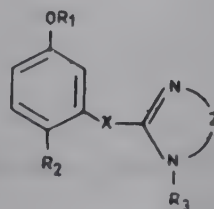
$Z = \text{ethylene or trimethylene}$

$R_1 = \text{H, CH}_3, \text{C}_2\text{H}_5 \text{ or benzyl}$

$R_2 = \text{H or Br}$

$R_3 = \text{H or CH}_3$

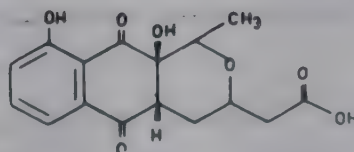
$X = \text{ethylene or vinylene.}$



P80G082. 4a-Epinanaomycin B—antibacterial.

Kyowa Hakko Kogyo KK (Rita), Jpn 4135-771, 22.10.79.

Title compound is yellow white crystalline powder (m.p. 157-159°C) and may be prepared by reducing an acidic solution of nanaomycin E.



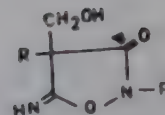
P80G083. 4-Hydroxymethyl-5-imino-isoxazolidine-3-ones—antibacterials and antitumours.

Farmitalia Carlo ER, Ger 2919-275,
22.11.79, Belg 876-248.

R = 1-6C alkyl or aralkyl

R₁ = H, 1-4C alkyl or aralkyl.

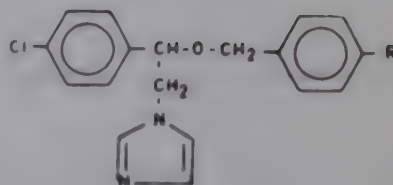
(Patent included at P80H063—page 369).



P80G084. α -Imidazolylmethyl dibenzyl ethers—antibacterials and anti-mycotics.

Recordati Chem & P, Ger 2917-244,
22.11.79.

R = phenyl or phenylthio.

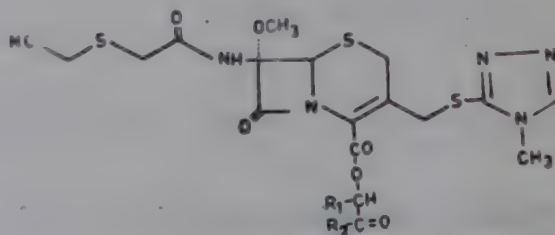


P80G085. Cephalosporin derivatives—antimicrobials.

Sankyo KK, Jpn 4135-791, 22.10.79.

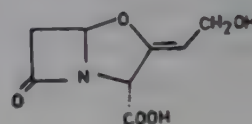
R₁ = H, lower alkyl or substituted phenyl

R₂ = alkyl, aralkyl or substituted phenyl.



P80G086. Clavulanic acid derivatives—antibacterials and β -lactamase inhibitors.

Beecham Group Ltd, USSR 648-117,
Ger 2517-316.



P80G087. 3-Carboxyphenyl acetic acid derivatives—antimicrobials and anti-inflammatories.

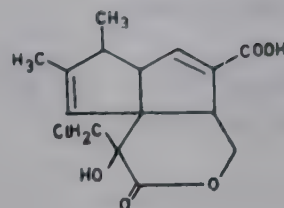
Rutgerswerke AG, Brit 1555-849, 14.11.79,
Belg 863-209.

(For details refer patent P80B307 page—
346).

**P80G088. Pentalenelactones—
antibacterial and anticancers.**

Kaken Chem KK, Jpn 4128-575, 5.10.79.

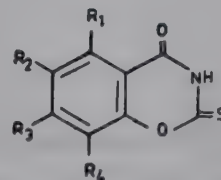
(Patent included at P80H067—page 370).



**P80G089. Benzoxazine derivatives—
fungicidal and antibiotics.**

Tamusat T, Jpn 4128-586, 5.10.79.

R_1, R_2, R_3 and $R_4 = H$, halogen, NO_2 ,
 OH or form $-CH=$
 $CH-CH=CH-$
radical.

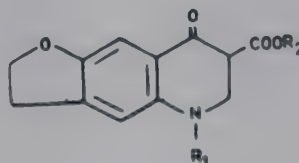


**P80G090. 8-Oxo-2,3,5,8-tetrahydro-5-
substituted furo [2,3-g] quinoline-7-
carboxylic acids—antibacterials.**

Schering AG, Brit 1556-747, 28.11.79,
Ger 2530-412.

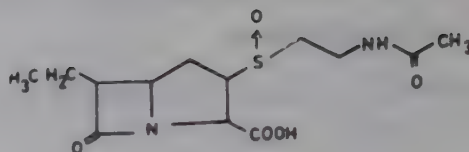
$R_1 = 1-6C$ unsaturated aliphatic hydro-
carbonyl

$R_2 = H$ or $1-6C$ saturated aliphatic
hydrocarbonyl.



P80G091. PS-5-S-oxide and salts—antimicrobials.

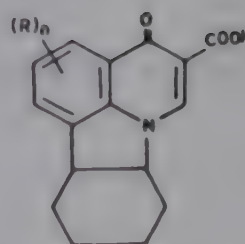
Sanraku Ocean, Jpn 4135-790, 22.10.79.

**P80G092. Pyrido [3, 2, 1-j,i,k] carbazole derivatives—antibacterials, antivirals and anticancers.**

Otsuka Pharm KK, Jpn 4135-798,
22.10.79, Belg 875-469.

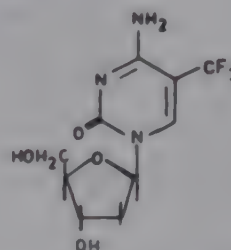
R = NO₂, NH₂ or lower alkanoylamino
n = 1 or 2.

(Patent included at P80H069—page 370).

**P80G093. 5-Trifluoromethyl-2'-deoxycytidine—antiviral and anti-tumour.**

PCR Corp, Brit 2021-097, 28.11.79, Ger
2838-644.

(Patent included at P80H065—page 369).



H. ANTINEOPLASTIC AGENTS

P80H062. Immunity increasing agents-used for treating cancer

Eisai K K, Jpn 5043-040, 26.3.80.

The agent comprises a proteinase e.g. pronase, elastase, seratiopeptase or bromeslin and a lysozyme is added to improve the effect.

P80H065. 5-Trifluoromethyl-2'-deoxycytidine—antitumour and antiviral.

PCR Corp, Brit 2021-097, 28.11.79, Ger 2838-644.

(For details refer patent P80G093—page 368).

P80H063. 4-Hydroxymethyl-5-imino-isoxazolidine-3-ones—antitumours and antibacterials.

Farmitalia Carlo ER, Ger 2919-275, 22.11.79, Belg 876-248.

(For details refer patent P80G083—page 366).

P80H066. Methylantranilate-N-D-mannoside—antitumour, hypoglycaemic and hypolipaemic.

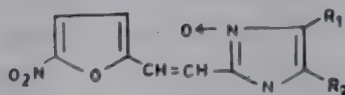
Kureha Chem Ind KK, Jpn 4135-231, 20.10.79, Belg 875-344.

(For details refer patent P80F070—page 364).

P80H064. Nitrofuranylvinyl thiazole-N-oxides—antitumours.

Kureha Chem Ind KK, Ger 2920-247, 22.11.79.

R_1 & R_2 = H, 1-4C alkyl, lower alkoxy-carbonyl or together form a cycloalkene ring.

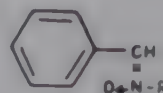


**P80H067. Pentalenelactones—
anticancers and antibacterials.**

Kaken Chem KK, Jpn 4128-575, 5.10.79.

(For details refer patent P80G088—
page 367).**P80H069. Pyrido [3,2,1-j, i, k) carba-
zole derivatives—anticancers, anti-
virals and antibacterials.**Otsuka Pharm KK, Jpn 4135-798,
22.10.79, Belg 875-469.(For details refer patent P80G092—
page 368).**P80H068. α -Phenyl-N alkyl nitrons—
carcinostatic agents.**Rikagaku Kenkyusho, Jpn 4126-733,
2.10.79.

R = lower alkyl.



K. PHARMACEUTICS

**P80K010. Stable aqueous lysozyme
solution.**SS Pharmaceutical KK, Jpn 4135-215,
20.10.79.

Lysozyme, a widely used substance in the clinical field due to its anti-inflammatory, antihæmorrhagic and infection inhibiting properties, may be stabilized by adding dextrin and/or cyclodextrin. Preferred amount of stabiliser is 0.1 to 1.0 wt. %.

L. NATURAL PRODUCTS

P80L011. 3-Aminocardenolide derivatives—cardiotonic agents.

Nativelle Etab, Fr 2415-640, 28.2.79.

$m \text{ \& } n = 0-4$

R_1, R_2, R_4, R_5 and $R_6 = H, OH, \text{ alkoxy}$
or acyloxy

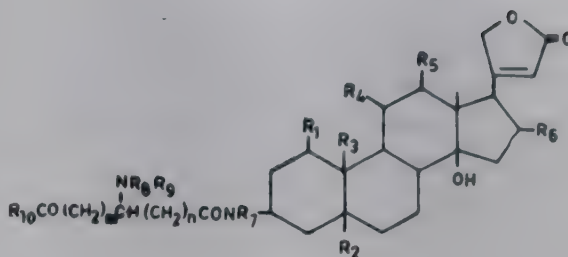
$R_3 = \text{lower alkyl, CHO, haloalkyl,}$
 $\text{hydroxyalkyl, etc.}$

$R_7 = H$ or alkyl

$R_8 = H, \text{ alkyl, acyl, etc.}$

$R_9 = H$ or alkyl

$R_{10} = OH, \text{ alkoxy, aryloxy, etc.}$



P80L012. Cream for treating haemorrhoids.

Duvall JW, Ger 2920-036, 22.11.79.

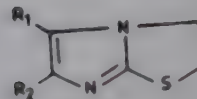
Golden seal root, Collinsonia root and *Molalevea alternifolia* oil in admixture with a cream base are useful agents for treatment of haemorrhoids and external diseases and injuries. The cream contains only natural non-toxic substances and is free from undesired side effects.

M. GENERAL

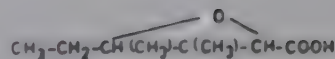
P80M024. 2,3-Dihydro imidazo [2,1-b] thiazoles—antiarthritics.

Smithkline Corp, US 4175-127, 20.11.79.

$R_1=R_2$ =pyridyl or phenyl optionally
monosubstituted by lower
alkyl, alkoxy, alkylthio, F,
Cl, Br or CF_3 .



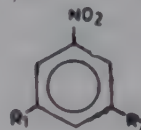
P80M025. 2,3-Epoxy-3,4-dimethyl hexanoic acid—intermediates for antihypertensives and sleep inducing mebutamate.



Ajinomoto KK, Jpn 4125-613, 29.9.79.

P80M026. Halonitrobenzenes—useful as starting materials for the preparation of pharmaceuticals, dyes and pesticides.

Basf AG, Ger 2657-234, 22.11.79, Belg
861-928.



$R_1=Cl, Br$ or I

$R_2=H, Cl, Br$ or I .

P80M027. Non-ulcerogenic inclusion compounds of indomethacin and cyclodextrin—useful as anti-inflammatory and protect against abortion.

Chinoïn Gyogyszer, Fr 2415-631, 28.9.79.

The new inclusion complex comprising of cyclodextrin and indomethacin in mole ratio 1.9-2.1, is made by heating the required amounts of both the substances in solvent which does not form stable complex with cyclodextrin.

N. NEW TECHNIQUES

P80N033. Uric acid determination in urine and blood avoids interference due to bilirubin by addition of ferrocyanide ions.

Miles Laboratories Inc, Belg 877-610, 5.11.79.

Compositions for the determination of uric acid in a liquid sample contains ferrocyanide ions in a reagent sensitive to uric acid, phenol or naphthol and 4-amino phenazone.

P80M028. Ointment for skin treatment.

Hamadat, Jpn 4135-220, 20.10.79.

Pure metallic germanium dust (particle size 50-200 mesh) in combination with a ointment base in a weight ratio of 30-80: 70-20 may be used for preparing an ointment, useful for the treatment of skin disorders such as inflammations, muscle pain, haemorrhoids, etc.

P80M029. Oral compositions for promoting hair growth.

Stobe H, Ger 2818-451, 8.11.79.

The composition contains following components in 10% wt amount sulphur D_4 to D_7 , silicic acid D_{18} - D_{22} , metallic iron D_5 - D_7 , thallium sulfate D_5 - D_7 and lactose as inert substance.

R. APPLIED MICROBIOLOGY

R80R001. Antitumor vaccine—prepared from disintegrated cancerous tissues mixed with manganous ions.

Mccollester D. L., Ger 2822-731,
31.10.79, Belg 867-410.

The vaccine is prepared by suspending cancerous tissue in aqueous NaCl solution [100-150 m mol/γ] containing 5-15 m mole/l sodium ethylene diamine tetraacetate disintegrating the tissue into its constituent cells, suspending the cells into the water, breaking the cells osmotically and detaching the specific cancer antigenic cell constituents treating them with Mg ions and producing a vaccine.

P80R003. Preparation of anti hCG-β-antibody.

Teikoku Hormone Mfg Ltd, Jpn 4126-723, 2.10.79.

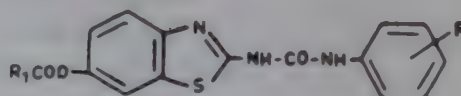
Preparation of anti hCG which is specific to hCG-β-comprises inoculating an animal with hCG-β (β-subunit of human villous gonadotropin) to form hCG-β-antibody in the body liquid of the animal, recovering the body liquid containing β-antibody from the animal and then subjecting it or its concentrate to an absorption operation which uses the purified hCG with a minor content of hCG-β.

The antibody is useful in the detection of abnormal pregnancy or malignant tumor.

P80R002. N-(6-Acyloxybenzothiazol-2-yl)-N'-phenyl ureas—immuno-regulators.

Eli Lilly & Co, USSR 648-096, 15.2.79,
Belg 840-048.

R = H, halo, 1-3C alkyl or alkoxy
R₁ = 1-3C alkyl or phenyl.



INFORMATION ABOUT INDIAN PATENTS

(Source: Gazette of India, Part III, Section-2, June 28, July 5 and 10, 1980)

APPLICATIONS FILED

April 28, 1980

315/Del/80, Council of Scientific and Industrial Research, New Delhi.

A process for the synthesis of 3-substituted-9H-pyrido [3,4-b] indoles as tubular and vascular occluding agents.

May 6, 1980

333/Del/80, Council of Scientific and Industrial Research, New Delhi.

Process for the synthesis of N-substituted-2-amino acrylophenones useful as spermicides.

June 7, 1980

102/Mad/80, Indian Institute of Technology, Madras.

Synthesis of condensed nitrogen heterocyclics derived from indane-1,3-diones.

COMPLETE SPECIFICATIONS ACCEPTED

1. A process for the preparation of 2-isopropyl-4-(2-theonyl-5-methyl) phenoxy acetic acid.

Applicant: Societe D'Etudes De Produits Chimiques Societe, Rue Theodule Ribot, France.

Application No. 233/Del/78 filed March 30, 1978.

2. Process for the production of quinaldine derivatives.

Applicant: American Home Prod Corporation, New York, 10017, USA.

Application No. 874/Cal/79 filed August 23, 1979.

3. Process for preparing dextrorotatory isomer of an asymmetric spirohydantoin compound.

Applicant: Pfizer Inc, New York, USA.
Application No. 272/Del/78 filed April 15, 1978.

A. ENDOCRINE SYSTEM

P80A028. 11- α -Alkoxy-17- β -hydroxy-1, 3, 5 (10) oestratriene compounds—antioestrogenic, antigonadotrophic and antiandrogenic agents.

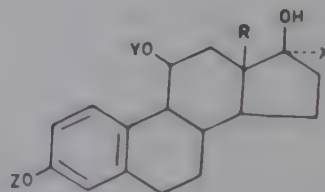
Roussel Uclaf, Ger 2227-492, 28.2.80,
Neth 7207-675.

R=1-3C alkyl

X=H or optionally saturated or substituted 1-6C alkyl

Y=1-6C alkyl

Z=H, 1-6C alkyl or 7-9C aralkyl.



P80A029. Benzoyl substituted bicyclic aromatic compounds—antiferility agents.

Eli Lilly & Co., Brit 1562-806, 19.3.80,
US 4017-546.

X = $-\text{CH}_2-$, $-\text{CH}_2\text{CH}_2-$, $-\text{CH}=\text{CH}-$

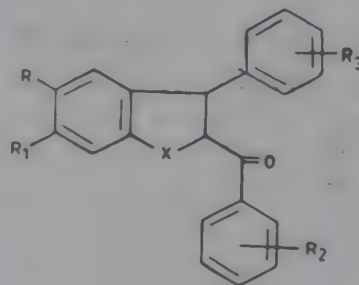
R= R_1 =H, OH, 1-5C alkoxy, 5-6C cycloalkoxy, etc.

R_2 =H, Cl, Br, OH, 1-5C alkoxy or 5-6C cycloalkoxy

R_3 =H or $\text{OCH}_2\text{CH}_2\text{NR}_4\text{R}_5$

R_4 and R_5 =independently 1-4C alkyl or with N form pyrrolidino, piperidino, etc.

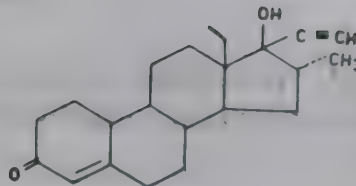
Typical examples are 2-aroyl-3-phenyl indenenes.



P80A030. 13-Ethyl-17- α -ethynyl-17- β -hydroxy-16- α -methyl gona-4-ene-3-one—high progestenic, low antiestrogenic activity and antiprotozoal.

American Home Prod Corp, Ger 2147-391, 6.3.80, Belg 772-893.

(Patent included at P80G110 page 408)



P80A031. Hydantoin derivatives— with prostaglandin like activity, for inducing sterility and abortion in women, for treating hypertension, gastric erosions, thromboses and allergies.

Wellcome Foundation Ltd, Fr 2427-331, 1.2.80, Belg 876-670.

$Z_1 = 3-9C$ carboxyalkyl

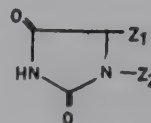
$Z_2 = (CH_2)_2-CH(OH)-R$

$R = 4-7C$ cycloalkyl

(Patent included at P80C184 page 393

P80D081 page 397 and P80E047

page 400).



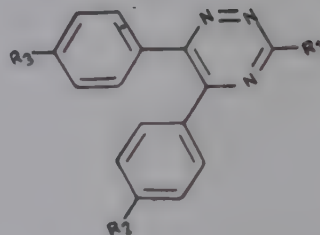
B. NERVOUS SYSTEM

P80B328. 3-Alkyl-5, 6-diaryl-1, 2, 4-triazine compounds—anti-inflammatories.

Eli Lilly & Co, US 4190-725, 26.2.80.

$R_1 = 1-3C$ alkyl

$R_2 = R_3 = 1-3C$ alkoxy or dialkylamino.

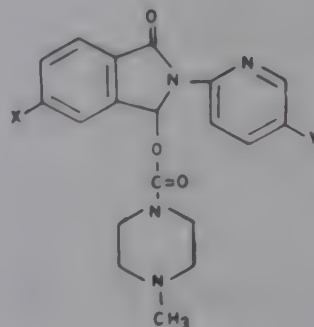


P80B329. 3-(4-Methyl piperazino carbonyloxy)-2-pyridyl-isoindolin-1-one derivatives—tranquillisers and anti-convulsants.

Rhone Poulenc SA, Ger 2141-634, 13.10.80, Belg 771-493.

$X = H, Cl$ or NO_2

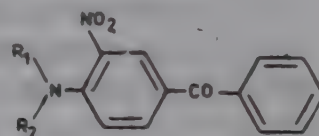
$Y = H, Cl, Br$ or methyl.



P80B330. 4-Substituted amino-3-nitrobenzophenones—antipyretics.

Richter Gedeon Vegy, USSR 673-176, 5.7.79, Belg 828-545.

R_1 & R_2 = single or optionally unsaturated alkyl, aralkyl, cycloalkyl, etc.



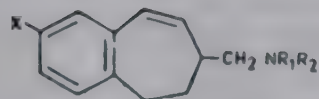
P80B331. 7-Aminomethyl benzo[c] cycloheptene derivatives—antidepressants and antiparkinsons.

Roussel Uclaf, Brit 1563-037, 19.3.80,
Ger 2751-421.

$X = \text{NO}_2, \text{NH}_2, \text{OCH}_3, \text{or OH}$

$R_1 = \text{H or 1-5C alkyl}$

$R_2 = \text{1-3C alkyl.}$

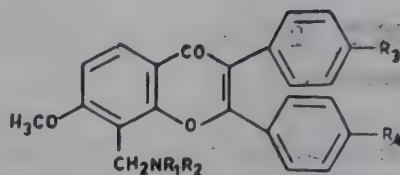


P80B332. 8-Aminomethyl-7-methoxy -3-phenyl flavone derivatives-CNS stimulants with low toxicity.

Farma Lepori SA, Ger 2536-170, 6.3.80.

$R_1 \& R_2 = \text{methyl, ethyl or together with nitrogen form pyrrolidine, piperidine or morpholine}$

$R_3 = R_4 = \text{H or methyl.}$



P80B333. Aminothiazoles—antiinflammatories.

Pfizer Inc, Fr 2427-333, 10.2.80, Belg
876-732.

$R_1 = -\text{CHX}, \text{CH}_2\text{CH}_2\text{NHX or}$
 $(\text{CH}_2)_m-\text{Y, etc.}$

$R_2 = \text{thienyl or substituted phenyl}$

$R_3 = \text{H, 1-3C alkyl or substituted phenyl}$

$X = \text{optionally substituted phenyl}$

$Y = \text{optionally substituted thienyl or}$
 furyl

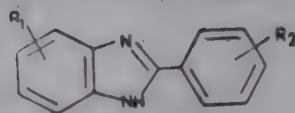
$m = 1 \text{ or } 2.$

The title compounds are inhibitors
of immune response.



P80B334. 2-Aryl benzimidazole derivatives—anti-inflammatories and analgesics.

Kanebo KK, US 4192-880, 11.3.80, Belg 861-521.

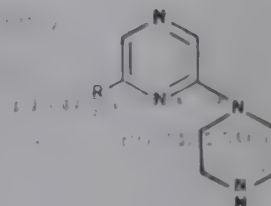


R_1 =H, halogen, 1-4C alkyl or 1-4C alkoxy

R_2 =ethyl or *t*-butyl.

80B335. 2-Piperazinyl pyrazines--anorectics.

Merck & Co Inc, Ger 2617-205, 8.5.80, Belg 840-904.



R=halogen, CF_3 , 1-4C alkoxy or 1-7C alkylthio.

P80B336. 1,3-Benzoxazine-2,4-diones—analgesics, antipyretics and anti-inflammatories.

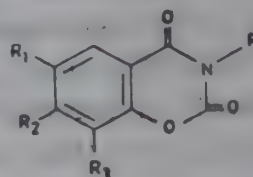
Provasan SA, Ger 2934-166, 28.2.80.

R = 1-4C alkyl, *o*-phenoxyphenyl, *o*-thiophenoxyphenyl, benzyl, etc.

R_1 =H, Cl, Br or OMe

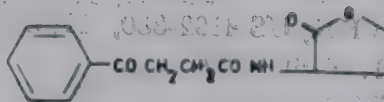
R_2 =H, Cl or Me

R_3 =H, Cl or Br.



P80B337. 3-Benzoyl propionamido butyrolactone—sedative and tranquilliser.

Grissman Chem Ltd, Brit 2028-794,
12.3.80.



P80B338. Benzimidazolyl methyl morpholine derivatives—antidepressants.

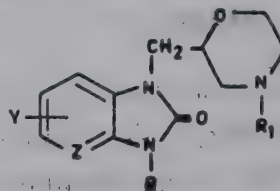
Hexachemie, USSR 686-617, 20.9.79,
Belg 853-782.

Z = CH or N

Y = H, halogen, 1-4C alkyl or alkoxy

R = H, 1-4C alkyl, 2-4C alkenyl, etc.

R₁ = H or benzyl.



P80B339. Lactams—CNS active agents.

Takeda Chem Inds KK, Jpn 55015-432,
2.2.83.

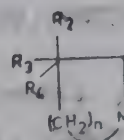
R₁ = H, acyl, alkoxycarbonyl, dialkylaminoalkyl, etc.

R₂ = H, acyl or acyloxycarbonyl.

R₃ = H, alkyl or substituted phenyl

R₄ = substituted phenyl

n = 1 or 2.

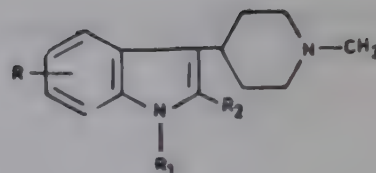


P80B340. 3-Tetrahydropyridyl indole derivatives—antidepressants, neuroleptics and antiemetics.

Roussel Uclaf, Fr 2426-465, 25.1.80.

R = H or methoxy

R₁ & R₂ = H or CH₃.



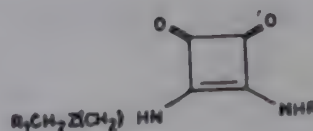
P80B341. Diaminocyclobutene dione derivatives—histamine-H₁-receptor antagonist.

Smith Kline Fr Lab, Brit 1563-090,
19.3.80, Belg 843-839.

R = H, lower alkyl or (CH₂)₃XCH₃, R₂
X = -CH₂- or -S.

R₁ = R₂ = optionally substituted imidazolyl, pyridyl, thiazolyl, etc.

Z = -CH₂- or -S



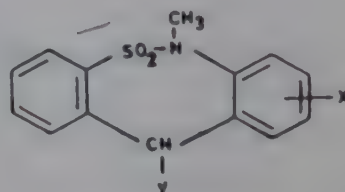
P80B342. Dibenzo [c,f]-1,2-thiazepine derivatives—spasmolytics, antihistamines, antihypertensives, vasodilators, cardiac stimulants and diuretics.

Science Union and Cie, Ger 1695-812,
28.2.80, Belg 708-149.

X = H or Cl

Y = substituted piperazinyl group.

(Patent included at P80C180
page 391)



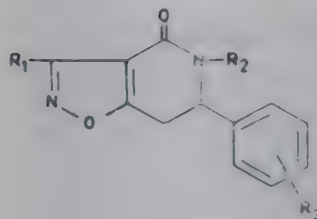
P80B343. 6,7-dihydro-6-phenyl iso-oxazolo [4,5-c] pyridine-4-ones—CNS active agents.

Sandoz AG, US 4191-827, 4.3.80.

R_1 = straight chain lower alkyl or $-C_6H_4$

R_2 = straight chain lower alkyl

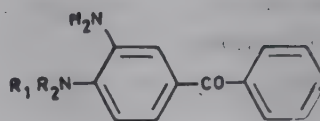
R_3 = H, F or Cl.



P80B344. 3,4-Diaminobenzophenones—antipyretics.

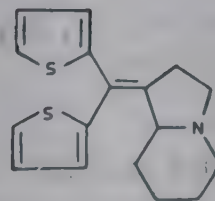
Richter Gedeon Vegy, US 4201-723,
6.5.80, Belg 818-021.

$R_1 = R_2$ = 1-18C unsaturated branched alkyl, aryl or 3-8C unsaturated cycloalkyl.



P80B345. 1,1-Dithienyl methylene indolizidine—antispasmodic, antiulcer, antihistamine and antiacetylcholine.

Hokuriku Pharm KK, Jpn 55019-225,
9.2.80.



(Patent included at P80D082 page 397
and P80E043 page 399).

P80B346. 8-Halodibenzofuran-4-alkanol compounds—anti-inflammatory, analgesic and antirheumatic agents.

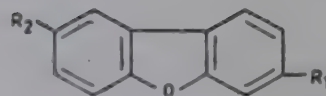
Hoffmann La Roche Inc, US 4102-809, 11.3.80.

R_1 = halogen

$R_2 = (CXY)_m OH$

$X = Y = H$ or lower alkyl

$m = 1-9$.



P80B347. Hexahydro benzopyrano [3,2-c] pyridine derivatives—antidepressants.

Lipha Lyonnaise Ind, Fr 2427-336, 1.2.80.

$R = H$, optionally unsaturated optionally branched alkyl

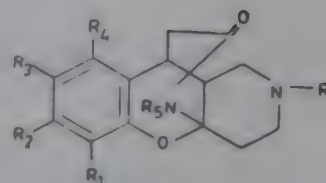
$R_1 = H$, halogen or lower alkoxy

$R_2 = H$ or halogen

$R_3 = H$, halogen, lower alkyl, alkoxy or NO_2

$R_4 = H$, halogen, NH_2 or together with R_3 forms naphthalene nucleus

$R_5 = H$, lower alkyl or aralkyl.



P80B348. Oxadiazolo triazolo benzodiazepines—muscle relaxants, antispasmodics, tranquillisers, sedatives and hypnotics.

Takeda Chemical Ind KK, Jpn 80007-431, 25.2.80, Jpn 49000-299.

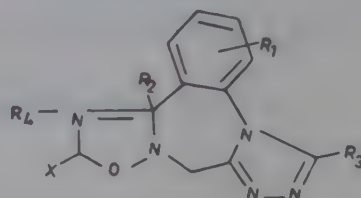
$R_1 = H$, halogen, NO_2 , CF_3 , alkyl or alkoxy

$R_2 =$ phenyl or substituted phenyl

$R_3 = H$ or hydrocarbon residue

$R_4 =$ hydrocarbon residue

$X = O$ or S .



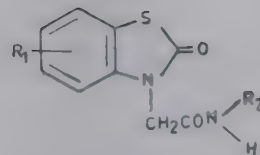
P80B349. 2-Oxo-3-benzothiazoline acetamide derivatives—anti-inflammatory and antiarrhythmic agents.

Fujisawa Pharm KK, Ger 1770-571,
28.2.80, Neth 6813-976.

$R_1 = \text{H, Cl or CF}_3$

$R_2 = \text{lower alkyl, hydroxyethoxyethyl,}$
 $\text{CH}_2\text{CH}_2\text{NR}_3\text{R}_4 \text{ or substituted}$
 piperazinyl

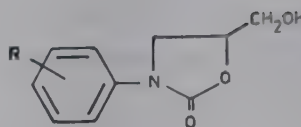
$R_3 = R_4 = \text{lower alkyl.}$



P80B350. 3-Phenyl-5-hydroxymethyl oxazolidine-2-one derivatives—anti-depressants.

Delalande SA, Fr 2426-684, 25.1 80, Belg
869-521.

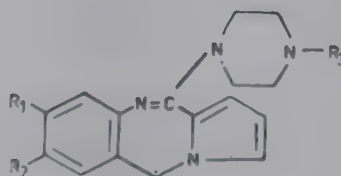
$R = p\text{-amino, pentylamino, CF}_3, \text{pheno-}$
 xymethyl, etc.



P80B351. 11-Piperazino-pyrrolo[2,1-c] [1,4] benzodiazepine derivatives—antipsychotics, neuroleptics and antidepressants.

American Cynamid Co, US 4192-803,
11.3.80.

$R_1 = R_2 = \text{H, halogen, CF}_3 \text{ or 1-4C alkyl}$
 $R_3 = \text{H, 1-4C alkyl or } \beta\text{-hydroxyethyl.}$



P80B352. 3-Substituted amino-[2,1-c]-benzothiazoles—anti-inflammatories.

Sterling Drug Inc, Neth 7808-844, 3.3.80,
Belg 869-959.

$R_1 = \text{H, methyl or ethyl}$

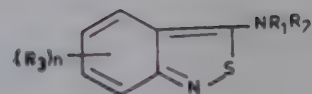
$R_2 = -\text{CH}_2-\text{CHOH}-\text{CH}_2\text{NR}_4\text{R}_5,$
 $\text{YNR}_4\text{R}_5, \text{ etc.}$

$R_3 = \text{OMe or halogen}$

$R_4 = R_5 = \text{H, lower alkyl, lower hydroxy-}$
 alkyl, etc.

$Y = 2\text{-}4\text{C alkylene}$

$n = 1 \text{ or } 2.$

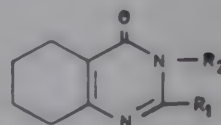


P80B353. Substituted-5, 6, 7, 8-tetrahydroquinazoline-4-ones—spasmolytics, narcotics and sedatives.

Chinoin Gyogyszer, Belg 876-763, 15 2.80.

$R_1 = \text{H or 1-5C alkyl}$

$R_2 = 1\text{-}5\text{C alkyl or aryl.}$

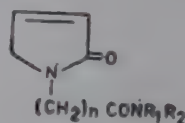


P80B354. N-Substituted pyrrolin-2-one derivatives—CNS active agents.

Isf SPA, USSR 674-671, 15.7.79, Belg
845-100.

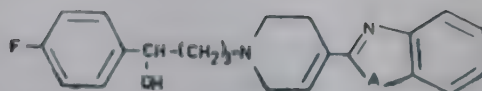
$R_1 = R_2 = \text{H, 1-3C alkyl, 5-6C cycloalkyl}$
 $\text{or NR}_1\text{R}_2 \text{ is a heterocyclic ring}$
 $\text{optionally containing O or N}$

$n = 0\text{-}2.$



P80B355. Tetrahydropyridyl benzazole derivatives—psychotropic agents.

AS Latv Org Synth, USSR 595-963, 25.11.79.



A=O, S or NH.

P80B356. Tetrahydro thiopyrano [2, 3-b] indole derivatives—analgesic, antiphlogistic and anti-inflammatory agents.

Shionogi KK, Fr 2426-689, 25.1.80, Ger 2921-001.

R_1 =H, alkyl, hydroxyalkyl, alkenyl, aralkyl, etc.

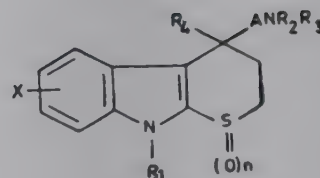
$R_2=R_4$ =H or alkyl

R_3 =H, alkyl, hydroxyalkyl, pyrrolidino, piperidino, etc.

A =methylene, ethylene, etc.

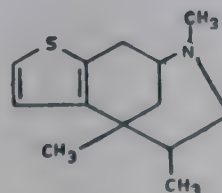
X =H, halogen, hydroxyl, alkyl, etc.

n =1,2 or 3.



P80B357. 2,4, 5 Trimethylthieno [3,2-f] morphinan—analgesic.

Lab Made SA, USSR 671-731, 30.6.79, Belg 84



C. CARDIOVASCULAR SYSTEM

P80C170. 5-Alkyl pyridazinyl substituted benzimidazole derivatives—cardiovascular agents, antivirals, interferon inducers and ulcer inhibitors.

Thomaek Gmbh, Ger 2837-161, 6.3.80.

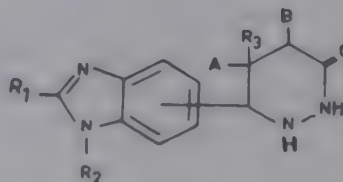
A and B=H or together form a double bond

R_1 =H, CF_3 , 1-11C alkyl, 3-7C cycloalkyl, etc.

R_2 =H, 1-5C alkyl, 3-6C cycloalkyl or phenyl

R_3 =1-6C alkyl.

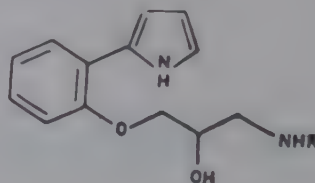
(Patent included at P80E040—page 398 and P80G095 page 403).



P80C171. Alkylaminopropanol derivatives of hydroxyphenyl pyrroles—sympatholytics, for treatment of coronary heart diseases, hypertonia and disturbance of cardiac rhythm.

Basf AG, Ger 2835-438, 6.3.80.

R =H, 1-8C alkyl, 1-3C alkoxy, cycloalkyl, etc.



P80C172. Aminohydroxy acyl angiotensin analogs—useful in the diagnosis and treatment of hypertension.

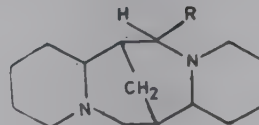
Richter Gedeon Vegy, US 4179-433,
18.12.79, Belg 869-077.

Peptides are of the formula: X-Arg-Val-Tyr-Ile-His-Pro-Y where, X=aminoxyacetyl or aminoxy propionyl and Y=Leu, Ile, Ala, etc.

P80C173. 17-Alkyl sparteine derivatives—cardioactive medicaments.

Kali Chemie AG, Ger 2360-475, 6.3.80.

R = *n*-propyl, *n*-butyl, *iso*-butyl, *n*-pentyl, etc.



P80C174. 1-Aminoalkoxyphenyl-2-phenyl ethanes— blood platelet aggregation inhibitors.

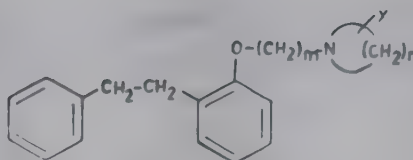
Mitsubishi Chem Ind KK, Jpn 55013-222,
30.1.80.

$m = 2-6$

$n = 2-7$

X = COOR₁ or COR₂R₃

R₁=R₂=R₃=H or 1-5C alkyl.



P80C175. Pyridazine-3-carboxylic acid derivatives—coronary vasodilators.

Bayer AG, Ger 2834-624, 28.2.80.

R = H, alkyl (optionally substituted by one or two oxygen atoms in the chain), aryl, etc.

R₁ = alkyl, aryl, aralkyl, NR₅R₆, OR₆, etc.

R₂ = aryl, thienyl, furyl, pyrazolyl, etc.

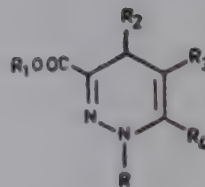
R₃ = H or COR₇

R₄ = aryl, aralkyl, thienyl, furfuryl, etc.

R₅ = optionally substituted aryl, acyclic or cyclic alkyl, etc.

R₆ = H, alkyl, aryl thienyl, furyl, etc.

R₇ = alkyl, aryl, aralkyl, thienyl, etc.



P80C176. 3-Amino-5-pyrrolylsulphonyl benzoic acid ester derivatives—diuretics.

Basf AG, Eurp 8-367, 5.3.80.

R₁ = saturated 1-8C aliphatic group, 2-8C unsaturated alkyl, 3-7C cycloalkyl, etc.

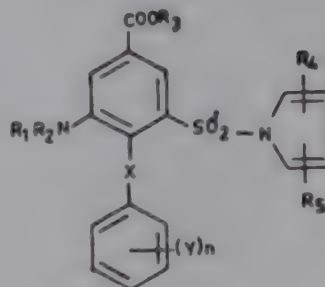
R₃ = H or together with R₁ and nitrogen forms a heterocyclic ring

R₃ = R₄ = R₅ = H or 1-5C alkyl

X = O, SO, S or NH

Y = substituents (not defined)

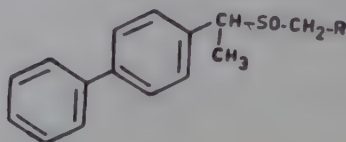
n = 1, 2 or 3.



P80C177. Biphenyl derivatives—anti-thrombotics, hypochloesteremics and anticoagulants.

Boehringer Ingelheim, US 4191-776, 4.3.80, Belg 829-256.

R = 1-6C alkoxy carbonyl.



P80C178. α, α -Bis tertiary aminoalkyl acetamides—antiarrhythmic agents.

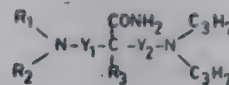
Searle GD & Co, Belg 878-662, 7.8.80.

R_1 =1-7C alkyl or 5-6C cycloalkyl

R_2 =1-7C alkyl, NR_1R_2 together form morpholino or piperazino, etc.

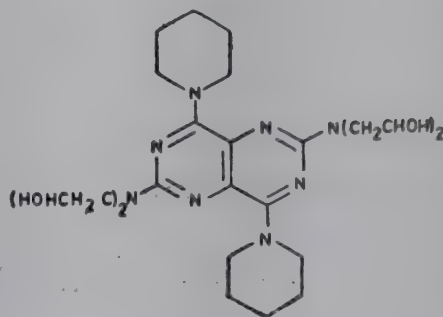
R_3 =biphenyl, 1- or 2-naphthyl optionally substituted by halogen or 1-4C alkyl

Y_1 & Y_2 =2-4C alkylene.



P80C179. 2,6-Bis (diethanolamino)-4,8-dipiperidinopyrimido [5,4-d] pyrimidine—useful as remedies for angina pectoris and asthma bronchiale.

Sakakida, Jpn 80007-430, 20.2.80, Jpn 49011-897.



P80C180. Dibenzo [c, f]-1,2-thiazepine derivatives—spasmolytics, antihistamines, antihypertensives, vasodilators, cardiac stimulants, diuretics, bronchodilators, etc.

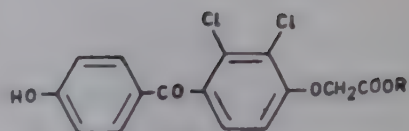
Science Union & Cie, Ger 1695-812, 28.2.80, Belg 708-149.

(For details refer to patent P80B342, page 382).

P80C181. Hydroxybenzoyl dichlorophenoxyacetic acid salts—diuretics and uricosuric agents.

Abbott Laboratories, Ger 2932-802,
28.2.80, Belg 878-211.

R = K, Na, Ca or NH_4 .



P80C182. Phthalazone derivatives—antiarteriosclerotic and antithrombotic agents.

Ishikawa M, Jpn 55017-315, 6.2.80.

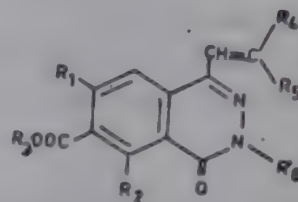
$\text{R}_1 = \text{R}_3$ = lower alkyl

R_3 = optionally branched alkyl

$\text{R}_4 = \text{R}_6$ = cyano or $-\text{CO}(\text{R}_7)$

R_6 = H or phenyl

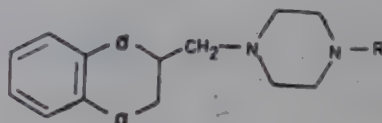
R_7 = optionally branched alkoxy, CF_3 , phenyl, etc.



P80C183. 2-substituted piperidino-methyl-1,4-benzodioxans—antihypertensives.

Morishita Pharm KK, Jpn 55015-456,
2.2.80.

R = benzyl, benzoyl or benzoylmethyl.



**P80C184. Hydantoin derivatives—
with prostaglandin like activity, for
inducing abortion in women, for
treating hypertension, gastric ero-
sions, thromboses and allergies.**

Wellcome Foundation Ltd, Fr 2427-331,
1.2.80, Belg 876-670.

(For details refer to patent P80A031
page 377).

D. RESPIRATORY SYSTEM

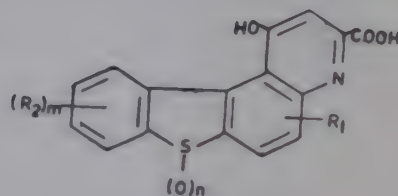
**P80D072. Benzothieno [3, 2-f] quino-
line carboxylic acid derivatives—use-
ful for treating allergic and auto-
immune disorders.**

Riker Labs Inc, Brit 1563-112, 19.3.80,
Ger 2638-081.

$R_1 = \text{H, halogen, lower alkyl or lower
alkoxy}$

$R_2 = \text{halogen, lower alkyl or lower
alkoxy}$

$m = n = 0-2.$

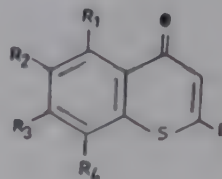


**P80D073. Dioxo thiopyrano benzo-
pyrans—antiallergics.**

Fisons Ltd, Ger 2932-814, 28.2.80, Belg
878-231.

One adjacent pair of R_1 to R_4 is $-\text{CO}-\text{CH}=\text{CE}-\text{O}-$ and the others are in-
dependently H, halo, hydroxy, alkyl,
alkenyl, alkoxy, etc.

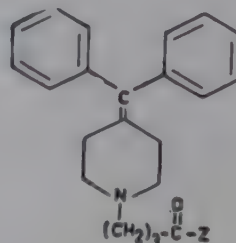
$\text{E} = \text{carboxy, 5-tetrazolyl, etc.}$



**P80D074. 4-(4-Diphenylmethylene
piperidino)-butan-1-one derivatives—
antihistamines, antiallergics and
bronchodilators.**

Richardson Merrell Inc, Ger 2365-898,
28.2.80.

$\text{Z} = \text{phenyl, } p\text{-fluorophenyl, } p\text{-bromo-
phenyl, } p\text{-alkylphenyl, etc.}$



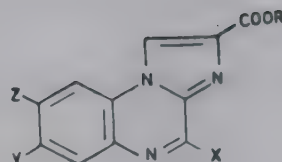
P80D075. Imidazo [1,2-a] quinoxaline-2-carboxylic acid derivatives—
for treating allergic asthma and
asthmatic bronchitis.

Roussel Uclaf, Ger 2931-418, 20.2.80,
 Belg 878-028.

R = H or 1-5C optionally branched
 alkyl

X = H, 1-5C optionally branched alkyl,
 alkoxy or amido

Y and Z = H or halogen.



P80D076. 3-Nitropyrano [3, 2-c]
quinoline-2-one-4-ol derivatives—anti-
allergics.

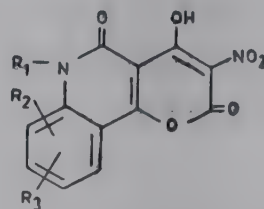
Hoechst AG, Ger 2836-470, 28.2.80.

R₁ = H or 1-4C alkyl

R₂ = H, halogen, alkyl, etc.

R₃ = H, 1-3C alkyl or alkoxy, etc.

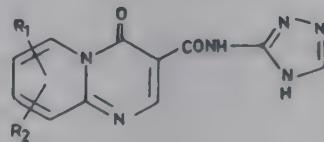
The title compounds have activity
 against certain immunological reactions
 especially anaphylactic reactions.



P80D077. 4-Oxo-pyrido [1, 2-a] pyri-
midine-3-N-(1H-tetrazol-4-yl) carbo-
xamides—antiallergics.

Bristol Mayers Co, US 4192-944, 11.3.80,
 Belg 875-246.

R₁ & R₂ = each H, halogen, lower
 alkenyl, lower alkynyl, etc.



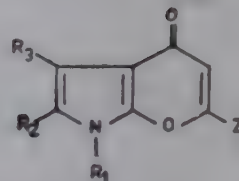
P80D078. Pyranopyrrole derivatives
—useful in the treatment of rheumatism, allergic symptoms and tumours.

Yoshitomi Pharm Ind KK, Jpn 55015-426, 2.2.80.

R_1 , R_2 & R_3 = each lower alkyl, phenyl
or substituted phenyl

Z = carboxy, alkoxy carbonyl, carbamoyl, etc.

(Patent included at P80H078 page 411).



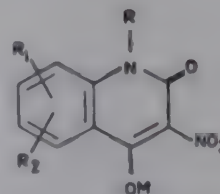
P80D079. 1-Substituted-4-hydroxy-3-nitro quinoline-2-one derivatives—antiallergics.

Sandoz Inc, US 4192-876, 11.3.80.

R = 3-6C alkenyl

$R_1 = R_2$ = each H, F, Cl, Br, 1-4C alkyl,
1-4C alkoxy, etc.

M = H or cation.

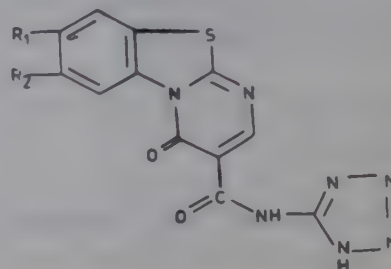


P80D080. N-Tetrazolyl-4-oxo-4H-pyrimido benzothiazole carboxamides—antiallergics.

Pfizer Inc, Jpn 80006-639, 18.2.80, US
4041-163.

R_1 = H, 1-3C alkyl or alkoxy, OH, Cl,
 CF_3 , NO_2 , etc.

R_2 = H, 1-3C alkyl, alkoxy, CF_3 , MeS
or $R_1 + R_2$ together form $-OCH_2O-$
or $-OCH_2CH_2O-$.



P80D081. Hydantoin derivatives—
with prostaglandin like activity, for
inducing sterility and abortion in
women, for treating hypertension,
gastric erosions, thromboses and
allergies.

Wellcome Foundation Ltd, Fr 2427-331,
 1.2.80, Belg 876-670.

(For details refer to patent P80A031
 page 377).

P80D082. 1, 1-Dithienyl methylene
indolizidine—antihistamine, anti-
spasmodic, antiacetylcholine and
antiulcer agent.

Hokuriku Pharm KK, Jpn 55019-225,
 9.2.80.

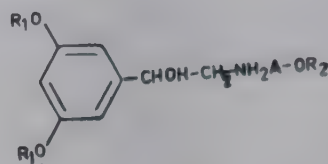
(For details refer patent P80B345
 page 383).

P80D083. 1 (3, 5-Dihydroxyphenyl)-2-
amino ethanol—antiallergics and
anaphylactic agents.

Draco AB, USSR 674-669, 15.7.79, Belg
 846-837.

$R_1 = R_2 = H$, 1-5C aliphatic acyl, ben-
 zoyl or phenylacetyl

A = 3-6C alkylene or 4-6C cycloalky-
 lene.



E. GASTROINTESTINAL DISORDERS

P80E040. 5-Alkyl pyridazinyl substituted benzimidazole derivatives—ulcer inhibitors, antivirals, interferon inducers and cardiovascular agents.

Thomack Gmbh, Ger 2837-161, 6.3.80.

(For details refer to patent P80C170 page 388).

P80E041. Condensed heterocyclic compounds with N and S atoms—gastric juice secretion inhibitors.

Sankyo KK, Jpn 55011-502, 26.1.80.

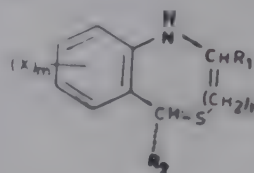
$R_1 = \text{H or lower alkyl}$

$R_2 = \text{H, lower alkyl or phenyl}$

$X = \text{H, lower alkoxy, lower alkyl, etc.}$

$n = 1-4$

$m = 1-3.$

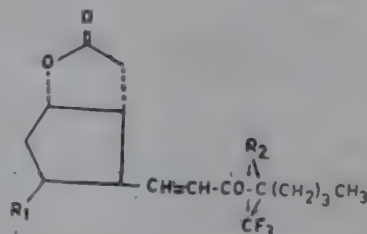


P80E042. Hexahydro-2-oxo-4-(3-oxo-4-trifluoromethyl) cyclopenta[b]furan derivatives—antiulcerogenic agents.

Hoffmann La Roche Inc, US 4190-587, 26.2.80, Belg 861-562.

$R_1 = \text{H, lower alkyl, CH}_2\text{OH, etc.}$

$R_2 = \text{H, lower alkyl or F.}$



P80E043. 1, 1-Dithienyl methylene indolizidine—antiulcer, antihistamine, antispasmodic and antiacetylcholine agent.

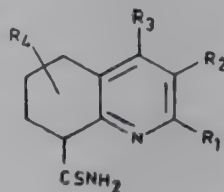
Hokuriku Pharm KK, Jpn 55019-225, 9.2.80.

(For details refer to patent P80B345 page 383).

P80E044. Tetrahydroquinoline-8-thiocarboxamide complex with copper—antiulcer and antisecretory agents.

Wyeth J. & Bros Ltd, US 4191-761, 4.3.80, Brit 1561-648.

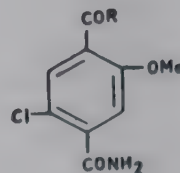
R_1, R_2, R_3 & R_4 = each H, 1-4 alkyl, alkyl, phenyl, or $R_1 + R_2$ is a alkylene chain.



P80E045. 4-Substituted-2-chloro-5-methoxy benzamides—antiemetics and digestion improver.

Asahi Kasei Kogyo, Fr 2432-504, 4 4.80, Brit 2026-481.

$R = 1-7C$ alkoxy, $1-7C$ haloalkoxy, phenoxy, etc.



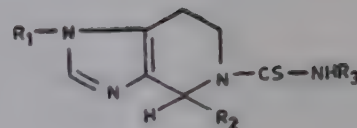
**P80E046. 5-Thiocarbamoyl tetrahydroimidazo [4, 5-c] pyridine derivatives—
for treating duodenal and gastric ulcers.**

Soc Farmaceutici Italia, Brit 2028-798,
12.3.80, Belg 871-985.

R_1 = 1-4C alkyl

R_2 = H or 1-4C alkyl

R_3 = 1-4C optionally unsaturated linear
or branched alkyl.



**P80E047. Hydantoin derivatives—
with prostaglandin like activity, for
inducing sterility and abortion in
women, for treating hypertension,
gastric erosions, thromboses and
allergies.**

Wellcome Foundation Ltd, Fr 2427-531,
1.2.80, Belg 876-670.

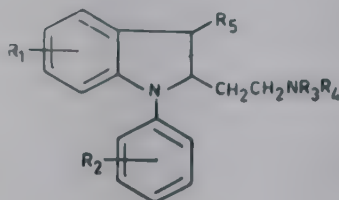
(For details refer to patent P80A031
page 377).

F. METABOLIC AND DEGENERATIVE DISORDERS

P80F073. 2-Aminoethyl-1-phenyl indoline compounds—antidiabetic and antiobesity compounds.

Sandoz AG, Neth 7906-391, 4.3.80,
Belg 878-442.

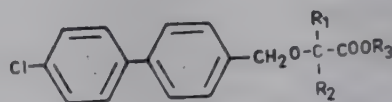
R_1 & R_2 =H, F, Cl or 1-4C alkyl
 R_3 & R_4 =H, 1-6C alkyl, or N R_3R_4 is
 piperidino or morpholino
 R_5 =H or 1-4C alkyl.



P80F074. 2-[4-(4-Chlorophenyl) benzyloxy]-2-phenyl acetic acid derivatives—antiarthritics.

Imperial Chem Inds Ltd, Belg 878-594,
4.3.80.

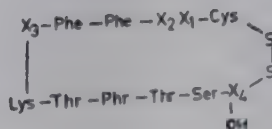
R_1 =H or 1-4C alkyl
 R_2 =optionally halo substituted phenyl
 R_3 =H or 1-4C alkyl.



P80F075. Somatostatin peptide analogues—for treating diabetes.

American Home Prod Corp, US 4190-
575, 26.2.80, Ger 2807-403.

X=H, Ala-Gly, Gly-Gly-Gly, Ala-D-
 Ala, acetyl or benzyl
 X_1 =Arg or His
 X_2 =Glu or Asp
 X_3 =Trp or D-Trp.
 X_4 =Cys or D-Cys.



P80F076. Halothienyl alkylamino benzoic acid derivatives—hypolipidaemic agents.

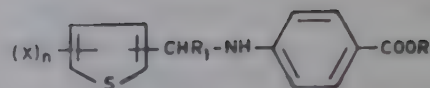
Dow Chemical Co, US 4192-884, 11.3.80.

R = H or 1-3C alkyl

R₁ = H or Me

X = halogen

n = 1-3.



P80F077. Spiroxazolidine dione derivatives—aldoreductase inhibitors.

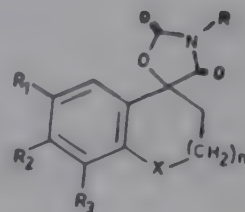
Pfizer Inc, Ger 2933-441, 28.2.80.

X = O or S

n = 1 or 2

R = H, 1-4C alkyl, benzyl or mono-substituted benzyl

R₁ = R₂ = R₃ = H, Cl, Br, F, 1-3C alkyl or phenyl.

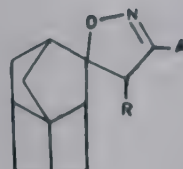


G. INFECTIOUS DISEASES

P80G094. Admantane-2-spiro isoxazoline derivatives—antivirals.

Grelan Pharmaceutical KK, Jpn 55019-209, 9.2.80.

R=H, CN or alkoxycarbonyl
A=phenyl or substituted phenyl.



P80G095. 5-Alkyl pyridazinyl substituted benzimidazole derivatives—antivirals, interferon inducers, ulcer inhibitors and cardiovascular agents.

Thomae K Gmbh, Ger 2837-161, 6.3.80.

(For details refer to patent P80C170 page 388).

P80G096. 4-Aminodiphenyl ether derivatives—anthelmintics.

Wellcome Foundation Ltd, Fr 2427-328, 1.2.80, Ger 2921-824.

R = NH₂, 1-4C mono or dialkylamino
R₁=H, 1-4C alkyl, 2-5C alkenyl or halogen

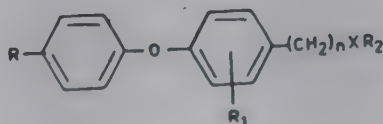
R₂=H, 1-4C alkyl or NR₃R₄

R₃=1-8C alkyl, 1-4C hydroxyalkyl

R₄=H or R₃

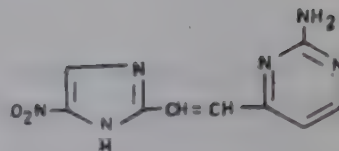
n = 0-5

X = S, SO or SO₂.



P80G097. 2-Amino-4-(5-nitroimidazolyl) vinyl pyrimidine—anti-protozoal and antibacterial agent.

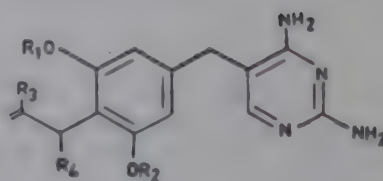
Ist Chemioterapico Ital, Ger 2358-483,
28.2.80, Belg 807-617.



P80G098. Allyl benzyl diamino pyrimidines—antibacterials.

Hoffmann La Roche Inc, US 4191-758,
4.3.80, Belg 866-652.

$R_1 = R_3 = 1-3\text{C alkyl}$
 $R_2 = R_4 = \text{H or methyl.}$

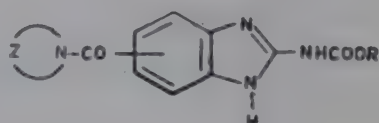


P80G099. Benzimidazole-2-carbamate derivatives—antihelmintics and systemic fungicides.

Syntex (USA) Inc, US 4191-764, 4.3.80,
Belg 852-641.

Z=together with N forms a heterocyclic ring containing one N and one O heteroatoms and is optionally substituted

R=1-4C alkyl.



P80G100. Coriolin derivatives—anti-bacterials and antitumors.

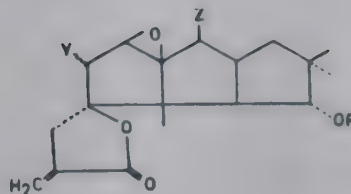
Nippon Kayaku KK, US 4190-589,
26.2.80, Ger 2821-189.

$R = \text{CO}(\text{CH}_2)_6\text{CH}_3$ or $\text{COCH}(\text{OH})\text{-(CH}_2)_6\text{CH}_3$

$Y = \text{OH}$ or a oxo group

$Z = \text{OH}$ or OCH_3 .

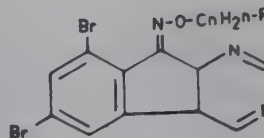
(Patent included at P80H072 page 409).

**P80G101. Dibromo-1, 3-diaza fluorene-9-oxime derivatives—anti-malarials.**

Christianes ASA, Brit 1562-965, 19.3.80,
Belg 865-704.

$R = \text{COOH}$, amino, amido, etc.

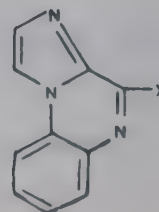
$n = 1, 2$ or 3 .

**P80G102. Imidazo[1, 2-a] quinoxaline—antifungals and antiyeast agents.**

Westwood Pharm Inc, US 4101-787,
4.3.80.

$X = \text{H}$, 1-17C cycloalkyl, styryl or optionally substituted phenyl.

The compounds are also anti-inflammatories and immunosuppressants.

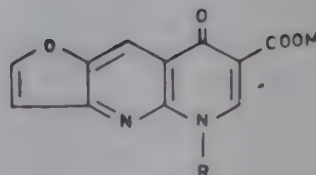


P80G103. 8-Oxofuro [3, 2-b] (1, 8) naphthyridine-7-carboxylic acid derivatives—antibacterials.

Daiichi Seiyaku Co, Eurp 8-610, 19.3.80,
Ger 2906-253.

R=1-6C alkyl

M=H, alkali metal or alkaline earth metal.



P80G104. 3-Phenylthiomethyl-1, 2, 4-oxadiazoles—antiprotozoals, anti-malarials and anticoccidials.

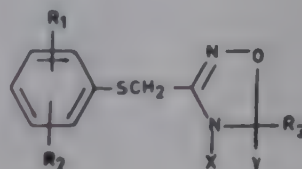
Wellcome Foundation Ltd, Eurp 8-356,
5.3.80.

R₁=optionally substituted NH₂

R₂=H, 1-6C alkyl, halogen, OH, alkoxy, alkylthio, arylthio, etc.

R₃=H, 1-6C alkyl, optionally substituted aryl, etc.

X & Y=H or form a bond.



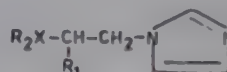
P80G105. 2-Phenoxy and 2-phenylthio-4-phenyl-N-butyl imidazoles—antifungals, antibacterials, antiprotozoals.

Syntex (USA) Inc, Fr 2427-330, 1.2.80.

R₁=phenethyl

R₂=optionally substituted phenyl

X=O or S.



P80G106. Azabicyclo [3, 2, 0] heptene-carboxylic acid derivatives—broad spectrum antibacterials.

Beecham Group Ltd, Brit 2028-814,
12.3.80.

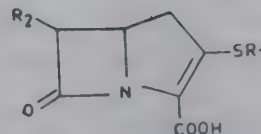
R_1 = optionally substituted pyrimidyl

R_2 = H or $CR_3R_4R_5$

R_3 = H or OH

R_4 = H or lower alkyl

R_5 = H, lower alkyl, phenyl, benzyl
or R_4R_5 complete a 5-7C carbocyclic ring.



P80G107. 1-Sulphonyl benzimidazoles-2-amines or amides—antivirals.

Eli Lilly & Co, Brit 1562-812, 19.3.80,
Belg 845-641.

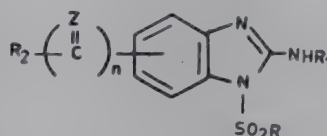
R = 1-4C alkyl or 5-7C cycloalkyl

R_1 = H or 1-4C acyl

R_2 = H, 1-4C alkyl, phenyl, etc.

Z = O, hydroxyamino, alkoxyamino,
etc.

n = 0 or 1.



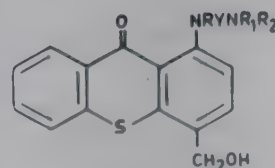
P80G108. 1-(Substituted amino)-4-hydroxymethyl thioxanthene-9-ones—schistosomicidal agents.

Sterling Drug Inc, Jpn 80007-426, 25.2.80,
Neth 7113-618.

R = H or Me

R_1 = R_2 = 1-6C alkyl

Y = 2-4C alkylene.

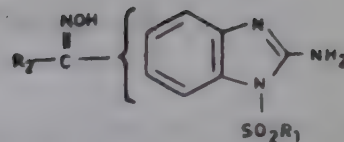


P80G109. *Syn-* and *anti*-benzimidazole oximes—antivirals.

Eli Lilly & Co, US 4191-832, 4.3.80.

R_1 =1-5C alkyl

R_2 =phenyl (optionally substituted by 1-4C alkyl, 1-4C alkoxy, etc.)



P80G110. 13-Ethyl-17- α -ethynyl-17- β -hydroxy-16- β -methyl gona-4-ene-3-one—high progestenic, low antiestrogenic activity and antiprotozoal.

American Home Prod Corp, Ger 2147-391, 6.3.80, Belg 772-893.

(For details refer to patent P80A030, page 377).

H. ANTINEOPLASTIC AGENTS

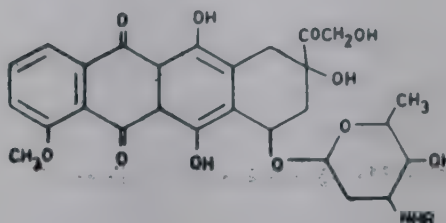
P80H070. N-Aminoacyl doxorubicin derivatives—antitumor agents.

Inst Int Pathologic, Ger 2930-637,
28.2.80, Belg 869-485.

$R = R_1\text{-CH(NHR}_2\text{)-CO}$

$R_1 = \text{H}$, optionally substituted alkyl,
phenyl or benzyl

$R_2 = \text{H}$ or 3-4C alkylene.

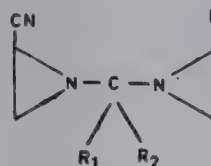


P80H071. Aziridine derivatives— cytostatic and immuno stimulating agents.

Boehringer Mannheim GmbH, USSR
673-167, 8.7.79, Belg 852-253.

$R = \text{CN}$ or CONH_2

R_1 & $R_2 = \text{H}$, optionally branched 1-6C
alkyl, NH_2 , mono- or
dialkylamino, etc.



P80H072. Coriolin derivatives—anti- tumors and antibacterials.

Nippon Kayaku KK, US 4190-589,
26.2.80, Ger 2821-189.

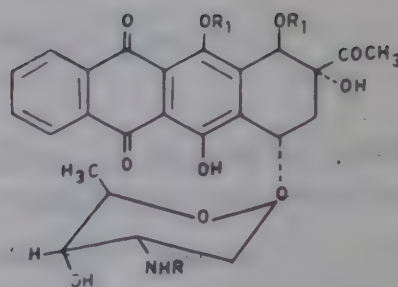
(For details refer to patent P80G100
page 405).

**P80H073. 4-Hydroxy-11-alkoxy
daunomycin derivatives—antitumors.**

Farmital Carlo Erba, US 4191-755,
4.3.80, Belg 866-606.

R=H or trifluoroacetyl

R₁=1-4C alkyl.



**P80H074. Muramyl dipeptide derivatives—immunity adjuvants, anti-
tumors and carcinostatic agent.**

Daiichi Seiyaku KK, Jpn 55019-236,
9.2.80.

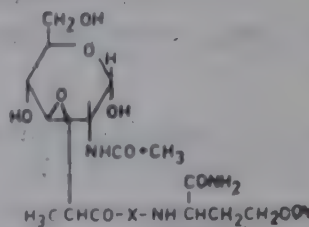
X =amino acid such as L-alanine,
L-serine, L-valine, etc.

Y =NH(CH₂)_n OA or NHCHR₁-
(CH₂)_n NHA

R₁=H, lower alkyl, carboxamide, etc.

A =20-60C branched fatty acid residue

n =1-6.



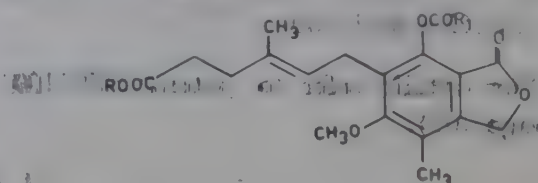
**P80H075. Mycophenolic acid derivatives—with carcinostatic and tumor
inhibiting activity.**

Chugai Seiyaku KK, Ger 2237-549,
6.3.80.

R =1-6C alkenyl

R₁=NH₂ or NHR₂

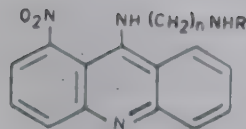
R₂=cyclopropyl, cyclohexyl, phenyl,
benzyl, etc.



P80H076. 1-Nitro-9-aminoalkylamino acridines—carcinostatic agents.

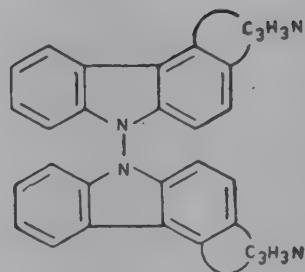
Gdanska Politech, Jpn 80006-630, 20.2.80,
Belg 851-846.

R=methyl, ethyl, propyl, butyl, etc.
n=2 or 3.

**P80H077. Pyridocarbazole oligomers—antitumors.**

Agence Nat Valorisation, Eurp 8-556,
5.3.80.

C₃H₃N complete a pyrido group in
which N atom is in the position 1, 2, 3
or 4.

**P80H078. Pyranopyrrole derivatives—useful in the treatment of rheumatism, allergic symptoms and tumors.**

Yoshitomi Pharm Ind KK, Jpn 55015-
426, 2.2.80.

(For details refer to patent P80D078,
page 396).

K. PHARMACEUTICS

P80K011. Increasing antibacterial activity of chemotherapeutic agents against resistant bacteria.

Peters HV, Ger 2822-433, 21.12.79.

New agents for reducing the resistance of bacteria to chemotherapeutic agents contain plant growth substance gibberellin A₃ in combination with the chemotherapeutic agents.

M. GENERAL

**P80M030. α -Bromo- α -(*p*-acyloxyphenyl)
acetic acid derivatives—amoxicillin
intermediates.**

Sagami Chem Res Centre, Jpn 55011-511,
26.1.80.

R=lower alkyl or aryl.



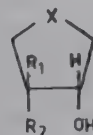
**P80M031. Compositions containing
3,4-thiolanediol or its S-oxide—for
skin and hair treatment.**

L Oreal SA, Ger 2218-209, 28.2.80, Neth
206-351.

X = S, SO or SO₂

R₁=R₂=H or OH

The composition is used for hair
treatment in the form of aqueous or
alcoholic solution and oil, gel or cream
for skin treatment.



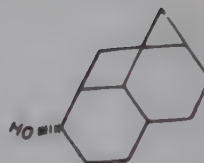
**P80M032. Cysteine derivatives—
antiseborrheals.**

L Oreal SA, Neth 162-303, 17.12.79,
Belg 731-575.

The cosmetic preparation used
externally or orally for treating sebor-
rhoea contains an active compound
RS-CH₂-CH-(NHA)-COOR₁ with
water or organic solvents, surfactants,
etc. In the formula R=Ph₃C, Ph₂CH,
PhCH₂ or R₂COO(CH₂)_n
R₁&R₂=H or 1-4C alkyl
n=5 or more
A=COR₃ or SO₂R₃
R₃=alkyl, aralkyl, aryl or pyridyl.

P80M033. Endo-7-hydroxy-tricyclo [6,2,1,0] undecanes—intermediates for antiviral pharmaceuticals.

Kao Soap KK, Jpn 55019-230, 9.2.80.



P80M034. Plastic active intrauterine contraceptive device—slowly releasing metal salts inside uterus.

Apamed Etav, USSR 656-471, 8.4.79, Belg 821-907.

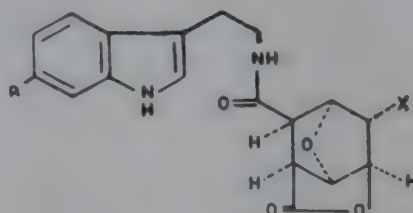
Active intrauterine contraceptive device is made from a hydrophobic substrate having great mechanical flexibility and cross linked hydrophobic bodies, in which water soluble chemical agents have been stored. The chemical agents perfuse through the hydrophobic substrate when the latter is placed in an aqueous medium. Two thin sheets are welded on the frame work of device which is made of hydrophobic substrate and a solution of contraceptive agent and acclimatising agent stored in the volume so formed. The hydrophilic body is ethyleneglycolacrylate or methacrylamide. The contraceptive agents include copper, zinc, cobalt, lead and cadmium. Progestron may be added as well as antibodies for the treatment of infections of the uterus.

P80M035. Oxabicyclo [3,2,1] octane derivatives—useful as intermediates for the reserpine alkaloids.

Nippon Chemifar, Jpn 55015-419, 2.2.80.

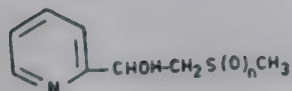
X=halogen

R=H or lower alkyl.



P80M036. β -Pyridyl- β -hydroxy ethylsulphones—immune reaction inhibitors.

Warner Lambert Co, Ger 2147-898, 6.3.80.



$n=1$ or 2 .

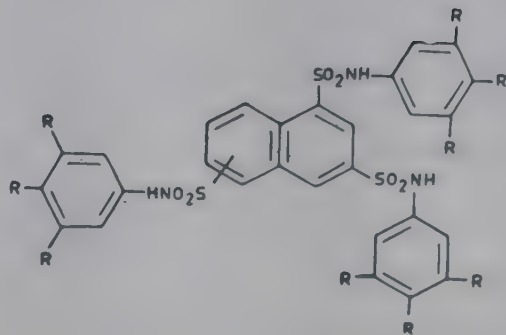
P80M037. Sodium-2-mercaptopropionyl glycinate—useful as liver protectants, antidote to poisoning and as mucolytics.

Gargain P, Ger 2924-231, 20.12.79, Belg 876-909.

Na salt of the 2-mercaptopropionyl-glycinate of the formula $\text{CH}_3\text{CH}(\text{SH})\text{-CONHCH}_2\text{COONa} \cdot \text{H}_2\text{O}$ is an antidote to poisoning by Hg and As and is stable under all the atmospheric conditions and have pH 5.2-7.2 so do not require the addition of stabilizers.

P80M038. Naphthalene-trisulphonyl-aminobenzene derivatives—complexment inhibitors.

American Cynamid Co, Neth 7808-626, 25.2.80, US 4117-003.



$\text{R}=\text{H}$ or SO_3A

$\text{A}=\text{cation}$.

P80M039. Non immunogenic peptide compositions.

Davis FF, US 4179-337, 18.12./9.

The peptide composition comprises a physiologically active polypeptide coupled to a linear polymer of molecular weight 500-20,000 daltons (polyethylene glycols or polypropylene glycols).

These compositions are non-immunogenic while retaining a large part of their activity when injected into the mammalian circulatory system. The other important products are insulin, ACTH, glucagon, somatostatin, etc.

P80M040. Prophylactic antidotes to organo-phosphorous poisoning.

PSO Pharmachim, Ger 2821-778, 20.12.79, Belg 867-442.

Compositions contain hyoscine, N-butyl bromate, propanol chlorohydrate, dimethyl carbamoxyl phenyl trimethyl ammonium bromate and ephedrine.

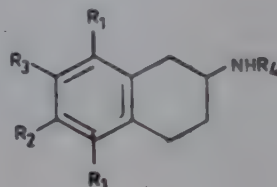
P80M041. 2-Substituted amino-tetralin derivatives—intermediates for pharmaceuticals.

Daiichi Seiyaku KK, Jpn 55017-344, 6.2.80.

$R_1 = \text{H or lower alkoxy}$

$R_2 \& R_3 = \text{lower alkoxy or bonded together to form a lower alkylendioxy}$

$R_4 = \text{greater than 2C lower alkyl.}$



DRUGS AND PHARMACEUTICALS—PATENTS AWARENESS BULLETIN
SUBSCRIPTION FORM
RENEWAL/NEW

Dear Sir,

Please renew my/our (enrol me/this institution for) subscription to:

DRUGS AND PHARMACEUTICALS—PATENTS AWARENESS BULLETIN
for the year 1981.

Amount enclosed:

Demand Draft/Postal Order

in the name of The Director, CDRI, Lucknow.

Date:

Signature

Name &

Full Address

The Scientist-in-Charge,
National Information Centre for Drugs and Pharmaceuticals,
Central Drug Research Institute,
Post Box 173, Lucknow 226001, India.

Subscription rates:

Annual: Rs. 25 £ 6 \$ 15 (for individuals, teaching
institutions & government
laboratories)

Annual: Rs. 100 £ 25 \$ 60 (for others)

Single Copy: Rs. 10 £ 1 \$ 2

Note: Foreign subscription includes delivery by Air Mail.
Multiple copies subscription rate available on request.

